117

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=> d his full

     (FILE 'HOME' ENTERED AT 13:49:56 ON 08 MAR 2007)

     FILE 'STNGUIDE' ENTERED AT 13:50:08 ON 08 MAR 2007

     FILE 'REGISTRY' ENTERED AT 13:50:25 ON 08 MAR 2007
L1              STRUCTURE UPLOADED
L2            2 SEA SSS SAM L1
                D SCAN
L3          759 SEA SSS FUL L1
L4              STRUCTURE UPLOADED
L5            0 SEA SSS SAM L4
L6           38 SEA SSS FUL L4
L7              STRUCTURE UPLOADED
L8            0 SEA SSS SAM L7
L9            4 SEA SSS FUL L7

     FILE 'CAPLUS' ENTERED AT 14:03:15 ON 08 MAR 2007
L10           2 SEA L9
                D IBIB AB HITSTR 1-2

     FILE 'MARPAT' ENTERED AT 14:07:20 ON 08 MAR 2007
L11          17 SEA SSS FUL L7
L12          16 SEA L11 NOT L10
                D IBIB AB FQHIT 1-16


     FILE HOME

     FILE STNGUIDE
     FILE CONTAINS CURRENT INFORMATION.
     LAST RELOADED: Mar  2, 2007 (20070302/UP).

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:    7 MAR 2007  HIGHEST RN 925547-09-7
     DICTIONARY FILE UPDATES:   7 MAR 2007  HIGHEST RN 925547-09-7

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/ONLINE/UG/regprops.html

     FILE CAPLUS

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FILE COVERS 1907 - 8 Mar 2007 VOL 146 ISS 11
FILE LAST UPDATED: 7 Mar 2007 (20070307/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 146 ISS 10 (20070302/ED)

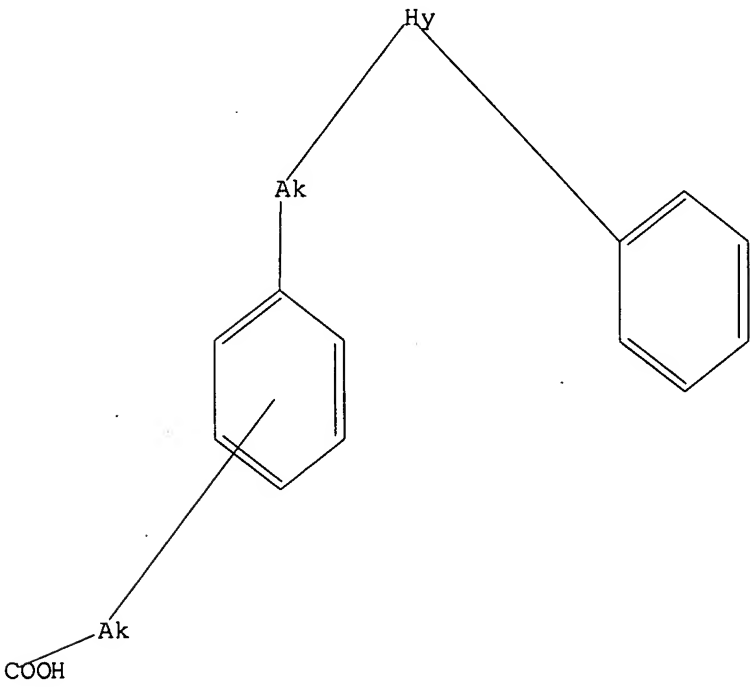
SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2007010679	11 JAN 2007
DE	102005032332	11 JAN 2007
EP	1741773	10 JAN 2007
JP	2007008814	18 JAN 2007
WO	2007007938	18 JAN 2007
GB	2427406	27 DEC 2006
FR	2888248	12 JAN 2007
RU	2291880	20 JAN 2007
CA	2551930	08 JAN 2007

Expanded G-group definition display now available.

=> d que stat
L7 STR



Structure attributes must be viewed using STN Express query preparation.
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L10             2 SEA FILE=CAPLUS L9
L11            17 SEA FILE=MARPAT SSS FUL L7
L12            16 SEA FILE=MARPAT L11 NOT L10
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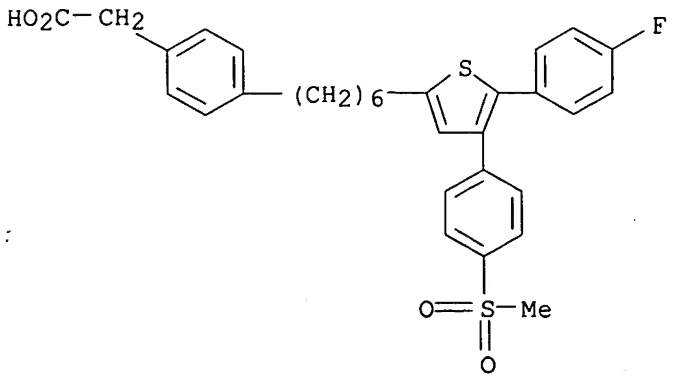
L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:56568 CAPLUS
DOCUMENT NUMBER: 140:402224
TITLE: Detergents profoundly affect inhibitor potencies against both cyclo-oxygenase isoforms
AUTHOR(S): Ouellet, Marc; Falgueyret, Jean-Pierre; Percival, M. David
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Merck Frosst Centre for Therapeutic Research, Pointe-Claire-Dorval, QC, 1005, Can.
SOURCE: Biochemical Journal (2004), 377(3), 675-684
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The sensitivity of Coxs (cyclo-oxygenases) to inhibition is known to be highly dependent on assay conditions. In the present study, the inhibitor sensitivities of purified Cox-1 and -2 were determined in a colorimetric assay using the reducing agent N,N,N',N'-tetramethyl-p-phenylenediamine (TMPD). With the detergent genapol X-100 (2 mM) present, the potencies of nimesulide, ibuprofen, flufenamic acid, niflumic acid and naproxen were increased over 100-fold against Cox-2 and titration curve shapes changed, so that maximal inhibition now approached 100%. Indomethacin, diclofenac and flosulide were not changed in potency. Similar effects of genapol were observed with inhibitors of Cox-1. DuP-697 and two analogs became more than 10-fold less potent against Cox-2 with genapol present. Tween-20, Triton X-100 and phosphatidylcholine, but not octylglucoside, gave qual. similar effects as genapol. Similar detergent-dependent changes in inhibitor potency were also observed using a [14C]arachidonic acid HPLC assay. The increases in potency of ibuprofen, flufenamic acid, isoxicam and niflumic acid towards Cox-2 and ibuprofen towards Cox-1 were accompanied by a change from time-independent to time-dependent inhibition. The interactions of Cox inhibitors has been described in terms of multiple binding step mechanisms. The genapol-dependent increase in inhibitor potency for ketoprofen was associated with an increase in the rate constant for the conversion of the initial enzyme-inhibitor complex to a second, more tightly bound form. The loss of potency for some inhibitors is probably due to inhibitor partitioning into detergent micelles. The present study identifies detergents as another factor that must be considered when determining inhibitor potencies against both Cox isoforms.

IT **690657-94-4**, Biaryl A
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Cox inhibitor; detergent effects on inhibitor potencies against cyclooxygenase isoforms)

RN 690657-94-4 CAPLUS
CN Benzeneacetic acid, 4-[6-[5-(4-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]-2-thienyl]hexyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:888731 CAPLUS
DOCUMENT NUMBER: 137:384743
TITLE: Preparation of furan and thiophene derivatives that activate human peroxisome proliferator activated receptors
INVENTOR(S): Beswick, Paul John; Hamlett, Christopher Charles Frederick; Patel, Vipulkumar; Sierra, Michael Lawrence; Ramsden, Nigel Grahame
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092590	A1	20021121	WO 2002-GB2152	20020509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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HU 200304051	A2	20040428	HU 2003-4051	20020509
CN 1507442	A	20040623	CN 2002-809694	20020509
BR 2002009468	A	20040803	BR 2002-9468	20020509
JP 2004534035	T	20041111	JP 2002-589475	20020509
AT 301649	T	20050815	AT 2002-722506	20020509
ES 2247322	T3	20060301	ES 2002-2722506	20020509
IN 2003KN01287	A	20060317	IN 2003-KN1287	20031009
ZA 2003008352	A	20050127	ZA 2003-8352	20031027
NO 2003004986	A	20031110	NO 2003-4986	20031110
US 2004157890	A1	20040812	US 2004-476194	20040323
US 7091237	B2	20060815		
PRIORITY APPLN. INFO.:			GB 2001-11523 A	20010511
			WO 2002-GB2152 W	20020509

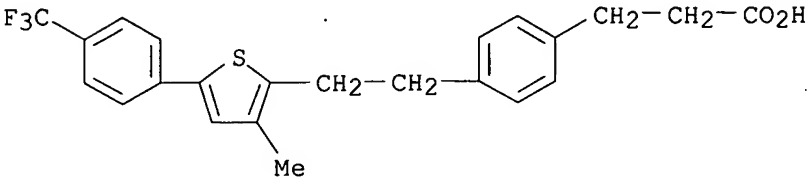
OTHER SOURCE(S): MARPAT 137:384743

AB The title compds. [I; X1 = O, S, NH, NMe, alkyl; R1, R2 = H, alkyl; R3-R5 = H, Me, OMe, CF3, halo; m = 0-3; X2 = (CR10R11)n, O, S, OCH2; n = 1-2; R6, R7, R10, R11 = H, F, alkyl, etc.; one of Y and Z = CH, the other = S, O with the proviso that Y cannot be substituted and Z can only be substituted when it is carbon; R8 = (un)substituted Ph, pyridyl (wherein the N is in position 2 or 3) with the provision that when R3 = pyridyl, the N is unsubstituted; R9 = alkyl, CF3, CH2D (D = N-substituted piperazino, furyl, piperidino, etc.); R26, R27 = H, alkyl; or R26 and R27, together with the carbon atom to which they are bonded form a 3-5 membered cycloalkyl ring] and their pharmaceutically acceptable salts, useful for the treatment of a hPPAR mediated disease or condition such as dyslipidemia, syndrome X, heart failure, hypercholesteremia,

cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, inflammation and anorexia nervosa, were prepared Thus, coupling {5-[4-(trilfuoromethyl)phenyl]-3-furyl}methanol with Et (4-mercapto-2-methylphenoxy)acetate followed by hydrolysis of the resulting ester afforded the acid II.

IT **476154-70-8P 476154-73-1P 476156-40-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furan and thiophene derivs. that activate human peroxisome proliferator activated receptors)

RN 476154-70-8 CAPLUS
CN Benzenepropanoic acid, 4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]- (9CI) (CA INDEX NAME)



RN 476154-73-1 CAPLUS
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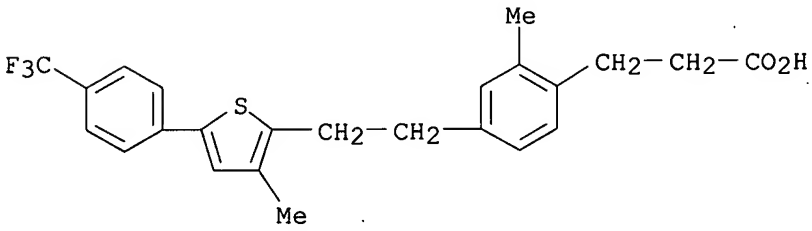

RN 476156-40-8 CAPLUS
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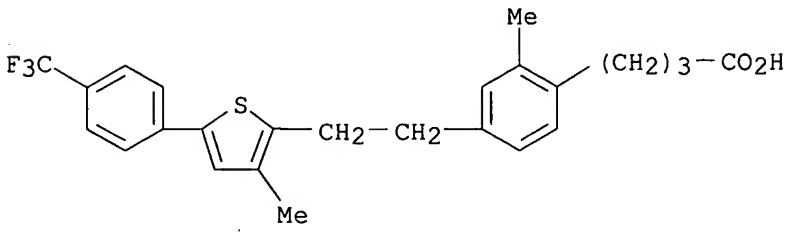
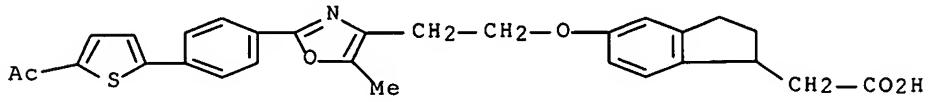


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Uses)
(preparation of indane acetic acid derivs. for treating diabetes, obesity, hyperlipidemia, and atherosclerotic diseases)

RN 496062-92-1 HCAPLUS

CN 1H-Indene-1-acetic acid, 5-[2-[2-[4-(5-acetyl-2-thienyl)phenyl]-5-methyl-4-oxazolyl]ethoxy]-2,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 23 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:888731 HCAPLUS Full-text

DOCUMENT NUMBER: 137:384743

TITLE: Preparation of furan and thiophene derivatives that activate human peroxisome proliferator activated receptors

INVENTOR(S): Beswick, Paul John; Hamlett, Christopher Charles Frederick; Patel, Vipulkumar; Sierra, Michael Lawrence; Ramsden, Nigel Grahame

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092590	A1	20021121	WO 2002-GB2152	20020509
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1392674	A1	20040303	EP 2002-722506	20020509
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HU 200304051	A2	20040428	HU 2003-4051	20020509
CN 1507442	A	20040623	CN 2002-809694	20020509
BR 2002009468	A	20040803	BR 2002-9468	20020509
JP 2004534035	T	20041111	JP 2002-589475	20020509
AT 301649	T	20050815	AT 2002-722506	20020509
ES 2247322	T3	20060301	ES 2002-2722506	20020509
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NO 2003004986	A	20031110	NO 2003-4986		20031110
US 2004157890	A1	20040812	US 2004-476194		20040323
US 7091237	B2	20060815			
PRIORITY APPLN. INFO.:			GB 2001-11523	A	20010511
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OTHER SOURCE(S): MARPAT 137:384743

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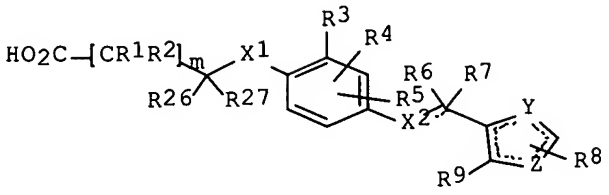

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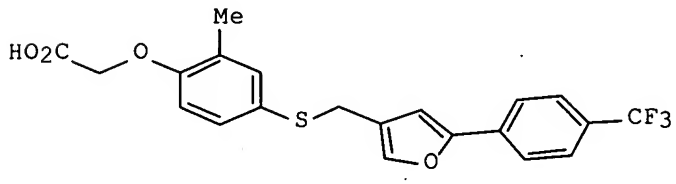

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AB The title compds. [I; X1 = O, S, NH, NMe, alkyl; R1, R2 = H, alkyl; R3-R5 = H, Me, OMe, CF3, halo; m = 0-3; X2 = (CR10R11)n, O, S, OCH2; n = 1-2; R6, R7, R10, R11 = H, F, alkyl, etc.; one of Y and Z = CH, the other = S, O with the proviso that Y cannot be substituted and Z can only be substituted when it is carbon; R8 = (un)substituted Ph, pyridyl (wherein the N is in position 2 or 3) with the provision that when R3 = pyridyl, the N is unsubstituted; R9 = alkyl, CF3, CH2D (D = N-substituted piperazino, furyl, piperidino, etc.); R26, R27 = H, alkyl; or R26 and R27, together with the carbon atom to which they are bonded form a 3-5 membered cycloalkyl ring] and their pharmaceutically acceptable salts, useful for the treatment of a hPPAR mediated disease or condition such as dyslipidemia, syndrome X, heart failure, hypercholesteremia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, inflammation and anorexia nervosa, were prepared Thus, coupling {5-[4-(trilfuoromethyl)phenyl]-3-furyl}methanol with Et (4-mercapto-2-methylphenoxy)acetate followed by hydrolysis of the resulting ester afforded the acid II.

IT 476154-11-7P 476154-12-8P 476154-13-9P
476154-14-0P 476154-22-0P 476154-25-3P
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476154-88-8P 476154-90-2P 476154-92-4P
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476156-52-2P 476156-54-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furan and thiophene derivs. that activate human peroxisome proliferator activated receptors)

RN 476154-11-7 HCAPLUS
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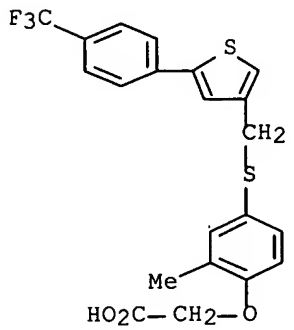

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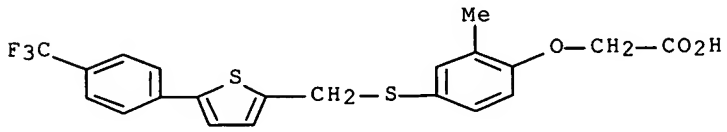

RN 476154-13-9 HCAPLUS
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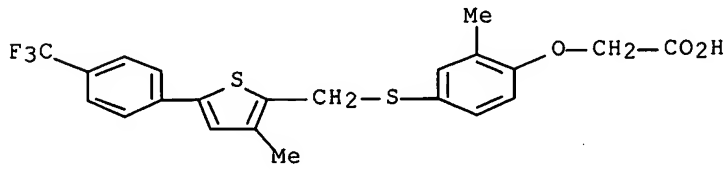

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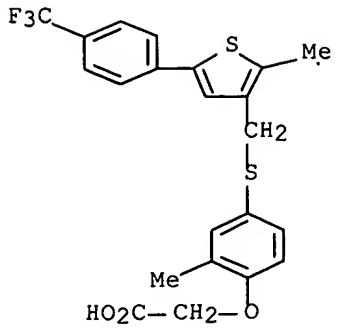

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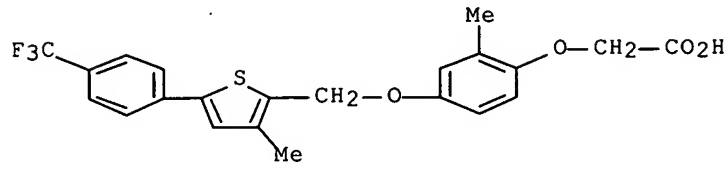

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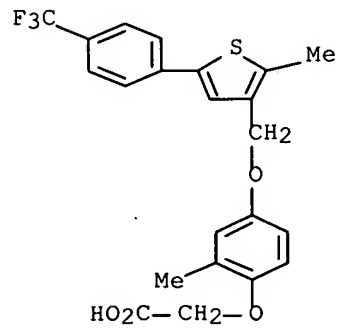

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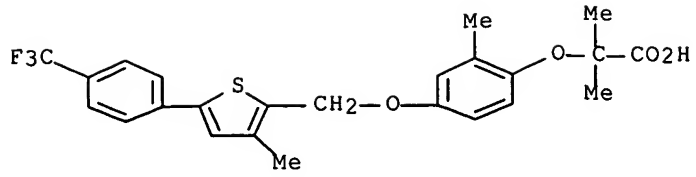

RN 476154-31-1 HCAPLUS
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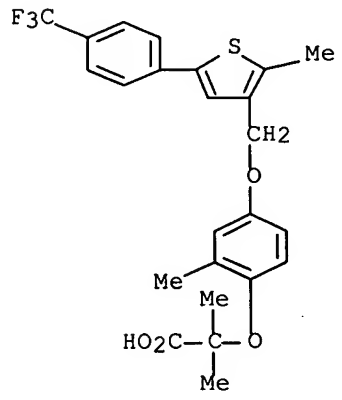
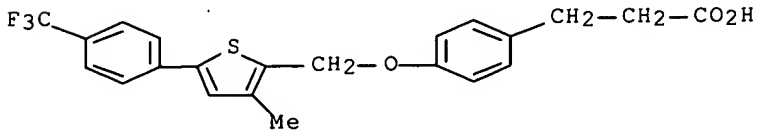
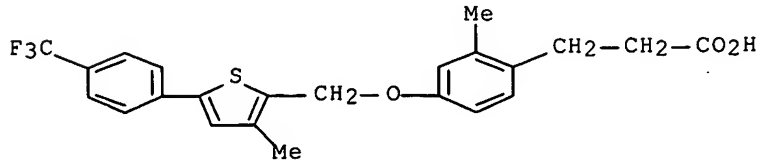


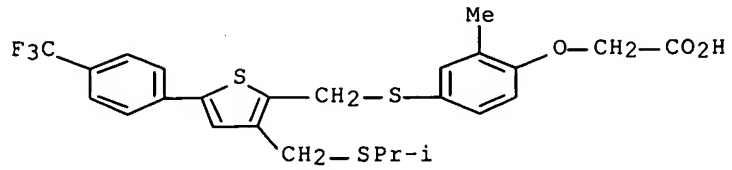
RN 476154-32-2 HCAPLUS
CN Benzenepropanoic acid, 4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



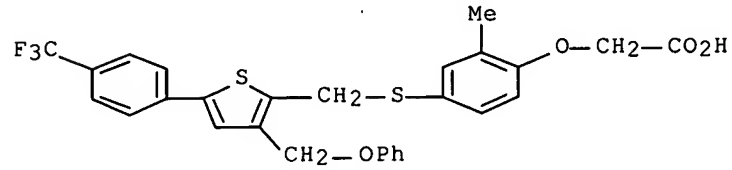
RN 476154-35-5 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



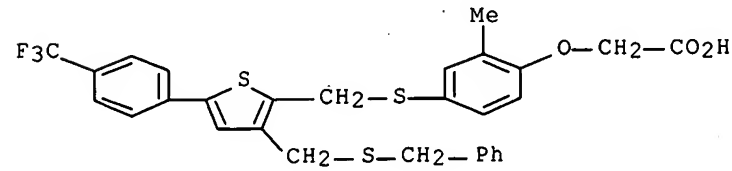
RN 476154-55-9 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



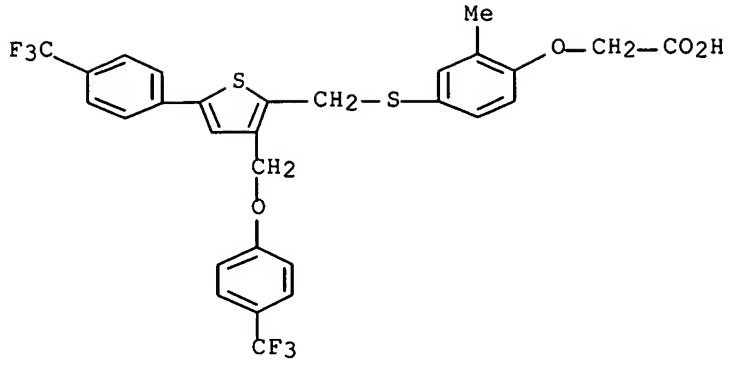
RN 476154-56-0 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-(phenoxymethyl)-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



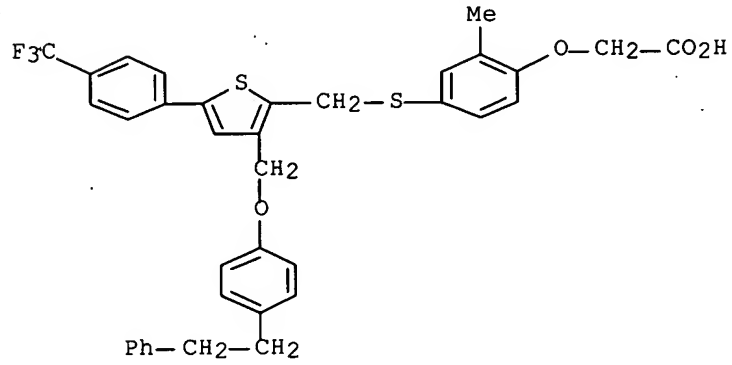
RN 476154-57-1 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[[(phenylmethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



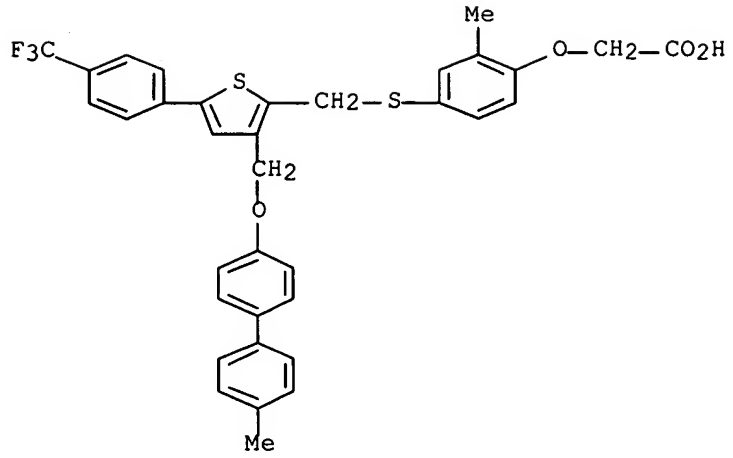
RN 476154-58-2 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[[4-(trifluoromethyl)phenoxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



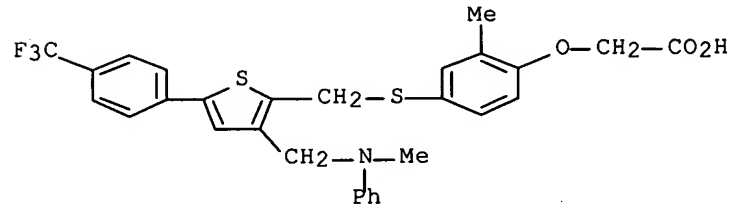
RN 476154-59-3 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[[4-(2-phenylethyl)phenoxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



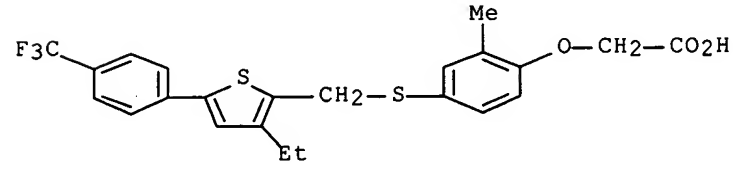
RN 476154-60-6 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[[(4'-methyl[1,1'-biphenyl]-4-yl)oxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



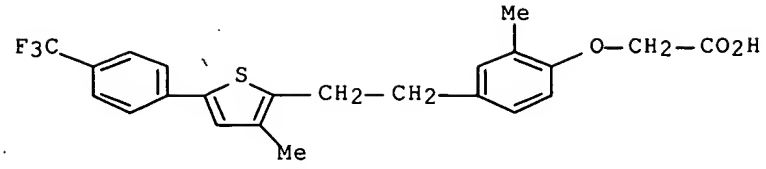
RN 476154-61-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[(methylphenylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



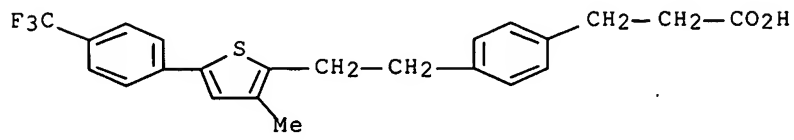
RN 476154-62-8 HCAPLUS
CN Acetic acid, [4-[[[3-ethyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



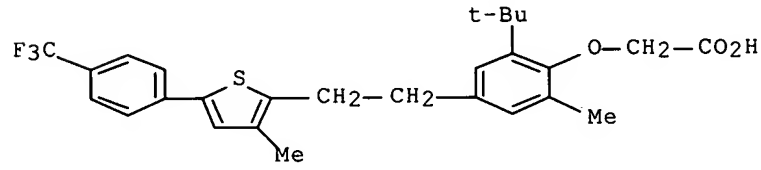
RN 476154-67-3 HCAPLUS
CN Acetic acid, [2-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



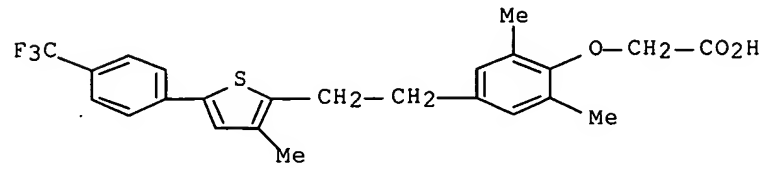
RN 476154-70-8 HCAPLUS
CN Benzenepropanoic acid, 4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]- (9CI) (CA INDEX NAME)



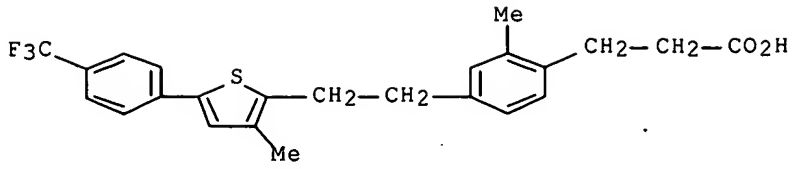
RN 476154-71-9 HCAPLUS
CN Acetic acid, [2-(1,1-dimethylethyl)-6-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



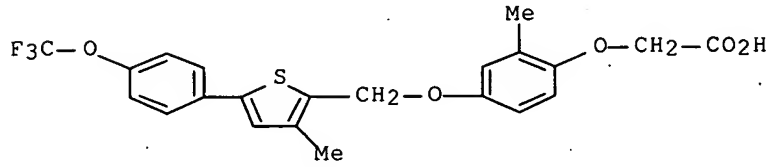
RN 476154-72-0 HCAPLUS
CN Acetic acid, [2,6-dimethyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



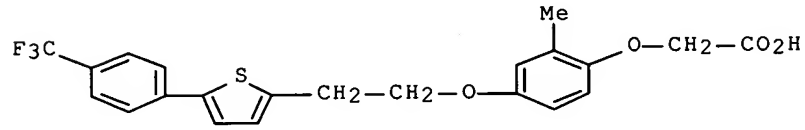
RN 476154-73-1 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]- (9CI) (CA INDEX NAME)



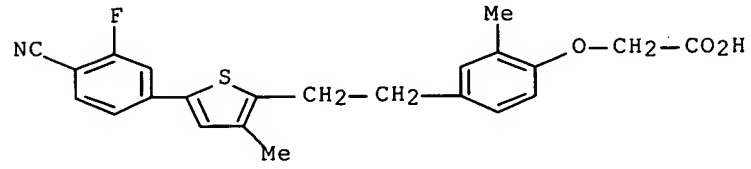
RN 476154-75-3 HCAPLUS
CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(trifluoromethoxy)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



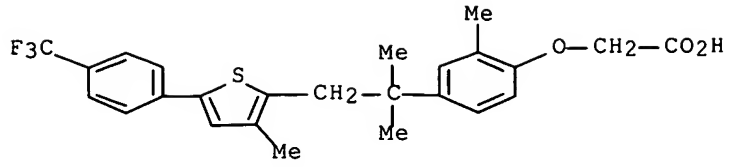
RN 476154-76-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[2-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



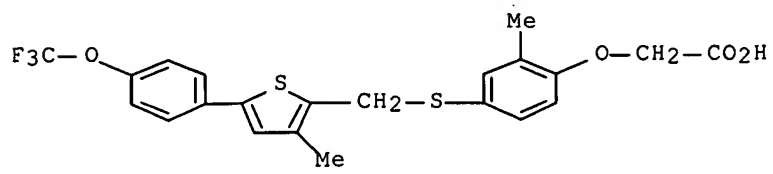
RN 476154-80-0 HCAPLUS
CN Acetic acid, [4-[2-[5-(4-cyano-3-fluorophenyl)-3-methyl-2-thienyl]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



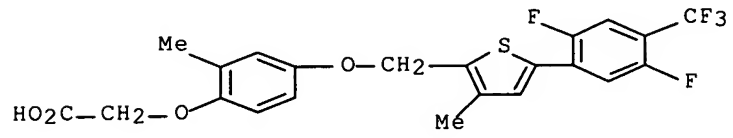
RN 476154-82-2 HCAPLUS
CN Acetic acid, [4-[1,1-dimethyl-2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



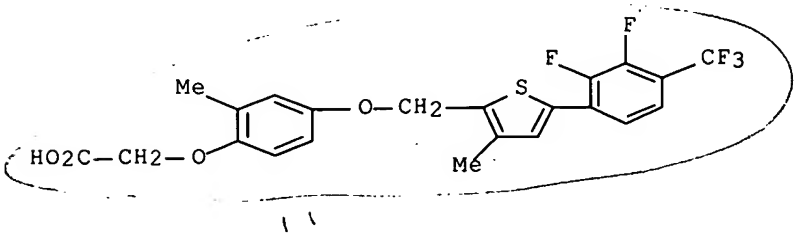
RN 476154-88-8 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethoxy)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



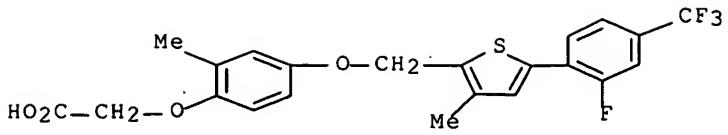
RN 476154-90-2 HCAPLUS
CN Acetic acid, [4-[[5-[2,5-difluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



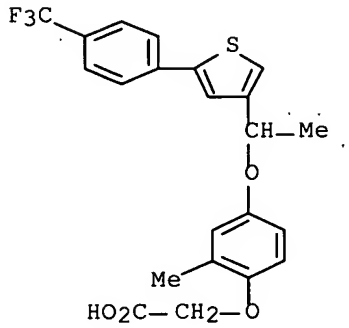
RN 476154-92-4 HCAPLUS
CN Acetic acid, [4-[[5-[2,3-difluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



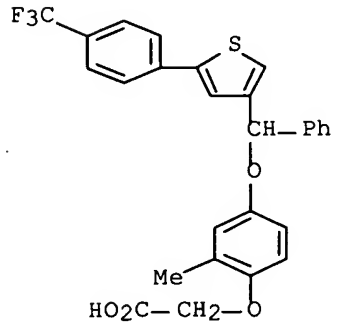
RN 476154-94-6 HCAPLUS
CN Acetic acid, [4-[[5-[2-fluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



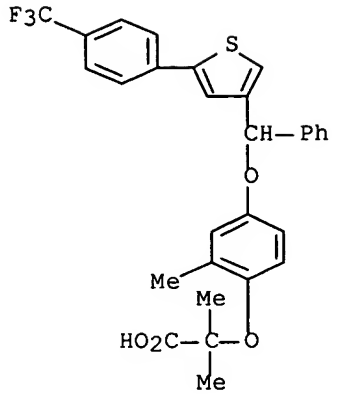
RN 476154-96-8 HCAPLUS
CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-3-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



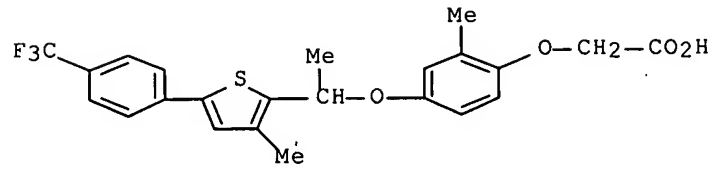
RN 476154-98-0 HCAPLUS
CN Acetic acid, [2-methyl-4-[phenyl[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



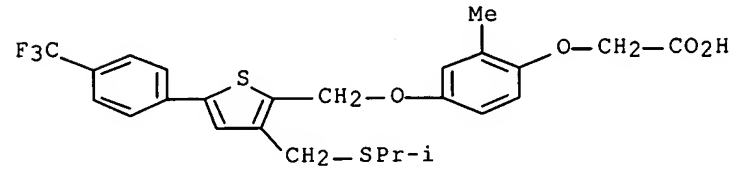
RN 476155-00-7 HCAPLUS
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[phenyl[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



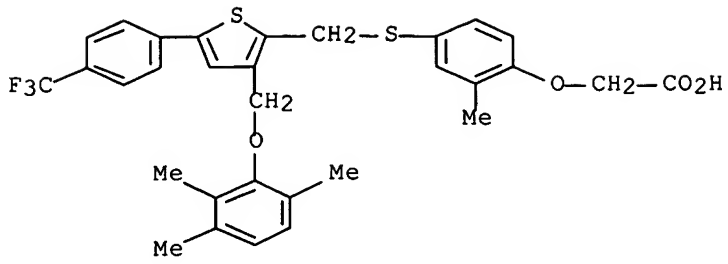
RN 476155-02-9 HCAPLUS
CN Acetic acid, [2-methyl-4-[1-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



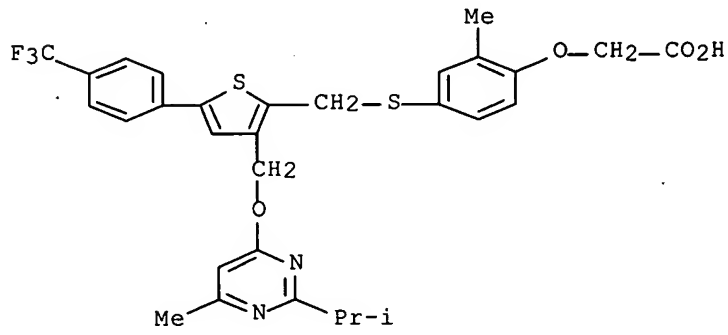
RN 476155-09-6 HCAPLUS
CN Acetic acid, [2-methyl-4-[[3-[[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



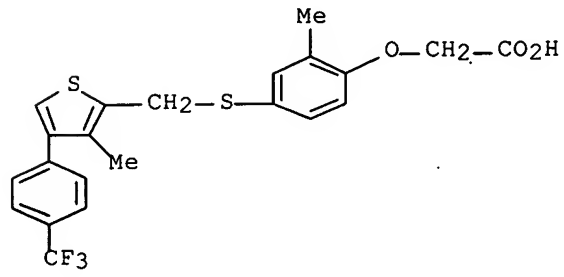
RN 476155-10-9 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-[(2,3,6-trimethylphenoxy)methyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



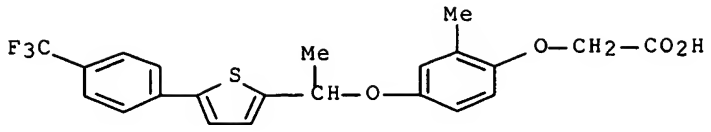
RN 476155-11-0 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-[[[6-methyl-2-(1-methylethyl)-4-pyrimidinyl]oxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



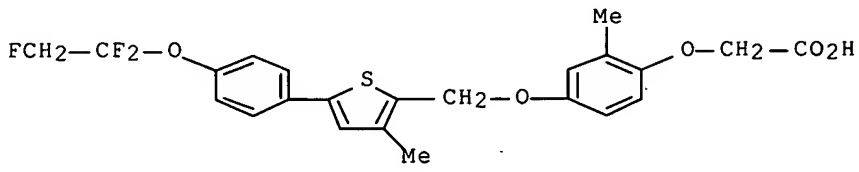
RN 476156-38-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-methyl-4-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN 476156-52-2 HCAPLUS
CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 476156-54-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(1,1,2-trifluoroethoxy)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 24 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:575057 HCAPLUS Full-text
DOCUMENT NUMBER: 137:140514
TITLE: Preparation of thiazole and oxazole derivatives as activators of human peroxisome proliferator activated receptors
INVENTOR(S): Banker, Pierette; Cadilla, Rodolfo; Lambert, Millard Hurst, III; Rafferty, Stephen William; Sternbach, Daniel David; Sznaidman, Marcos Luis
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

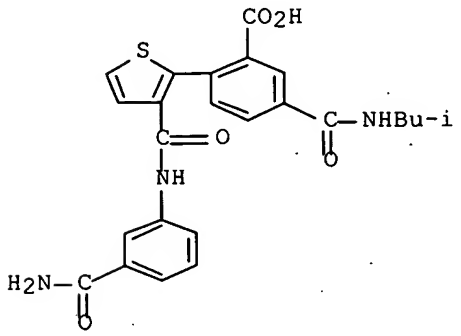
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059098	A1	20020801	WO 2001-US51056	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1349843	A1	20031008	EP 2001-994514	20011219
EP 1349843	B1	20050420		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520377	T	20040708	JP 2002-559400	20011219
AT 293611	T	20050515	AT 2001-994514	20011219

[(isobutylamino)carbonyl]biphenyl-2-carboxylic acid hydrochloride was shown. One of I inhibited human factor VIIa/tissue factor complex at IC50 2.2 μM.

IT 790230-67-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoic acid derivs. having phenylcarbamoyl group via benzene or heterocyclic ring as factor VIIa inhibitors)

RN 790230-67-0 HCAPLUS

CN Benzoic acid, 2-[3-[[[3-(aminocarbonyl)phenyl]amino]carbonyl]-2-thienyl]-5-[[(2-methylpropyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 14 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:878382 HCAPLUS Full-text
DOCUMENT NUMBER: 141:350161
TITLE: Preparation of azole compounds as PTP1B inhibitors
INVENTOR(S): Ikemoto, Tomoyuki; Tanaka, Masahiro; Yuno, Takeo; Sakamoto, Johei; Nakanishi, Hiroyuki; Nakagawa, Yuichi; Ohta, Takeshi; Sakata, Shohei; Morinaga, Hisayo
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 542 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089918	A1	20041021	WO 2004-JP5119	20040409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2521830	A1	20041021	CA 2004-2521830		20040409
EP 1553091	A1	20050713	EP 2004-726765		20040409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
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CN 1780823	A	20060531	CN 2004-80009487		20040409
JP 3819415	B2	20060906	JP 2005-505323		20040409
JP 2005272476	A	20051006	JP 2005-133755		20050428
US 2006122181	A1	20060608	US 2005-176846		20050707
NO 2005005246	A	20051221	NO 2005-5246		20051108
PRIORITY APPLN. INFO.:			JP 2003-105267	A	20030409
			JP 2003-157590	A	20030603
			JP 2005-505323	A3	20040409
			WO 2004-JP5119	W	20040409

OTHER SOURCE(S): MARPAT 141:350161

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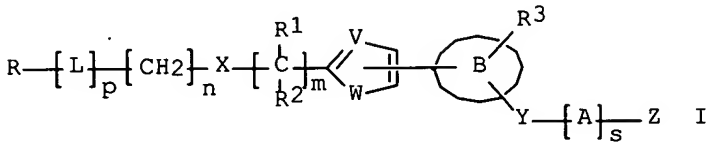

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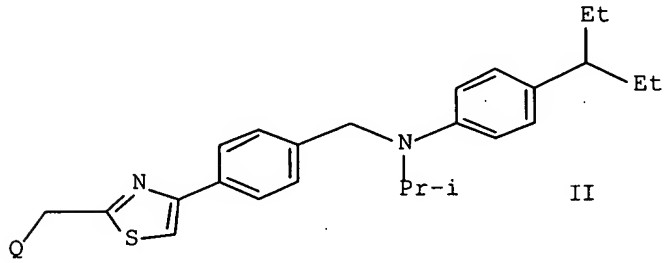
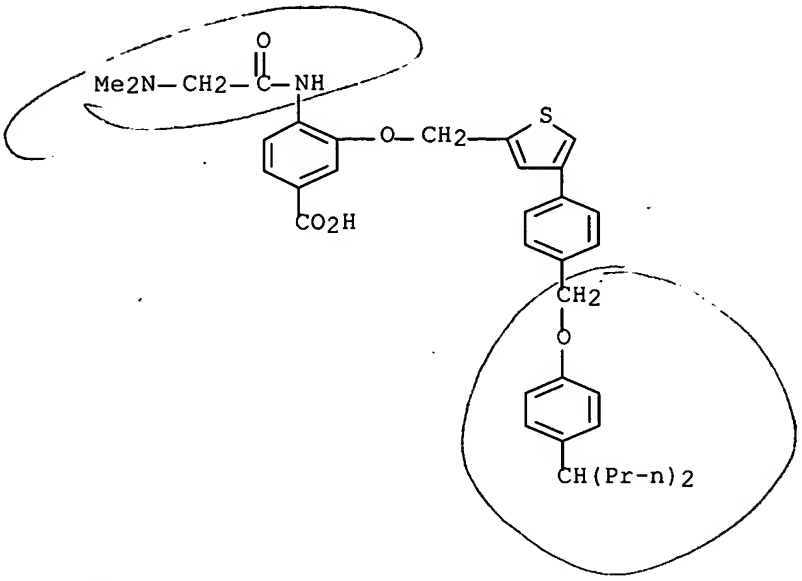


II

AB Title compds. I [V = N, CH; W = S, O; m = 0-2; R1, R2 = H, alkyl; X = NR4, etc.; R4 = H, alkyl; n = 0-4; p = 0, 1; L = CR20R21, etc.; R20 = H, alkyl, etc.; R21 = H, alkyl, etc.; R = CO2R19, etc.; R19 = H, alkyl; B = aryl, heteroaryl; R3 = H, halo, etc.; Y = O, etc.; s = 0, 1; A = (un)substituted alkylene with cycloalkyl; Z = cycloalkyl, etc.] were prepared For example, O-alkylation of 5-hydroxynicotinic acid Me ester with compound II [Q = Cl], e.g., prepared from 4-bromoacetylbenzoic acid in 5 steps, followed by saponification afforded compound II [3-carboxypyridin-5-yloxy] in 44.1% overall yield. In PTP1B (protein tyrosine phosphatase 1B) inhibition assays, the IC50 value of compound II [Q = 3-carboxypyridin-5-yloxy] was 0.28 μM. Compds. I are claimed useful for the treatment of obesity, diabetes, etc. Formulations are given.

IT 776311-53-6P 776311-54-7P 776311-55-8P
776311-56-9P 776311-57-0P 776311-58-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole compds. as PTP1B inhibitors for treatment of obesity and diabetes)

RN 776311-53-6 HCAPLUS
CN Benzoic acid, 4-[[(dimethylamino)acetyl]amino]-3-[[4-[4-[[4-(1-propylbutyl)phenoxy]methyl]phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



RN 776311-54-7 HCAPLUS
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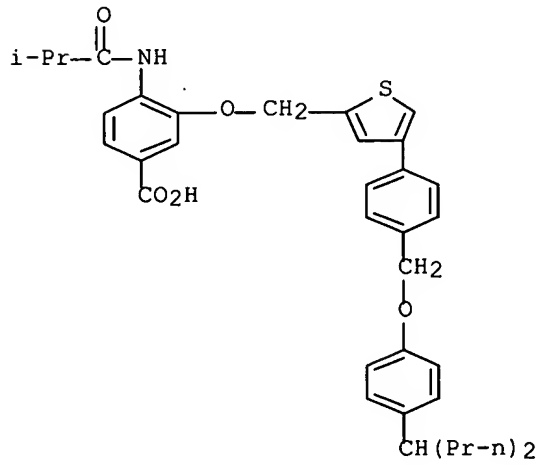

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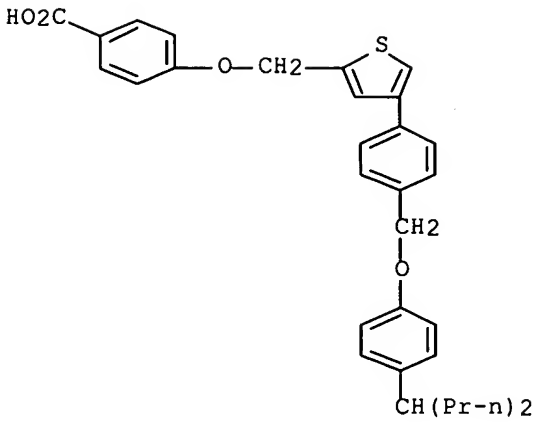
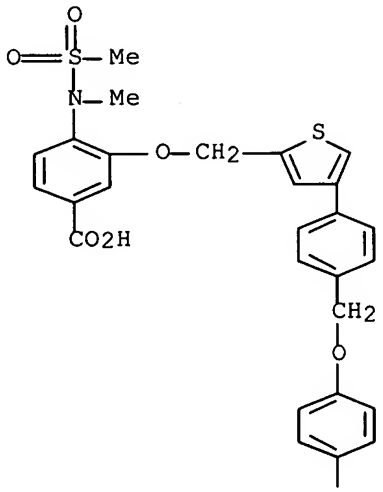


RN 776311-56-9 HCAPLUS
CN Benzoic acid, 4-[methyl(methylsulfonyl)amino]-3-[[4-[4-[[4-(1-propylbutyl)phenoxy]methyl]phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

CH(Pr-n)2

RN 776311-57-0 HCAPLUS
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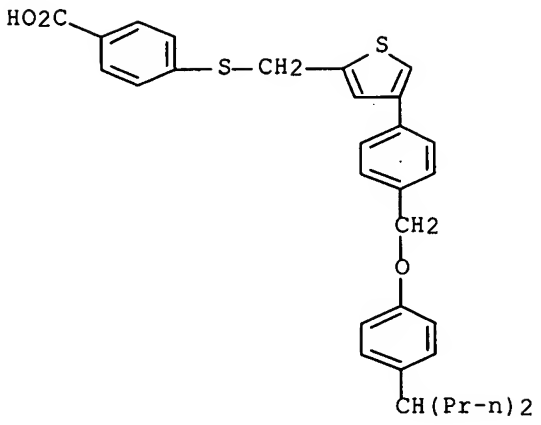

RN 776311-58-1 HCAPLUS
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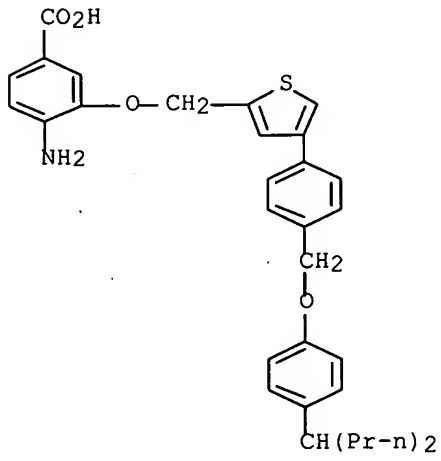
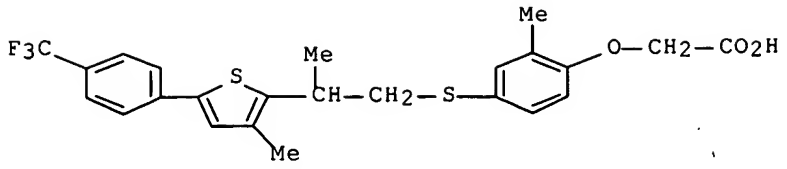


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:606464 HCAPLUS Full-text
DOCUMENT NUMBER: 141:140430
TITLE: Preparation of fused heterocyclic derivatives as PPAR modulators for treatment of diabetes mellitus, syndrome X, and atherosclerosis
INVENTOR(S): Conner, Scott Eugene; Knobelsdorg, James Allen; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Wang, Xiaodong; Zhu, Guoxin; Schkeryantz, Jeffrey Michael
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3



RN 728038-97-9 HCAPLUS
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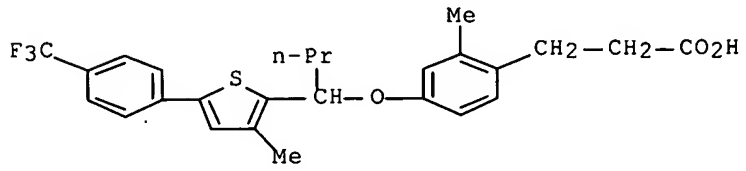
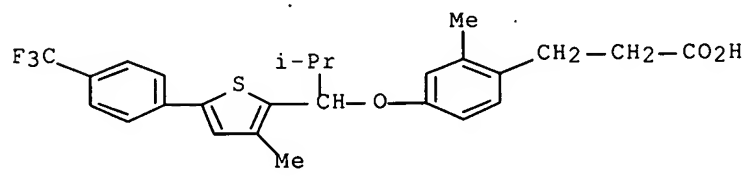
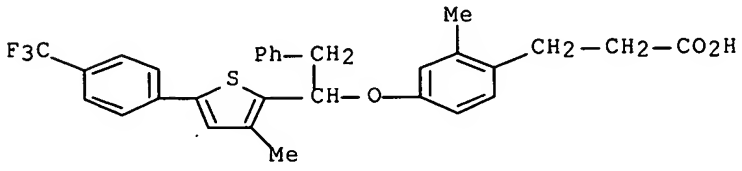


RN 728038-98-0 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[2-methyl-1-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)



RN 728038-99-1 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[1-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]-2-phenylethoxy]- (9CI) (CA INDEX NAME)



L13 ANSWER 17 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:412803 HCAPLUS Full-text
DOCUMENT NUMBER: 141:1264
TITLE: Receptor function controlling agent
INVENTOR(S): Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji; Ito,

Yasuaki; Suzuki, Nobuhiro; Harada, Masataka; Yasuma, Tsuneo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 442 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041266	A1	20040521	WO 2003-JP14139	20031106
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505322	A1	20040521	CA 2003-2505322	20031106
AU 2003277576	A1	20040607	AU 2003-277576	20031106
JP 2005015461	A	20050120	JP 2003-376833	20031106
EP 1559422	A1	20050803	EP 2003-810621	20031106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1735408	A	20060215	CN 2003-80108260	20031106

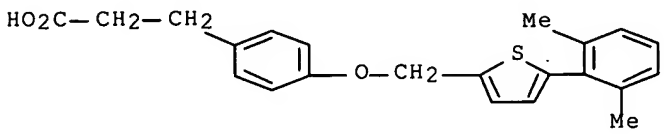
PRIORITY APPLN. INFO.:
JP 2002-324632 A 20021108
JP 2003-16889 A 20030127
JP 2003-153986 A 20030530
WO 2003-JP14139 W 20031106

OTHER SOURCE(S): MARPAT 141:1264

AB A GPR40 receptor function controlling agent which contains a compound having an aromatic ring and a group capable of releasing a cation and is useful as a insulin secretion promoting agent or a preventive/remedy for diabetes, etc.

IT 691904-71-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(GPR40 receptor function controlling agents as antidiabetics)

RN 691904-71-9 HCAPLUS
CN Benzenepropanoic acid, 4-[[5-(2,6-dimethylphenyl)-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



L13 ANSWER 18 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:181798 HCAPLUS Full-text
DOCUMENT NUMBER: 140:217508
TITLE: Preparation of thiophenes as selective

10/540,330

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L16           349 SEA ABB=ON  PLU=ON  ("ZHU GUOXIAN"/AU OR "ZHU GUOXIN"/AU) OR
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                  RECEPTORS"/CV
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     FILE LAST UPDATED: 12 Mar 2007  (20070312/ED)

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

      This file contains CAS Registry Numbers for easy and accurate
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FILE COVERS 1907 - 13 Mar 2007 VOL 146 ISS 12
FILE LAST UPDATED: 12 Mar 2007 (20070312/ED)

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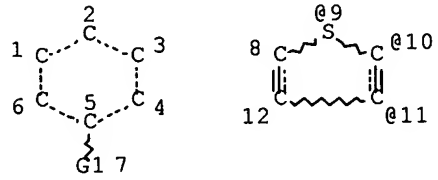

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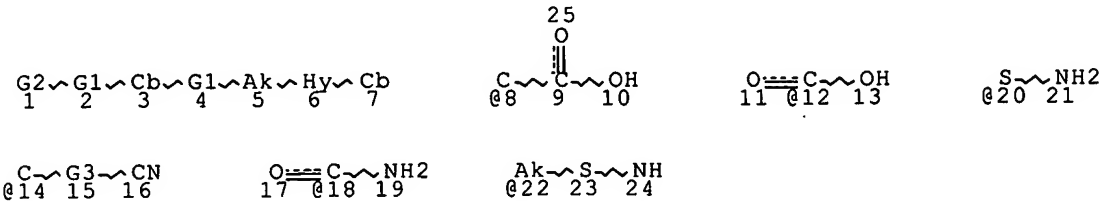

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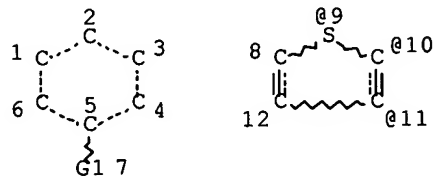

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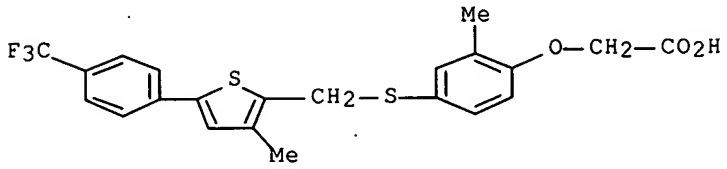
L13 ANSWER 1 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1272380 HCAPLUS Full-text
DOCUMENT NUMBER: 146:100309
TITLE: Insights into the mechanism of the site-selective sequential palladium-catalyzed cross-coupling reactions of dibromothiophenes/dibromothiazoles and arylboronic acids. Synthesis of PPARβ/δ agonists
AUTHOR(S): Pereira, Raquel; Furst, Audrey; Iglesias, Beatriz; Germain, Pierre; Gronemeyer, Hinrich; de Lera, Angel R.
CORPORATE SOURCE: Departamento de Quimica Organica, Universidade de Vigo, Vigo, 36310, Spain
SOURCE: Organic & Biomolecular Chemistry (2006), 4(24), 4514-4525
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A reactivity study, aided by NMR spectroscopy, allowed a mechanistic rationale to be postulated for the palladium-catalyzed regioselective coupling of arylboronic acid (and arylstannane where feasible) at the position next to the

sulfur atom in functionalized dibromothiophenes and dibromothiazoles. The anal. of the NMR spectra (using 19F from the boronic acid CF3 group and 31P from the phosphine of the catalyst as probes) of the entire reaction starting from the dibromoheterocycles allowed the qual. proposal that the transmetalation is the rate-limiting step for both sequential substitution processes. The extremely facile oxidative addition at the C-Br bond next to the sulfur atom of the heterocycle instead dets. the positional selectivity. An addnl. Stille reaction then replaced the second halogen, providing the trisubstituted heterocyclic scaffolds of PPAR ligands, which displayed PPARβ/δ agonist activity, as revealed by reporter assays in living cells.

IT 476154-13-9P 918164-63-3P 918164-64-4P
918164-65-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target PPARβ/δ agonist; mechanism of the site-selective sequential Pd-catalyzed cross-coupling reactions of dibromothiophenes/dibromothiazoles and arylboronic acids and synthesis of PPARβ/δ agonists)

RN 476154-13-9 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN 918164-63-3 HCAPLUS
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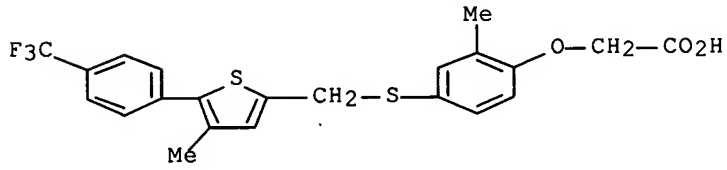

RN 918164-64-4 HCAPLUS
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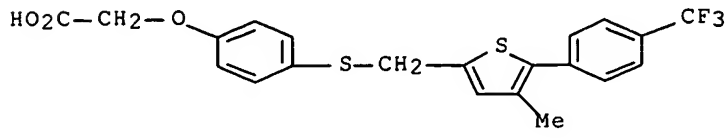
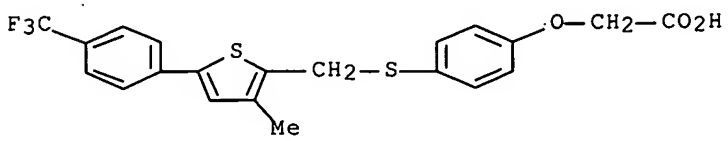


RN 918164-65-5 HCAPLUS
CN Acetic acid, 2-[4-[[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1253037 HCAPLUS Full-text
DOCUMENT NUMBER: 146:33027
TITLE: Pharmaceutical composition comprising vitamin k
INVENTOR(S): Inoue, Satoshi; Sato, Seiji; Kyokawa, Yoshimasa; Sugita, Ken-Ichi; Torii, Mikinori
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006126541	A1	20061130	WO 2006-JP310249	20060523

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

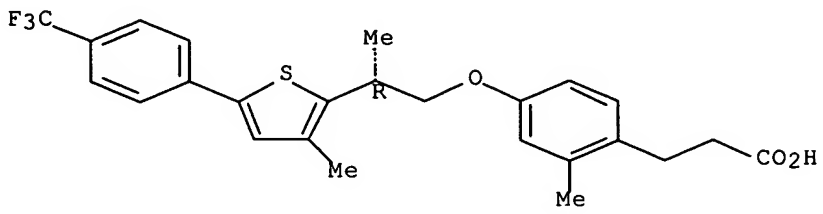
PRIORITY APPLN. INFO.: JP 2005-155837 A 20050527

AB It is found that a compound having a PPARδ agonistic activity induces abnormal blood coagulation or a muscular disorder. A pharmaceutical composition comprising the combination of a compound having a PPARδ agonistic activity and a vitamin K can prevent the abnormal blood coagulation. A pharmaceutical composition comprising a vitamin K can prevent the muscular disorder.

IT 728038-95-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising vitamin k)
RN 728038-95-7 HCAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[(2R)-2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



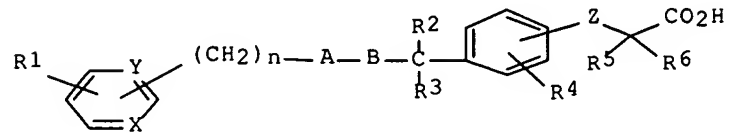
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:887897 HCAPLUS Full-text
DOCUMENT NUMBER: 145:293047
TITLE: Preparation of heterocyclic compounds as activators for peroxisome proliferator activated receptor δ
INVENTOR(S): Sakuma, Shogo; Mochiduki, Nobutaka; Takahashi, Rie; Hirai, Toshitake; Yamakawa, Tomio; Masui, Seiichiro
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan
SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006090920	A1	20060831	WO 2006-JP304193	20060228

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-52762 A 20050228
OTHER SOURCE(S): MARPAT 145:293047
GI

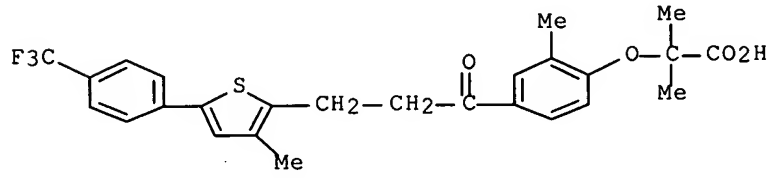


I

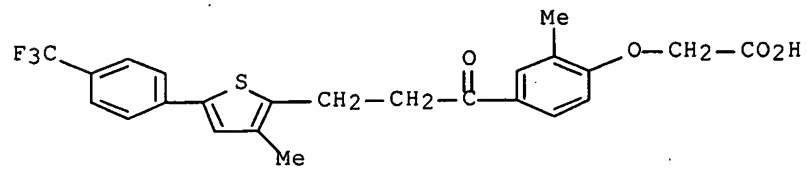
AB The title compds. I [R1, R4 = H, alkyl, alkenyl, etc.; R2 = H; R3 = alkyl; or CR2R3 is CO, or CR2R3 is C=CR7R8; R7, R8 = H, alkyl; R5, R6 = H, alkyl, haloalkyl; X, Y = CH, N; Z = O, S; A = (un)substituted pyrazole, thiophene, furan, or pyrrole ring; B = (un)substituted alkylene; n = 0 - 5] are prepared Thus, 2-[4-[3-[3-isopropyl-1-(4-trifluoromethylphenyl)-1H- pyrazol-4-yl]propionyl]-2-methylphenoxy]-2-methylpropionic acid was prepared in a multistep process from [3-isopropyl-1-(4-trifluoromethylphenyl)-1H- pyrazol-4-yl]methanol. In an assay for the activation of peroxisome proliferator-activated receptor δ, compds. of this invention showed high activity.

IT 908250-77-1P 908250-81-7P 908250-97-5P
908251-01-4P 908251-03-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as activators for peroxisome proliferator-activated receptor δ)

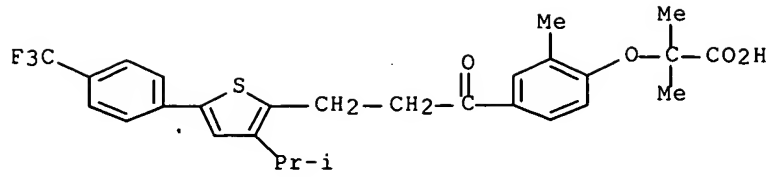
RN 908250-77-1 HCAPLUS
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]-1-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)



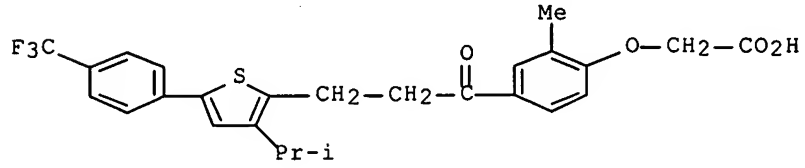
RN 908250-81-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[3-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]-1-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)



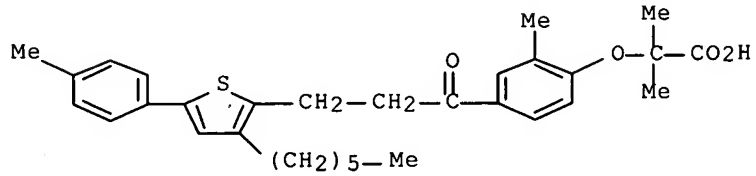
RN 908250-97-5 HCAPLUS
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-5-[4-(trifluoromethyl)phenyl]-2-thienyl]-1-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 908251-01-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[3-[3-(1-methylethyl)-5-[4-(trifluoromethyl)phenyl]-2-thienyl]-1-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 908251-03-6 HCAPLUS
CN Propanoic acid, 2-[4-[3-[3-hexyl-5-(4-methylphenyl)-2-thienyl]-1-oxopropyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

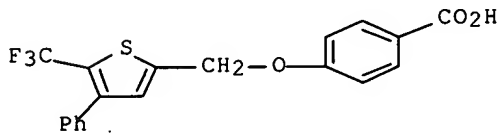
L13 ANSWER 4 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:772794 HCAPLUS Full-text
DOCUMENT NUMBER: 145:369215
TITLE: Species differences in metabolism and pharmacokinetics of a sphingosine-1-phosphate receptor agonist in rats and dogs: formation of a unique glutathione adduct in the rat
AUTHOR(S): Anari, M. Reza; Creighton, Mellissa D.; Ngui, Jason S.; Tschirret-Guth, Richard A.; Teffera, Yohannes; Doss, George A.; Tang, Wei; Yu, Nathan X.; Ciccotto, Suzanne L.; Hobra, Donald F., Jr.; Coleman, John B.; Vincent, Stella H.; Evans, David C.
CORPORATE SOURCE: Department of Drug Metabolism, Merck Research Laboratories, West Point, PA, USA
SOURCE: Drug Metabolism and Disposition (2006), 34(8), 1367-1375
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The pharmacokinetics and metabolism of 1-(4-((4-phenyl-5-trifluoromethyl-2-thienyl)methoxy)benzyl)azetidine-3-carboxylic acid (MRL-A), a selective agonist for the sphingosine-1-phosphate 1 (S1P1) receptor, were investigated in rats and dogs. In both species, more than 50% of the dose was excreted in bile. Specific to the rat, and observed in bile, were a taurine conjugate of MRL-A and a glucuronide conjugate of an azetidine lactam metabolite. In dogs, a smaller portion of the dose (54% of administered dose) was excreted intact in bile, and the major metabolites detected were an azetidine N-oxide of MRL-A and an acylglucuronide of an N-dealkylation product. This latter metabolite was also observed in rat bile. Stereoselective formation of the N-oxide isomer was observed in dogs, whereas the rat produced comparable amts. of both isomers. The formation of a unique glutathione adduct was observed in rat bile, which was proposed to occur via N-dealkylation, followed by reduction of the putative aldehyde product to form the alc., and dehydration of the alc. to generate a reactive quinone methide intermediate. Incubation of a synthetic standard of this alc. in rat microsomes fortified with reduced glutathione or rat hepatocytes resulted in formation of this unique glutathione adduct.

IT 910579-71-4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(species differences in metabolism and pharmacokinetics of a sphingosine-1-phosphate receptor agonist MRL-A in rats and dogs)

RN 910579-71-4 HCAPLUS
CN Benzoic acid, 4-[[4-phenyl-5-(trifluoromethyl)-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:513667 HCAPLUS Full-text
DOCUMENT NUMBER: 145:27731
TITLE: Preparation of biaryl compounds, particularly N-(biarylpropionyl)anthranilides, as niacin receptor agonists and pyridoindolizine derivatives as DP receptor antagonists, their pharmaceutical compositions and their combination useful for treating atherosclerosis and dyslipidemias
INVENTOR(S): Colletti, Steven L.; Tata, James R.; Shen, Hong C.; Ding, Fa-Xiang; Frie, Jessica L.; Imbriglio, Jason E.; Chen, Weichun
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057922	A2	20060601	WO 2005-US41962	20051118
WO 2006057922	A3	20060831		

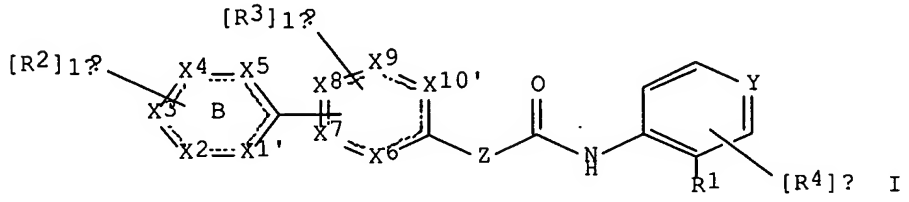
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

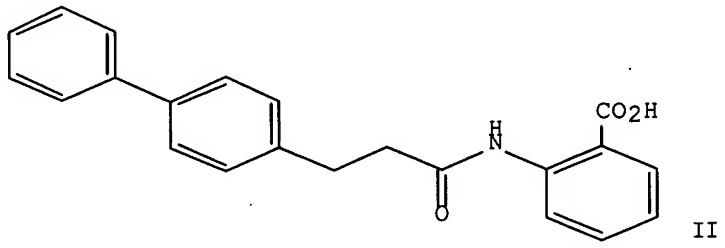
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-630281P P 20041123

OTHER SOURCE(S): MARPAT 145:27731

GI



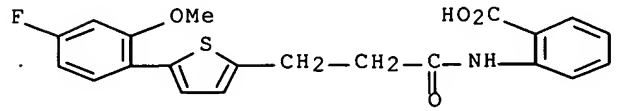


AB The invention is related to biaryls I [Y = C, N; Z = C(RaRb)n; Ra, Rb = independently H, alkyl, OH, F, etc.; n = 1-5; R1 = CO2H, 1H-tetrazol-5-yl, CONHSO2Rc; Rc = (un)substituted alkyl, Ph; X10' = (X10)0-1; X1' = (X1)0-1' X1-X10 = C, or a heteroatom selected from O, S, and N, with provisos; each R2 = H, F, Cl, Br, I, alkyl, heterocyclyl, etc.; or two R2 groups taken together can form a fused Ph or fused heterocycle with ring B; each R3 = H, halo, halo/alkyl, halo/alkoxy, etc.; each R4 = H, halo, Me, etc.], as well as pharmaceutically acceptable salts, solvates, as niacin receptor agonists useful for treating atherosclerosis and dyslipidemias in combination with DP antagonists. The invention is also related to the preparation of DP antagonists. Pharmaceutical compns. comprising I are also included. Thus, anthranilide II was prepared by Pd-coupling of 3-(4-iodophenyl)propionic acid with phenylboronic acid, chlorination of biaryl propionic acid (no data) with SOCl2, and amidation of acyl chloride (no data) with anthranilic acid. I have an EC50 in the functional assay in vitro GTPγS binding assay within the range of about less than 1 μM to as high as about 100 μM. Have an IC50 in the 3H-nicotinic acid competition binding assay within the range of 1 nM to about 25

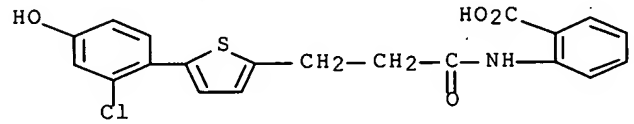
μM. Selected I do not exhibit measurable in vivo vasodilation in the murine flushing model at doses up to 100 mg/kg or 300 mg/kg in the presence of DP antagonists.

IT 889360-23-0P 889360-24-1P 889360-31-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(niacin agonist; preparation of biaryl compds. as niacin receptor agonists and pyridoindolizine derivs. as DP receptor antagonists and their combination useful for treating atherosclerosis and dyslipidemias)

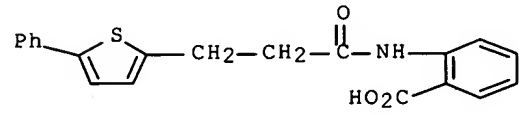
RN 889360-23-0 HCAPLUS
CN Benzoic acid, 2-[[3-[5-(4-fluoro-2-methoxyphenyl)-2-thienyl]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)



RN 889360-24-1 HCAPLUS
CN Benzoic acid, 2-[[3-[5-(2-chloro-4-hydroxyphenyl)-2-thienyl]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)



RN 889360-31-0 HCAPLUS
CN Benzoic acid, 2-[[1-oxo-3-(5-phenyl-2-thienyl)propyl]amino]- (9CI) (CA INDEX NAME)



L13 ANSWER 6 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:469629 HCAPLUS Full-text
DOCUMENT NUMBER: 144:488936
TITLE: Preparation of amino acid aryl or heteroaryl derivatives as glycogen phosphorylase inhibitors
INVENTOR(S): Evans, Karen; Cichy-Knight, Maria; Coppo, Frank Teen; Dwornik, Kate Ann; Gale, Jennifer Paul; Garrido, Dulce Maria; Li, Yue Hu; Patel, Mehul P.; Tavares, Francis X.; Thomson, Stephen Andrew; Dickerson, Scott Howard; Peat, Andrew James; Sparks, Steven Meagher; Banker, Pierette; Cooper, Joel P.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 681 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006052722	A1	20060518	WO 2005-US39956	20051104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

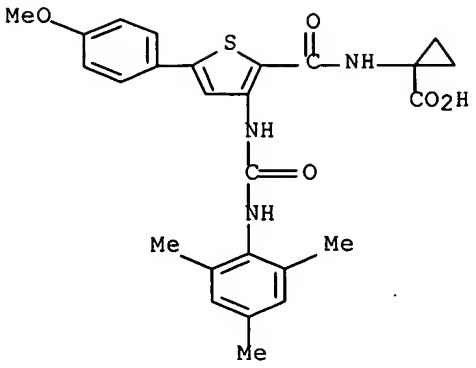
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-626389P P 20041109
OTHER SOURCE(S): MARPAT 144:488936

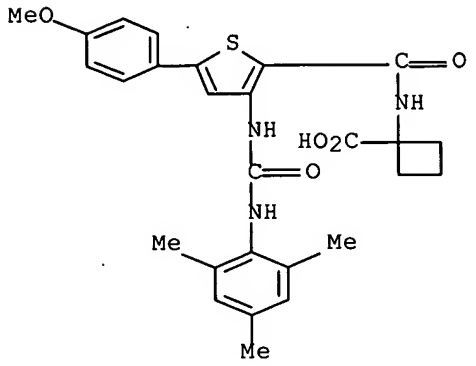
AB The invention relates to compds. R-Ar-NR1CO-X-Ar' [R is CO2H or carbamoyl which may be substituted by alkyl, aryl, carboxyalkyl, etc.; Ar is an aromatic, heteroarom., cycloaliph. or heterocyclic ring which may fused to an aromatic or heteroarom. ring; X is carbon, nitrogen, oxygen or sulfur; Ar' is an aromatic or heteroarom. ring; R1 is H or alkyl] or their pharmaceutically-acceptables salts, which are inhibitors of glycogen phosphorylase and can be used to treat diabetes, conditions associated with diabetes, or tissue ischemia, including myocardial ischemia. Thus, N-[3-[[[(2,6-dimethylphenyl)amino]carbonyl]amino]-2-naphthoyl]-L-aspartic acid was prepared by treating L-Asp(tBu)-Wang Resin with 3-amino-2-naphthalenecarboxylic acid and then 2,6-dimethylphenyl isocyanate. The product showed IC50 = 0.46 μM for inhibition of glycogen phosphorylase.

IT 887241-67-0P 887241-68-1P 887241-69-2P
887241-70-5P 887241-71-6P 887241-72-7P
887242-52-6P 887242-53-7P 887242-54-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid aryl or heteroaryl derivs. as glycogen phosphorylase inhibitors)

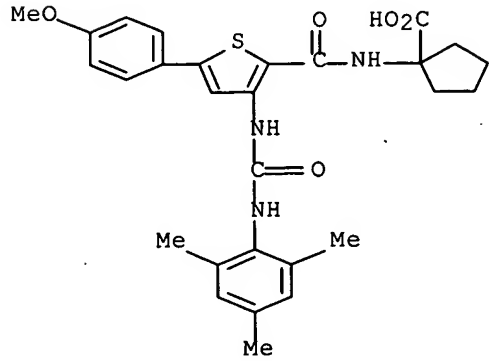
RN 887241-67-0 HCAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-methoxyphenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 887241-68-1 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[5-(4-methoxyphenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

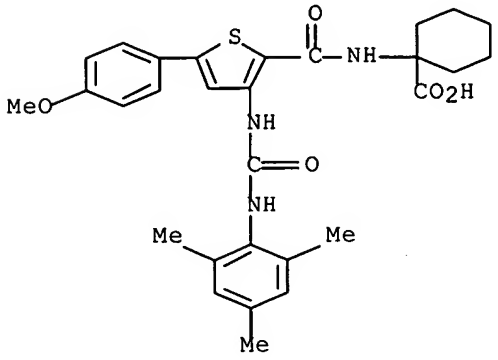


RN 887241-69-2 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[5-(4-methoxyphenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

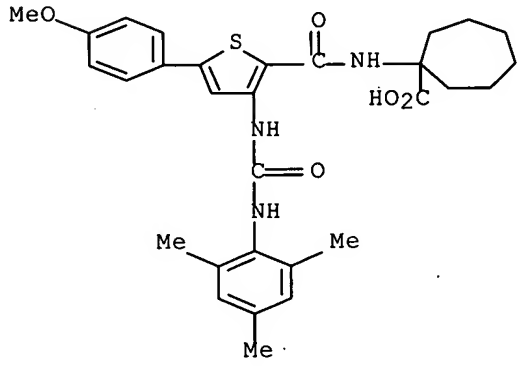


RN 887241-70-5 HCAPLUS

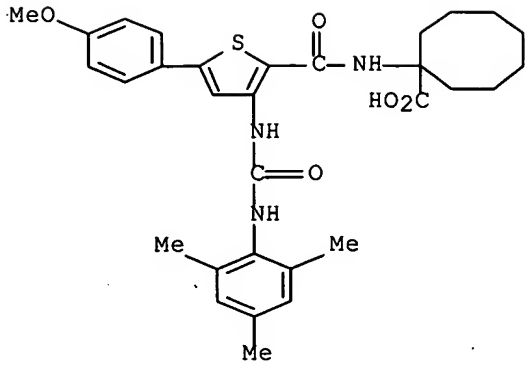
CN Cyclohexanecarboxylic acid, 1-[[[5-(4-methoxyphenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



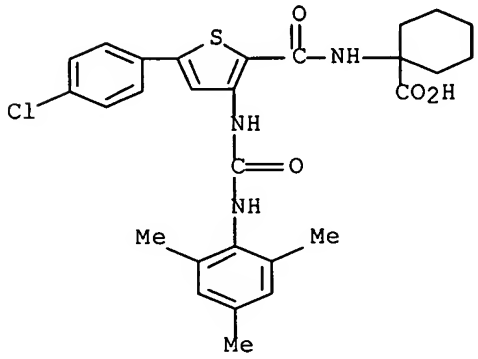
RN 887241-71-6 HCAPLUS
CN Cycloheptanecarboxylic acid, 1-[[[5-(4-methoxyphenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



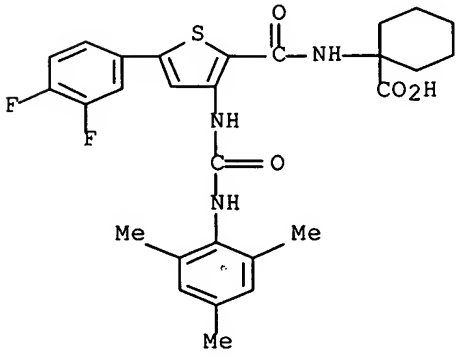
RN 887241-72-7 HCAPLUS
CN Cyclooctanecarboxylic acid, 1-[[[5-(4-methoxyphenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 887242-52-6 HCAPLUS
CN Cyclohexanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

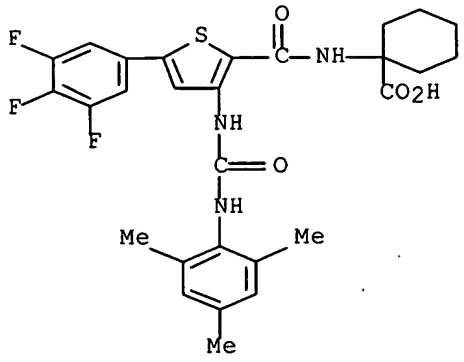


RN 887242-53-7 HCAPLUS
CN Cyclohexanecarboxylic acid, 1-[[[5-(3,4-difluorophenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 887242-54-8 HCAPLUS

CN Cyclohexanecarboxylic acid, 1-[[[5-(3,4,5-trifluorophenyl)-3-[[[(2,4,6-trimethylphenyl)amino]carbonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1290198 HCAPLUS Full-text
DOCUMENT NUMBER: 144:36347
TITLE: Preparation of triazoles as modulators of peroxisome proliferator activated receptors (PPAR).
INVENTOR(S): Zhu, Yan; Ma, Jingyuan; Cheng, Peng; Zhao, Zuchun; Gregoire, Francine M.; Rakhmanova, Vera A.
PATENT ASSIGNEE(S): Metabolex, Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

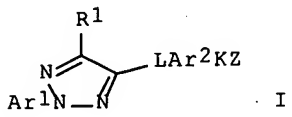
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115383	A2	20051208	WO 2005-US18318	20050524
WO 2005115383	A3	20060817		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247473	A1	20051208	AU 2005-247473	20050524
CA 2567437	A1	20051208	CA 2005-2567437	20050524
US 2006014809	A1	20060119	US 2005-137678	20050524
EP 1751120	A2	20070214	EP 2005-759611	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

PRIORITY APPLN. INFO.: US 2004-574426P P 20040525
WO 2005-US18318 W 20050524

OTHER SOURCE(S): MARPAT 144:36347

GI



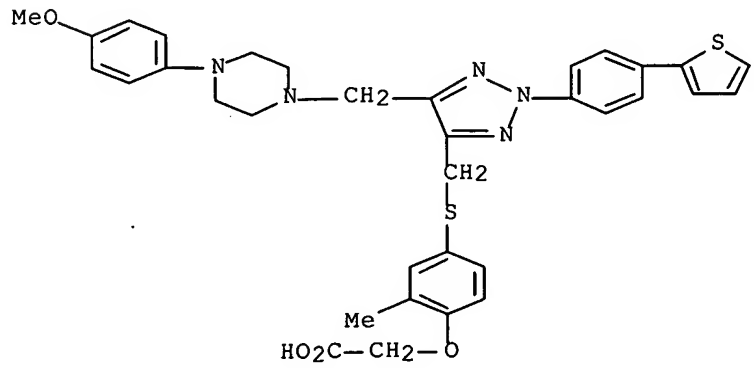
I

AB Title compds. [I; Ar1 = (substituted) Ph, naphthyl, imidazolyl, benzimidazolyl, pyrrolyl, indolyl, thienyl, benzothienyl, furyl, benzofuryl, benzodioxolyl; Ar2 = (substituted) Ph, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl; L = specified linker having 1-6 chain atoms; K = bond, specified linker having 1-6 chain atoms; R1 = H, halo, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; Z = CH2OR6, CO2R6, tetrazol-5-yl, CONHSO2R2, CHO; R2 = H, alkyl, haloalkyl, aryl, aralkyl, heteroaryl, etc.; R6 = H, alkyl, haloalkyl, alkenyl, cycloalkyl, heterocyclyl, aralkyl, aralkenyl, etc.; with provisos], were prepared I are useful in treatment of type 2 diabetes, hyperinsulemia, hyperlipidemia, hyperuricemia, hypercholesteremia, atherosclerosis, cardiovascular disease, Syndrome X, hypertriglyceridemia, hyperglycemia, obesity, and eating disorders. Thus, 2-methyl-2-[2-methyl-4-[5-methyl-2-(4-trifluoromethylphenyl)-2H-1,2,3- triazol-4-ylmethylsulfanyl]phenoxy]propionic acid (multistep preparation given) showed EC50 ≤10 μM in a PPARα and PPARδ transactivation assay.

IT 870885-42-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of triazoles as modulators of peroxisome proliferator activated receptors)

RN 870885-42-0 HCAPLUS

CN Acetic acid, [4-[[[5-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]-2-[4-(2-thienyl)phenyl]-2H-1,2,3-triazol-4-yl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

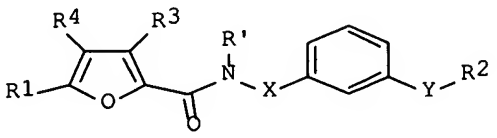


L13 ANSWER 8 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962237 HCAPLUS Full-text
DOCUMENT NUMBER: 143:266806
TITLE: Preparation of N-substituted (hetero)aryl, particularly furan-2-yl, carboxamides and related compounds as prostanoid EP2 receptor agonists
INVENTOR(S): Oxford, Alexander William; Davis, Richard Jon; Coleman, Robert Alexander; Clark, Kenneth Lyle; Clark, David Edward; Harris, Neil Victor; Fenton, Garry; Hynd, George; Stuttle, Keith Alfred James; Sutton, Jonathan Mark; Ashton, Mark Richard; Boyd, Edward Andrew; Brunton, Shirley Ann
PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK
SOURCE: PCT Int. Appl., 238 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

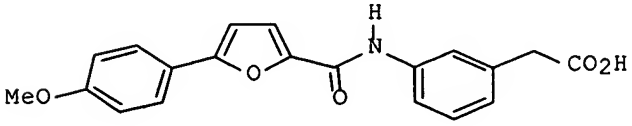
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080367	A1	20050901	WO 2005-GB462	20050211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005256170	A1	20051117	US 2005-55724	20050211
EP 1723132	A1	20061122	EP 2005-708287	20050211
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:
US 2004-543538P P 20040212
US 2004-626940P P 20041112
WO 2005-GB462 W 20050211

OTHER SOURCE(S): MARPAT 143:266806
GI



II

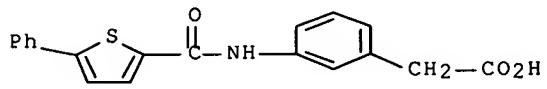


III

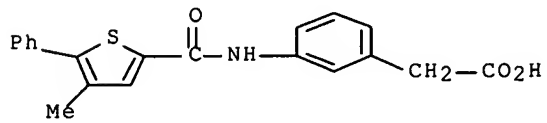
AB Title compds. of formula R5-A-D-(CH2)n-B (I) [R5 = (un)substituted aryl, alkyl; A = (un)substituted 1,4-phenylene, 1,3-phenylene, 1,6-pyridinylene, 1,5-furanylene, etc.; D = CONH and derivs., NHCO and derivs., COCH2, etc.; B = (un)substituted Ph, 2-naphthyl, 5-benzofuran-2-yl, etc.; n = 0-1] their salts, solvates, and chemical protected forms, particularly N-substituted furan carboxamides II [X = (CH2)n; Y = (CH2)m; n = 0-1; m = 0-3; (m + n) = 0-4; R1 = (un)substituted Ph, benzodioxol-5-yl, adamant-1-yl, etc.; R2 = CO2H, CONH2, CH2OH, tetrazol-5-yl; R3, R4 = independently H, (un)substituted alkyl, aryl, etc.; R' = H, (un)substituted alkyl], were prepared as EP2 receptor agonists. Thus, amination of 5-bromo-2-furoic acid with 3-aminophenylacetic acid Et ester (preparation given), Pd-coupling with 4-methoxyphenylboronic acid, and saponification of the ester gave amide III. III displayed a pKi value of > 5 M for binding to human EP2 receptor. Selected I were EP2 agonists/EP4 antagonists.

IT 863702-77-6P, [3-[[(5-Phenylthien-2-yl)carbonyl]amino]phenyl]aceti c acid 863702-98-1P, [3-[[(4-Methyl-5-phenylthien-2-yl)carbonyl]amino]phenyl]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-substituted (hetero)aryl, particularly furan-2-yl, carboxamides and related compds. as prostanoid EP2 receptor agonists)

RN 863702-77-6 HCAPLUS
CN Benzeneacetic acid, 3-[[(5-phenyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 863702-98-1 HCAPLUS
CN Benzeneacetic acid, 3-[[(4-methyl-5-phenyl-2-thienyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:904352 HCAPLUS Full-text
DOCUMENT NUMBER: 143:248386
TITLE: Preparation of substituted azole derivatives for treating diseases mediated by PTPase activity
INVENTOR(S): Mjalli, Adnan M. M.; Polisetti, Dharma R.; Subramanian, Govindan; Quada, James C.; Arimilli, Murty N.; Yarragunta, Ravindra R.; Andrews, Robert C.;

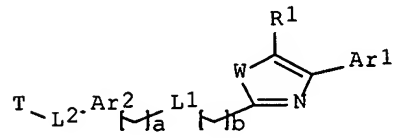
Xie, Rongyuan
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 204 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005187277	A1	20050825	US 2005-56498	20050211
AU 2005214349	A1	20050901	AU 2005-214349	20050211
CA 2551909	A1	20050901	CA 2005-2551909	20050211
WO 2005080346	A1	20050901	WO 2005-US4590	20050211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1730118	A1	20061213	EP 2005-723026	20050211
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1922151	A	20070228	CN 2005-80004860	20050211

PRIORITY APPLN. INFO.: US 2004-543971P P 20040212
WO 2005-US4590 W 20050211

OTHER SOURCE(S): MARPAT 143:248386
GI



I

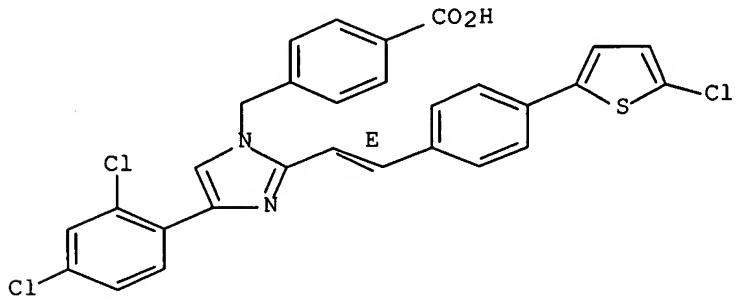
AB The title compds. I [a, b = 0-2; W = O, S, NR2 (wherein R2 = alkyl, etc.); R1 = H, halo, CN, etc.; L1 = a direct bond, (un)substituted NHCO, NHSO2, etc.; Ar1 = (un)substituted (hetero)aryl, fused cycloalkylaryl, etc.; Ar2 = (un)substituted (hetero)arylene, fused arylcycloalkylene, etc.; L2 = CH2, O, alkylene, etc.] which can be useful as inhibitors of protein tyrosine phosphatases and thus can be useful for the management, treatment, control, or the adjunct treatment of diseases mediated by PTPase activity such as type I diabetes and type II diabetes, were prepared Thus, treating 4-(2,4-dichlorophenyl)-2-[2-(4-methoxyphenyl)-(E)-vinyl]-1H- imidazole with Me bromoacetate followed by ester hydrolysis afforded 56% {4-(2,4-dichlorophenyl)-2-[2-(4-methoxyphenyl)-(E)-vinyl]-1H-imidazol-1- yl}acetic acid. The representative compds. I were tested for inhibition of PTP-1B. In

general, the exemplified compds. I may inhibit PTP-1B with IC50 of less than 20 μM. The pharmaceutical compns. comprising the compds. I, and their use in treating human or animal disorders are also disclosed.

IT 863245-14-1P 863245-23-2P 863245-65-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted azole derivs. for treating diseases mediated by PTPase activity)

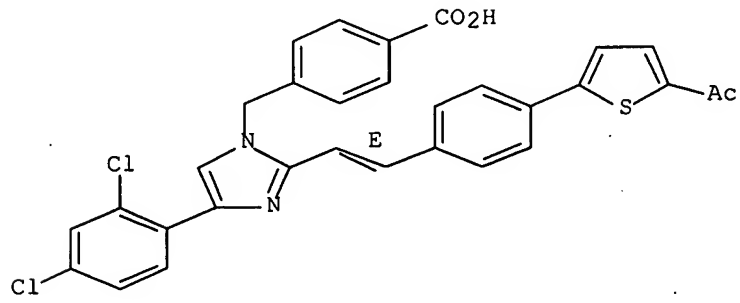
RN 863245-14-1 HCAPLUS
CN Benzoic acid, 4-[[2-[(1E)-2-[4-(5-chloro-2-thienyl)phenyl]ethenyl]-4-(2,4-dichlorophenyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



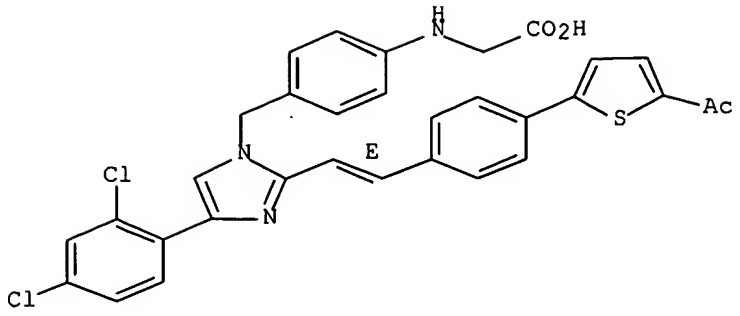
RN 863245-23-2 HCAPLUS
CN Benzoic acid, 4-[[2-[(1E)-2-[4-(5-acetyl-2-thienyl)phenyl]ethenyl]-4-(2,4-dichlorophenyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 863245-65-2 HCAPLUS
CN Glycine, N-[4-[[2-[(1E)-2-[4-(5-acetyl-2-thienyl)phenyl]ethenyl]-4-(2,4-dichlorophenyl)-1H-imidazol-1-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)

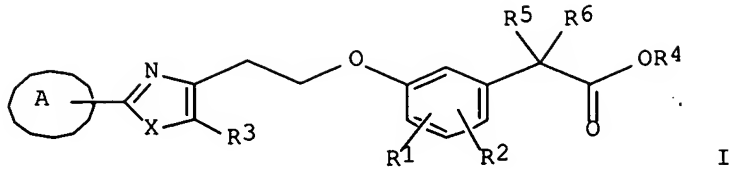
Double bond geometry as shown.



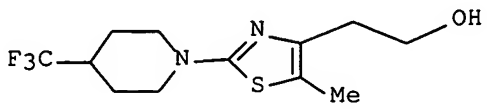
L13 ANSWER 10 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:283476 HCAPLUS Full-text
DOCUMENT NUMBER: 142:355258
TITLE: Preparation of azole compounds containing phenylacetic acid moiety as PPARδ agonists
INVENTOR(S): Kusuda, Shinya; Nakayama, Yoshisuke; Tajima, Hisao; Sakamoto, Takahiko
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005028453	A1	20050331	WO 2004-JP14137		20040921
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004274337	A1	20050331	AU 2004-274337		20040921
CA 2539554	A1	20050331	CA 2004-2539554		20040921
EP 1666472	A1	20060607	EP 2004-773449		20040921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004014580	A	20061107	BR 2004-14580		20040921
CN 1882553	A	20061220	CN 2004-80033842		20040921
NO 2006001281	A	20060622	NO 2006-1281		20060321
PRIORITY APPLN. INFO.:			JP 2003-330616	A	20030922
			JP 2004-231546	A	20040806
			WO 2004-JP14137	W	20040921

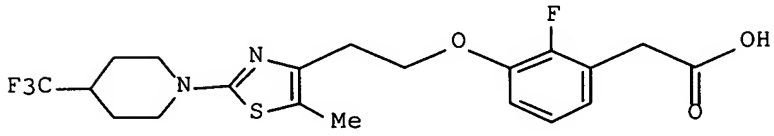
OTHER SOURCE(S): MARPAT 142:355258
GI



I



II



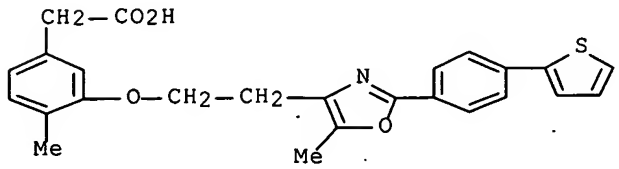
III

AB Title compds. I [R1, R2 = H, alkyl, etc.; R3 = optionally substituted alkyl with halo, etc.; R4 = H, alkyl; R5, R6 = H, alkyl; further detail on R5, R6 is provided.; X = S, O, etc.; ring A = optionally substituted cyclic group] were prepared For example, reaction of compound II, e.g., prepared from 4-(trifluoromethyl)piperidine·HCl in 5 steps, with 2-fluoro-3-hydroxyphenylacetic acid Me ester under Mitsunobu condition followed by hydrolysis using aqueous NaOH afforded compound III. The exemplified compound III exhibited 1.23 fold increase for PPARδ at 1.0 μM. Compds. I are claimed useful as PPARδ agonists for the treatment of hyperlipidemia, obesity. Formulations are given.

IT 848943-73-7P 848943-74-8P 848943-77-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole compds. containing phenylacetic acid moiety as PPAR agonists for treatment of hyperlipidemia, obesity)

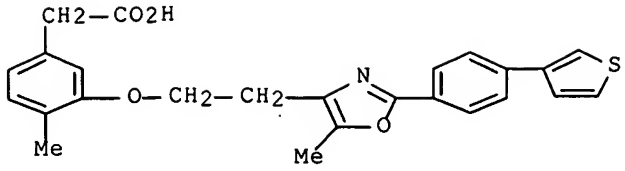
RN 848943-73-7 HCAPLUS

CN Benzeneacetic acid, 4-methyl-3-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)

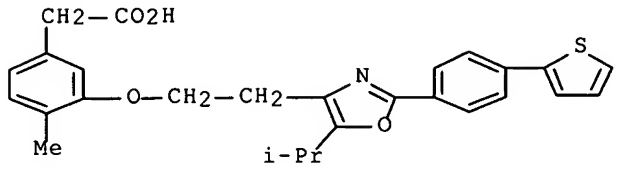


RN 848943-74-8 HCAPLUS

CN Benzeneacetic acid, 4-methyl-3-[2-[5-methyl-2-[4-(3-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



RN 848943-77-1 HCAPLUS
CN Benzeneacetic acid, 4-methyl-3-[2-[5-(1-methylethyl)-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)

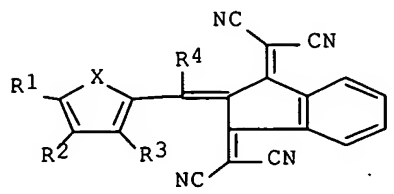


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:116569 HCAPLUS Full-text
DOCUMENT NUMBER: 142:207534
TITLE: High-sensitive electrophotographic photoreceptor for positive charging
INVENTOR(S): Kuroda, Masami; Sekine, Nobuyuki; Kotani, Noriko; Okura, Kenichi; Takeshima, Motohiro
PATENT ASSIGNEE(S): Fuji Electric Imaging Device Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005037476	A	20050210	JP 2003-197697	20030716
PRIORITY APPLN. INFO.:			JP 2003-197697	20030716

OTHER SOURCE(S): MARPAT 142:207534
GI



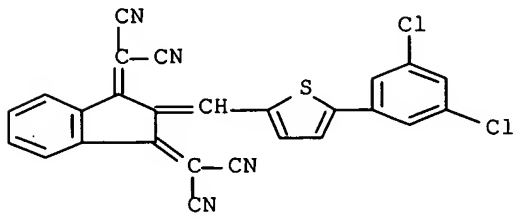
I

AB The photoreceptor has a light-sensitive layer containing a charge generating substance and a charge transporting substance I [R1-3 = H, halo, (substituted) C1-8 alkyl, (substituted) aryl; R4 = H, C1-8 alkyl; X = S, O; n = 1-3] with electron transportability on an elec. conducting support.

IT 839717-34-9
RL: DEV (Device component use); USES (Uses)
(electrophotog. photoreceptor containing tetracyano indene compound electron-transporting agent)

RN 839717-34-9 HCAPLUS

CN Propanedinitrile, 2,2'-[2-[[5-(3,5-dichlorophenyl)-2-thienyl]methylene]-1H-indene-1,3(2H)-diylidene]bis- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:34105 HCAPLUS Full-text

DOCUMENT NUMBER: 142:138304

TITLE: Semiconductor for photoelectric conversion material, photoelectric converter, and photoelectrochem. cell

INVENTOR(S): Ofuku, Koji; Kagawa, Nobuaki; Tanaka, Tatsuo

PATENT ASSIGNEE(S): Konica Minolta Holdings, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005011800	A	20050113	JP 2004-127878	20040423
PRIORITY APPLN. INFO.:			JP 2003-147449 A	20030526

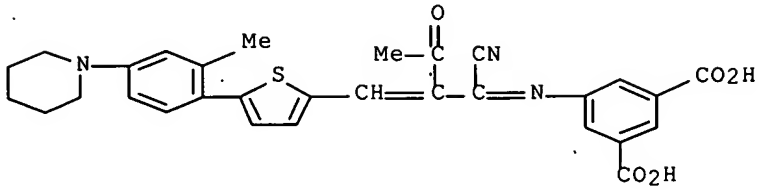
AB The semiconductor contains a compound of the structure Cp=[L1-L2]m=L3(Ar2)nAr1NR1R2 [Ar1, Ar2 = a five- or six-membered aromatic ring or heterocyclic ring; Cp = an atomic group rendering the compound absorbing in visible and near IR range with ≥1 substitutable carboxyl group; R1, R2 = H, (substituted) aliphatic, (substituted) aromatic, or (substituted) heterocyclic group; R1 and R2, R1 and Ar1, or R2 and Ar3 may bond to form a N containing heterocyclic ring; L1-L3 = (substituted) methine group; m = integer 0-2; and n = integer 1-4] adsorbed onto its surface. The photoelec. converter has the above semiconductor on a conductive support. The photoelectrochem. cell has the photoelec. converter, a charge transporting layer, and a counter electrode.

IT 827021-30-7
RL: MOA (Modifier or additive use); USES (Uses)
(pigment sensitizers for metal oxide or metal sulfide semiconductors for photoelec. converters and photoelectrochem. cells)

RN 827021-30-7 HCAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[1-cyano-2-[[5-[2-methyl-4-(1-

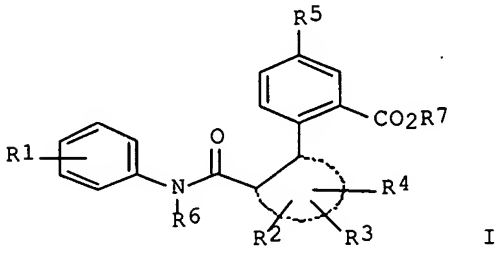
piperidinyl)phenyl]-2-thienyl]methylene]-3-oxobutylidene]amino]- (9CI) (CA INDEX NAME)



L13 ANSWER 13 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:963142 HCAPLUS Full-text
DOCUMENT NUMBER: 141:388701
TITLE: Benzoic acid derivatives and factor VII inhibitors containing them
INVENTOR(S): Ishihara, Tsukasa; Miura, Tadanori; Koike, Takanori; Seki, Norio; Hirayama, Fukushi; Shigenaga, Kenshi
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 45 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004315395	A	20041111	JP 2003-109424	20030414
PRIORITY APPLN. INFO.:			JP 2003-109424	20030414

OTHER SOURCE(S): MARPAT 141:388701
GI



I

AB The derivs. I [ring A = benzene, thiophene; 6-membered ring having 1-4 N atom(s); R1 = CONH2, CH2NH2; R2-R4 = H, lower alkyl(oxy), OH, halo, lower haloalkyl(oxy), NH2, NO2, cyano, lower alkylamino, di(lower alkyl)amino, cycloalkylamino, cycloalkylalkylamino; R5 = NR8COR9, CONR10R11; R6-R8 = H, lower alkyl; R9-R11 = H, (un)substituted alkyl(oxy), (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heterocyclyl having 1-4 N, S, O; R3 and R4 may be bonded together to form CH:CHCH:CH, OCH2CH2O, OCH2O; NR10R11 may be (un)substituted heterocyclyl] or their salts are claimed. Blood coagulation factor VII inhibitors containing I or their salts are also claimed. Thus, preparation of 2'-[[[4-(aminomethyl)phenyl]amino]carbo nyl]-4-

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063190	A1	20040729	WO 2003-US41690	20031231
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2510516	A1	20040729	CA 2003-2510516	20031231
AU 2003303681	A1	20040810	AU 2003-303681	20031231
EP 1581521	A1	20051005	EP 2003-808624	20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006514069	T	20060427	JP 2004-566653	20031231
US 2006217374	A1	20060928	US 2005-541502	20051223
PRIORITY APPLN. INFO.:			US 2003-438541P	P 20030106
			WO 2003-US41690	W 20031231

OTHER SOURCE(S): MARPAT 141:140430

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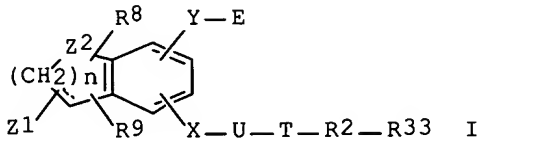

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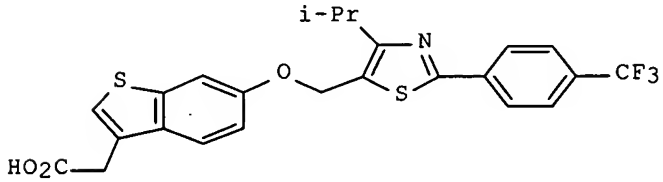


II

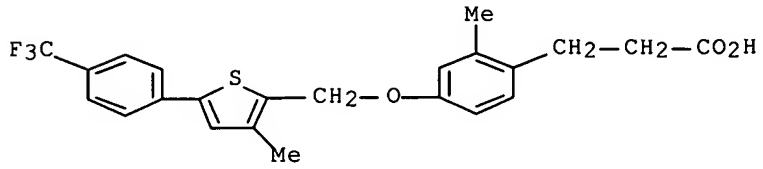
AB Title compds. I [wherein A = carboxy(alkyl), tetrazolyl(alkyl), nitrilo(alkyl), carboxamido(alkyl), sulfonamido(alkyl); E = (un)substituted (CH2)0-1A; T = (un)substituted specified heterocyclyl, (hetero)aryl; U = (un)substituted aliphatic linker wherein one C of the linker may be replaced with O, NH, or S; X = a bond, O, S, SO2, NH; Y = a bond, CH2, O, S, NH; Z1 = H, Z3(alkyl)Z4; Z2 = NH, S, O, with provisos; Z3 = a bond, CO, CO2, CONZ5, SO2; Z4 = (un)substituted (hetero)aryl; Z5 = H, (un)substituted (hetero)aryl; R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, oxo, sulfo, halo; R9 = H, alkyl, alkylenyl, halo, allyl, oxo, sulfo, OH, alkoxy, (un)substituted aryl(alkyl), heteroaryl; or R8 and R9 may combine to form a fused ring; R33 = alkyl, (un)substituted alkoxy, Ph, thienyl, pyridyl, piperidinyl, morpholinyl, tetrahydropyranyl; n = 1-3; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 5-chloromethyl-4-isopropyl-2-(4- trifluoromethylphenyl)thiazole was coupled with

(6-hydroxybenzo[b]thiophen- 3-yl)acetic acid Et ester in the presence of Cs2CO3 in acetonitrile to give II. I and their pharmaceutical compns. are expected to be effective in treating and preventing Syndrome X, Type II diabetes, and atherosclerosis (no data).

IT 476154-35-5P, 3-[2-Methyl-4-[[3-methyl-5-(4-trifluoromethylphenyl)thiophen-2-yl]methoxy]phenyl]propionic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused heterocyclic derivs. as PPAR modulators for treatment of diabetes mellitus, syndrome X, and related disorders)

RN 476154-35-5 HCAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



L13 ANSWER 16 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:606460 HCAPLUS Full-text
DOCUMENT NUMBER: 141:157025
TITLE: Preparation of thiophenes as PPAR modulators for treatment of diabetes mellitus, cardiovascular diseases, inflammatory diseases, and related disorders
INVENTOR(S): Mantlo, Nathan Bryan; Wang, Xiaodong; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063184	A1	20040729	WO 2003-US39118	20031231
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296402	A1	20040810	AU 2003-296402	20031231
EP 1583754	A1	20051012	EP 2003-815194	20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006094768	A1	20060504	US 2005-540330	20050621
PRIORITY APPLN. INFO.:			US 2003-438587P	P 20030106

OTHER SOURCE(S): MARPAT 141:157025

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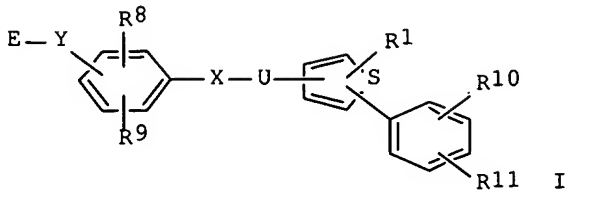

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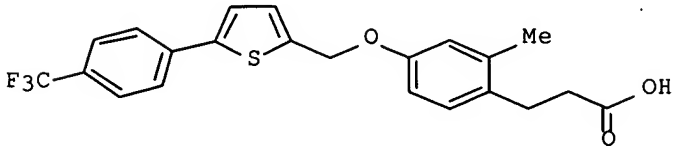


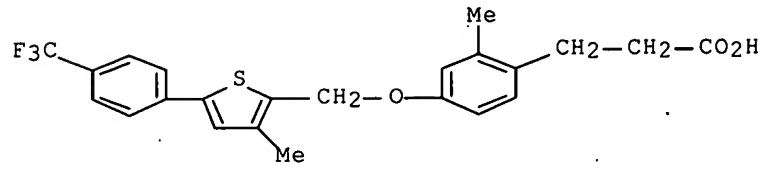
II

AB Title compds. I [wherein R1 = H, (un)substituted alkyl, alkenyl, (hetero)aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, arylalkyl, heteroaryl, allyl, alkoxy, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un)substituted (halo)alkyl, alkoxy, cycloalkyl, (hetero)aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliphatic linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, coupling of 2-chloromethyl-5-(4-trifluoromethylphenyl)thiophene with 3-(4-hydroxy-2-methylphenyl)propionic acid Me ester in the presence of Cs2CO3 in acetonitrile, followed by saponification with NaOH in THF and MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing diabetes mellitus, cardiovascular disorders, inflammatory conditions, and other disorders (no data).

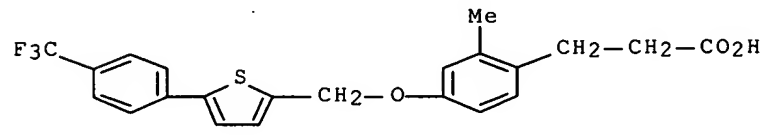
IT 476154-35-5P, 3-[2-Methyl-4-[[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]methoxy]phenyl]propionic acid 728038-74-2P, 3-[2-Methyl-4-[[5-(4-trifluoromethylphenyl)thien-2-yl]methoxy]phenyl]propionic acid 728038-76-4P, [2-Methyl-4-[[5-(4-trifluoromethylphenyl)thien-2-yl]methoxy]phenoxy]acetic acid 728038-77-5P, 3-[2-Methyl-4-[[3-phenyl-5-(4-trifluoromethylphenyl)thien-2-yl]methoxy]phenyl]propionic acid 728038-78-6P, 3-[4-[[3,5-Bis(4-trifluoromethylphenyl)thien-2-yl]methoxy]-2-methylphenyl]propionic acid 728038-79-7P, 3-[2-Methyl-4-[1-[5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]propionic acid 728038-80-0P, 3-[2-Methyl-4-[1-[5-(4-trifluoromethylphenyl)thien-2-yl]butoxy]phenyl]propionic acid 728038-81-1P, 3-[2-Methyl-4-[2-methyl-1-[5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]propionic acid 728038-82-2P, 3-[2-Methyl-4-[1-[5-(4-trifluoromethylphenyl)thien-2-yl]-2-phenylethoxy]phenyl]propionic acid 728038-84-4P,

3-[4-[[1-[3-(2-Hydroxyethyl)-5-(4-trifluoromethylphenyl)thien-2-yl]ethyl]sulfanyl]-2-methylphenyl]propionic acid 728038-85-5P, 2-Methoxy-3-[4-[2-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]propionic acid 728038-86-6P 728038-87-7P, (R)-[2-Methyl-4-[[2-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propyl]sulfanyl]phenoxy]acetic acid 728038-88-8P, (S)-[2-Methyl-4-[[2-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propyl]sulfanyl]phenoxy]acetic acid 728038-89-9P, 3-[2-Methyl-4-[[2-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propyl]sulfanyl]phenyl]propionic acid 728038-90-2P, [3-[2-[3-Methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]acetic acid 728038-93-5P, 3-[2-Methyl-4-[1-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]propionic acid 728038-95-7P, (R)-3-[2-Methyl-4-[2-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]propionic acid 728038-96-8P, [2-Methyl-4-[[2-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propyl]sulfanyl]phenoxy]acetic acid 728038-97-9P, 3-[2-Methyl-4-[1-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]butoxy]phenyl]propionic acid 728038-98-0P, 3-[2-Methyl-4-[2-methyl-1-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]propoxy]phenyl]propionic acid 728038-99-1P, 3-[2-Methyl-4-[1-[3-methyl-5-(4-trifluoromethylphenyl)thien-2-yl]-2-phenylethoxy]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR modulator; preparation of thiophenes as PPAR modulators for treatment of diabetes mellitus, cardiovascular diseases, inflammatory diseases, and other disorders)

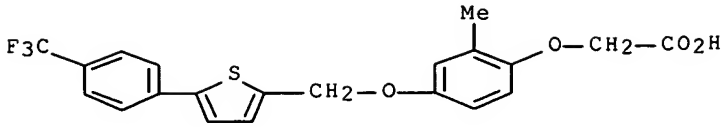
RN 476154-35-5 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



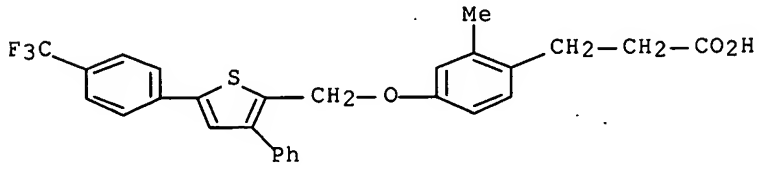
RN 728038-74-2 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



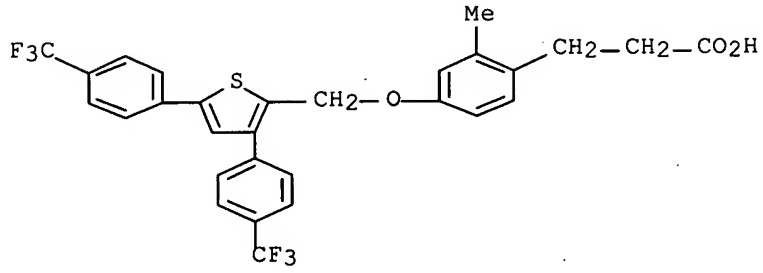
RN 728038-76-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



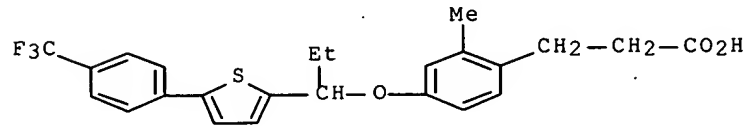
RN 728038-77-5 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[[3-phenyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]- (9CI) (CA INDEX NAME)



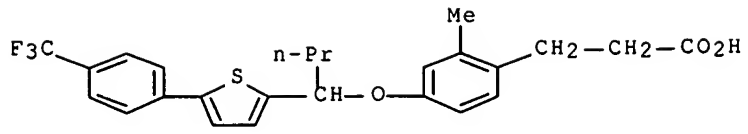
RN 728038-78-6 HCAPLUS
CN Benzenepropanoic acid, 4-[[3,5-bis[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]-2-methyl- (9CI) (CA INDEX NAME)



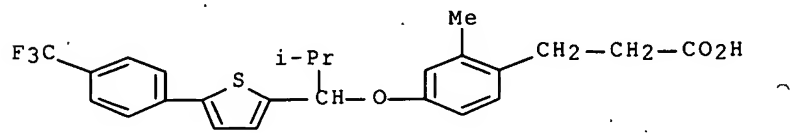
RN 728038-79-7 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)



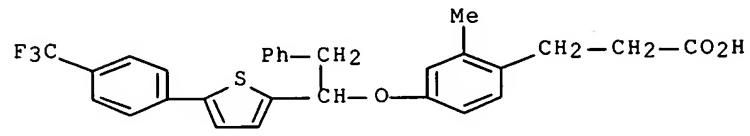
RN 728038-80-0 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]butoxy]- (9CI) (CA INDEX NAME)



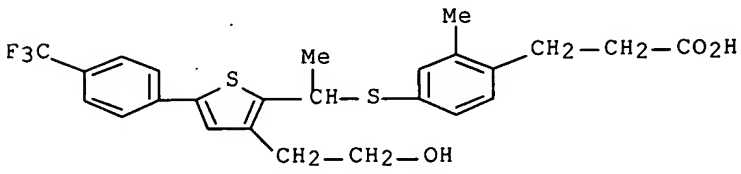
RN 728038-81-1 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[2-methyl-1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)



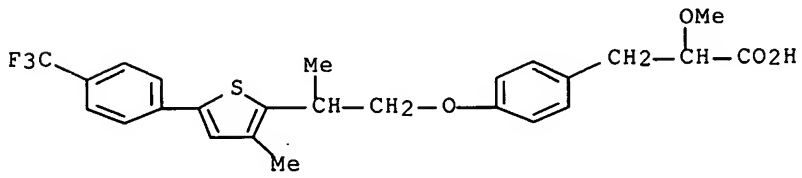
RN 728038-82-2 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[2-phenyl-1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]- (9CI) (CA INDEX NAME)



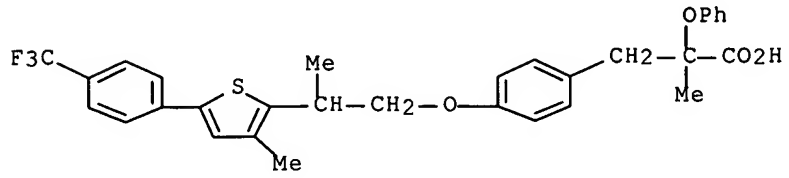
RN 728038-84-4 HCAPLUS
CN Benzenepropanoic acid, 4-[[1-[3-(2-hydroxyethyl)-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



RN 728038-85-5 HCAPLUS
CN Benzenepropanoic acid, α-methoxy-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)

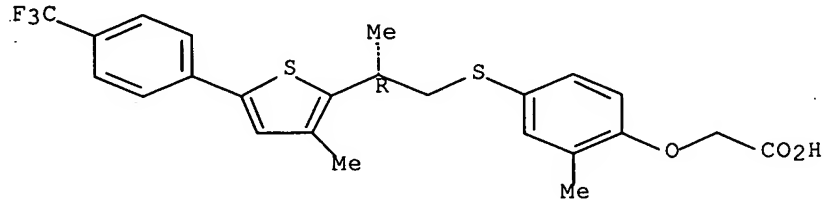


RN 728038-86-6 HCAPLUS
CN Benzenepropanoic acid, α-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]-α-phenoxy- (9CI) (CA INDEX NAME)



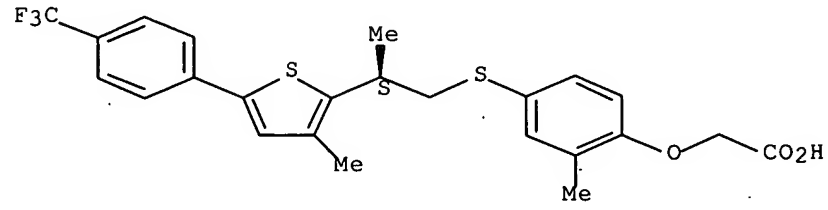
RN 728038-87-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[[(2R)-2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

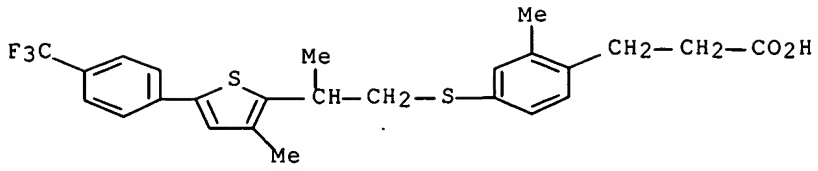


RN 728038-88-8 HCAPLUS
CN Acetic acid, [2-methyl-4-[[(2S)-2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

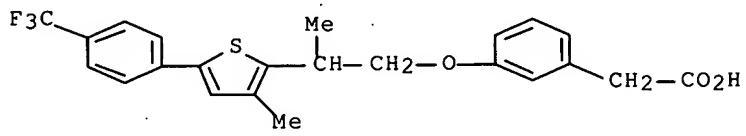
Absolute stereochemistry.



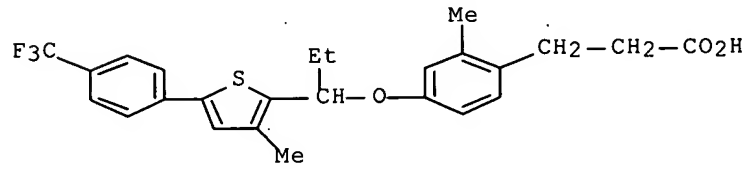
RN 728038-89-9 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propyl]thio]- (9CI) (CA INDEX NAME)



RN 728038-90-2 HCAPLUS
CN Benzeneacetic acid, 3-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)

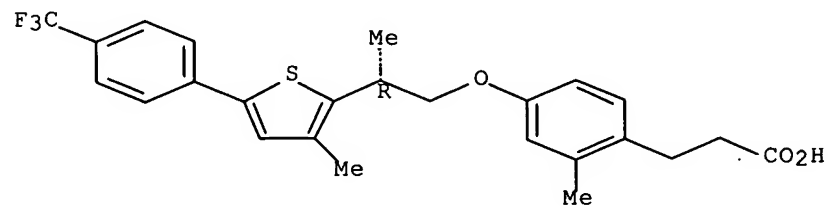


RN 728038-93-5 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[1-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)



RN 728038-95-7 HCAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(2R)-2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 728038-96-8 HCAPLUS
CN Acetic acid, [2-methyl-4-[[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]propyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

metalloproteinase MMP-12 inhibitors, as well as their pharmaceutical compositions for treating respiratory diseases

INVENTOR(S): Dublanchet, Anne-Claude; Compere, Delphine; Cluzeau, Philippe; Blais, Stephane

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: Eur. Pat. Appl., 111 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

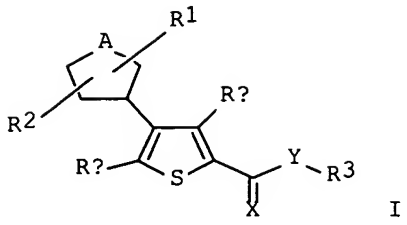
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

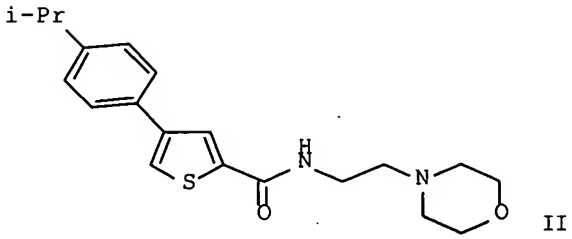
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1394159	A1	20040303	EP 2002-292037	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2497632	A1	20040304	CA 2003-2497632	20030807
WO 2004018448	A1	20040304	WO 2003-EP8750	20030807
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003251695	A1	20040311	AU 2003-251695	20030807
EP 1534700	A1	20050601	EP 2003-792270	20030807
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013734	A	20050712	BR 2003-13734	20030807
JP 2006504674	T	20060209	JP 2004-530099	20030807
US 2004072871	A1	20040415	US 2003-638016	20030808
PRIORITY APPLN. INFO.:			EP 2002-292037 A	20020813
			WO 2003-EP8750 W	20030807

OTHER SOURCE(S): MARPAT 140:217508

GI



I



II

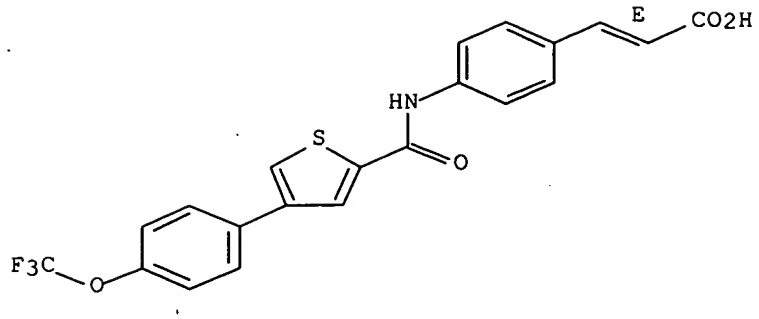
AB Title compds. I [wherein X = O or S; Y = O, NH and derivs.; Ra = H, halo, alkyl, hydroxy, alkoxy; Rb = H, halo, alkyl; A = Ph, cycloakyl, cycloalkenyl; R1, R2 = independently H, halo, CN, NO2, haloalkyl, haloalkoxy, alk(en/yn)yl, OH and derivs., NH2 and derivs., S(O)nH and derivs., CO2H and derivs., CONH2 and derivs., NHSO2H and derivs., etc.; n = 0-2; R3 = H, alkyl, (un)substituted cycloalkyl aryl, heterocyclyl, etc.; and their isomers, pharmaceutically acceptable salts of addition with an acid or base] were prepared as metalloproteinase MMP-12 inhibitors for treating respiratory diseases. For example, II was prepared, in 3 steps, by oxidation of 4-bromothiophene-2-carboxaldehyde, acylation of 2-morpholin-4- ylethanamine with thiophene carboxylic acid, followed by Pd-cross coupling of the bromothiophene intermediate with (4-isopropylphenyl)boronic acid. I selectively inhibited MMP-12 in vitro with an IC50 value < 5 μM. Thus, I and their formulations are useful for treating obstructive pulmonary diseases, emphysema, asthma, chronic bronchitis, etc.

IT 666721-54-6P 666721-58-0P, 3-[4-[[[4-(4-Trifluoromethoxyphenyl)thien-2-yl]carbonyl]amino]phenyl]propanoic acid 666721-76-2P, [4-[[[4-(4-tert-Butylphenyl)thien-2-yl]carbonyl]amino]phenyl]acetic acid 666721-78-4P, [4-[[[4-(4-Trifluoromethoxyphenyl)thien-2-yl]carbonyl]amino]phenyl]acetic acid 666721-80-8P, [4-[[[4-(4-Methylthiophenyl)thien-2-yl]carbonyl]amino]phenyl]acetic acid 666721-84-2P, [4-[[[4-(4-Methoxyphenyl)thien-2-yl]carbonyl]amino]phenyl]acetic acid 666722-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MMP-12 inhibitor; preparation of thiophenes as selective MMP-12 inhibitors,
for treating pulmonary diseases)

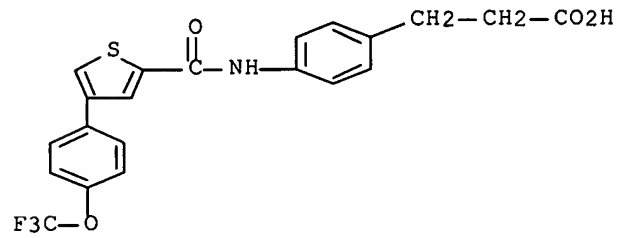
RN 666721-54-6 HCAPLUS

CN 2-Propenoic acid, 3-[4-[[[4-[4-(trifluoromethoxy)phenyl]-2-thienyl]carbonyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

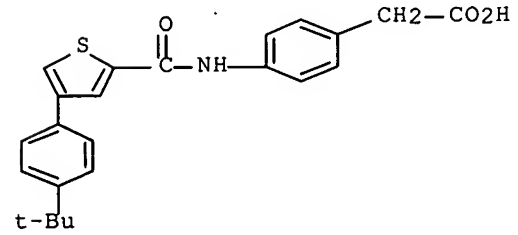
Double bond geometry as shown.



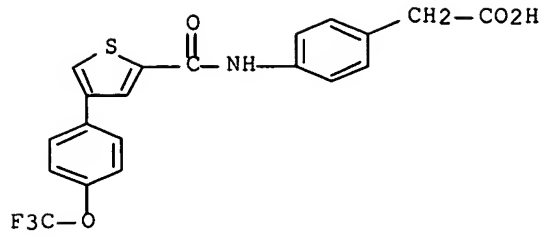
RN 666721-58-0 HCAPLUS
CN Benzenepropanoic acid, 4-[[[4-[4-(trifluoromethoxy)phenyl]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



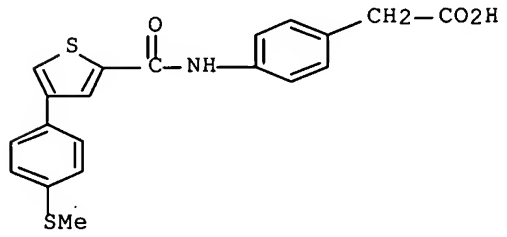
RN 666721-76-2 HCAPLUS
CN Benzeneacetic acid, 4-[[[4-[4-(1,1-dimethylethyl)phenyl]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



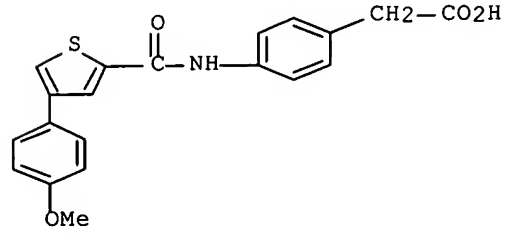
RN 666721-78-4 HCAPLUS
CN Benzeneacetic acid, 4-[[[4-[4-(trifluoromethoxy)phenyl]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 666721-80-8 HCAPLUS
CN Benzeneacetic acid, 4-[[[4-[4-(methylthio)phenyl]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

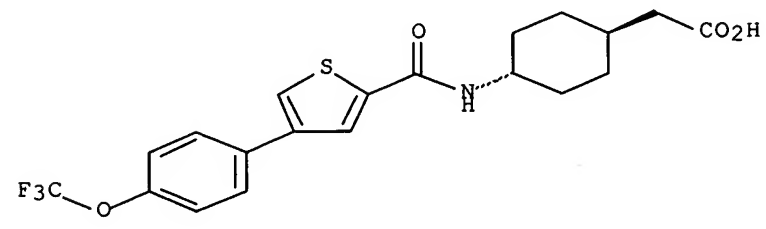


RN 666721-84-2 HCAPLUS
CN Benzeneacetic acid, 4-[[[4-(4-methoxyphenyl)-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 666722-03-8 HCAPLUS
CN Cyclohexaneacetic acid, 4-[[[4-[4-(trifluoromethoxy)phenyl]-2-thienyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



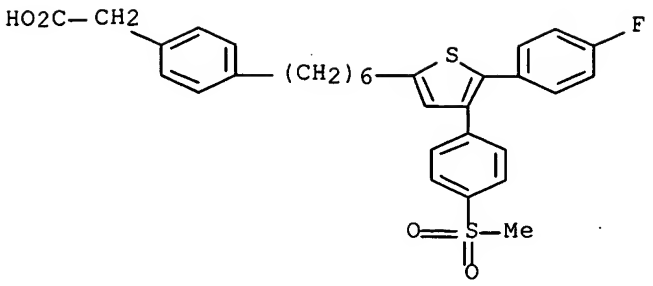
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:56568 HCAPLUS Full-text
DOCUMENT NUMBER: 140:402224
TITLE: Detergents profoundly affect inhibitor potencies against both cyclo-oxygenase isoforms
AUTHOR(S): Ouellet, Marc; Falgueyret, Jean-Pierre; Percival, M. David
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Merck Frosst Centre for Therapeutic Research, Pointe-Claire-Dorval, QC, 1005, Can.
SOURCE: Biochemical Journal (2004), 377(3), 675-684
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The sensitivity of Coxs (cyclo-oxygenases) to inhibition is known to be highly dependent on assay conditions. In the present study, the inhibitor sensitivities of purified Cox-1 and -2 were determined in a colorimetric assay using the reducing agent N,N,N',N'-tetramethyl-p-phenylenediamine (TMPD). With the detergent genapol X-100 (2 mM) present, the potencies of nimesulide, ibuprofen, flufenamic acid, niflumic acid and naproxen were increased over 100-fold against Cox-2 and titration curve shapes changed, so that maximal inhibition now approached 100%. Indomethacin, diclofenac and flosulide were not changed in potency. Similar effects of genapol were observed with inhibitors of Cox-1. DuP-697 and two analogs became more than 10-fold less potent against Cox-2 with genapol present. Tween-20, Triton X-100 and phosphatidylcholine, but not octylglucoside, gave qual. similar effects as genapol. Similar detergent-dependent changes in inhibitor potency were also observed using a [14C]arachidonic acid HPLC assay. The increases in potency of ibuprofen, flufenamic acid, isoxicam and niflumic acid towards Cox-2 and ibuprofen towards Cox-1 were accompanied by a change from time-independent to time-dependent inhibition. The interactions of Cox inhibitors has been described in terms of multiple binding step mechanisms. The genapol-dependent increase in inhibitor potency for ketoprofen was associated with an increase in the rate constant for the conversion of the initial enzyme-inhibitor complex to a second, more tightly bound form. The loss of potency for some inhibitors is probably due to inhibitor partitioning into detergent micelles. The present study identifies detergents as another factor that must be considered when determining inhibitor potencies against both Cox isoforms.

IT 690657-94-4, Biaryl A
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Cox inhibitor; detergent effects on inhibitor potencies against cyclooxygenase isoforms)

RN 690657-94-4 HCAPLUS
CN Benzeneacetic acid, 4-[6-[5-(4-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]-2-thienyl]hexyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

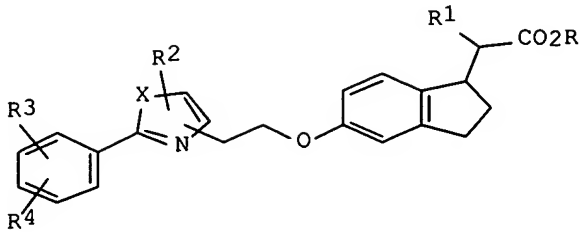
L13 ANSWER 20 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:855915 HCAPLUS Full-text
DOCUMENT NUMBER: 139:350727
TITLE: Preparation of indaneacetic acid derivatives for treating diabetes or diabetes-related disorders
INVENTOR(S): Wickens, Philip; Cantin, Louis-David; Kumarasinghe, Ellalahewage; Chuang, Chih-Yuan; Liang, Sidney X.
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089418	A1	20031030	WO 2003-US11725	20030416
WO 2003089418	A8	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1854118	A	20061101	CN 2006-10004609	20020725
CA 2482714	A1	20031030	CA 2003-2482714	20030416
AU 2003221960	A1	20031103	AU 2003-221960	20030416
EP 1497271	A1	20050119	EP 2003-718423	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107392	A1	20050519	US 2003-506270	20030416
JP 2005526834	T	20050908	JP 2003-586139	20030416
US 2005075338	A1	20050407	US 2004-949119	20040922
US 7112597	B2	20060926		
US 2006205723	A1	20060914	US 2006-429136	20060505
PRIORITY APPLN. INFO.:			US 2002-373048P	P 20020416
			US 2001-308500P	P 20010727
			CN 2002-818676	A3 20020725
			US 2002-205839	A1 20020725

WO 2003-US11725 W 20030416
US 2004-949119 A3 20040922

OTHER SOURCE(S): MARPAT 139:350727

GI



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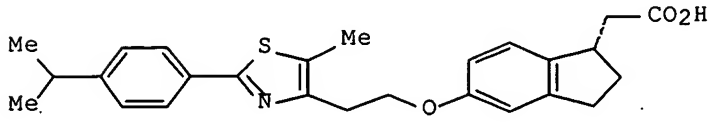


II

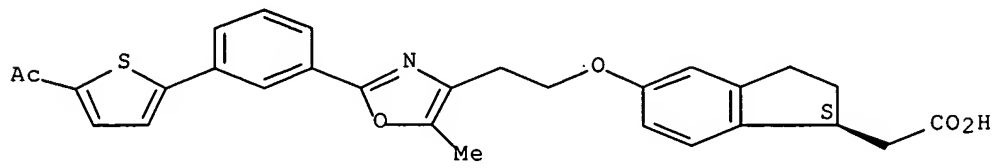
AB The title compds. [I; R, R1 = H, alkyl; R2 = H, alkyl, (un)substituted Ph; R3 = H, halo, NO2, etc.; R4 = cycloalkyl, alkenyl, NO2, etc.; X = O, S], useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated. E.g., a multi-step synthesis of (1S)-II, was given.

IT 619300-35-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indaneacetic acid derivs. for treating diabetes or diabetes-related disorders)

RN 619300-35-5 HCAPLUS

CN 1H-Indene-1-acetic acid, 5-[2-[2-[3-(5-acetyl-2-thienyl)phenyl]-5-methyl-4-oxazolyl]ethoxy]-2,3-dihydro-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



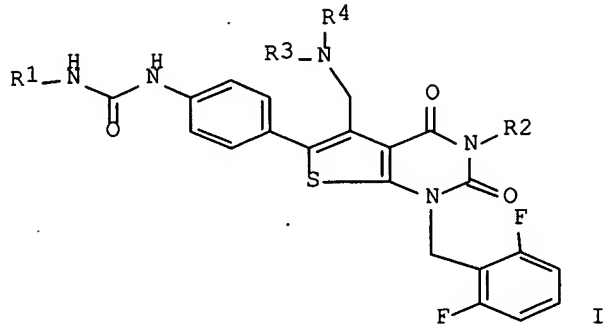
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 21 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:610457 HCAPLUS Full-text
DOCUMENT NUMBER: 139:164808
TITLE: Preparation of thienopyrimidines as gonadotropic hormone-releasing hormone antagonists
INVENTOR(S): Furuya, Shuichi; Imada, Takashi; Hitaka, Takenori; Miwa, Kazuhiro; Kusaka, Masami; Suzuki, Nobuhiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064429	A1	20030807	WO 2003-JP828	20030129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003292492	A	20031015	JP 2003-20506	20030129
EP 1479684	A1	20041124	EP 2003-734872	20030129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005222174	A1	20051006	US 2004-502903	20040728
PRIORITY APPLN. INFO.:			JP 2002-22034 A	20020130
			WO 2003-JP828 W	20030129

OTHER SOURCE(S): MARPAT 139:164808
GI



I

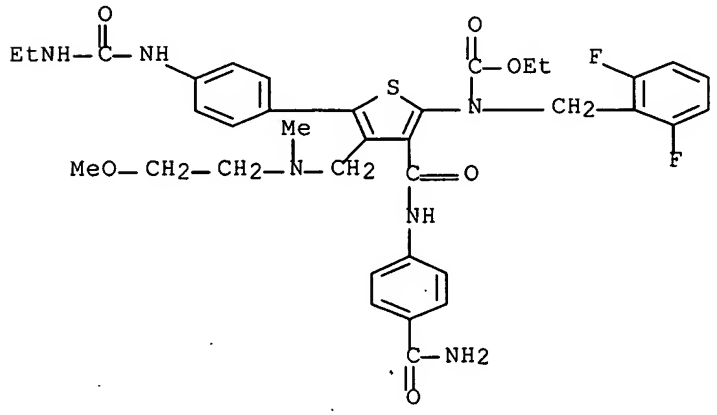
AB The title compds. I [R1 is C1-4 alkyl; R2 is (1) Ph which may have a substituent such as amino, mono-C1-4 alkylamino, or di-C1-4alkyl- amino, (2) a heterocyclic group which may have a substituent such as amino, mono-C1-4 alkylamino, or di-C1-4 alkylamino, or the like; R3 is hydrogen or C1-4 alkyl; and R4 is C1-4 alkyl which may have a substituent such as C1-4 alkoxycarbonyl, carboxyl, mono-C1-4 alkylamino, or N-C1-4alkyl-N-C7-10 aralkylamino, or the like] are prepared The bioactivity of two compds. of this invention was demonstrated. Formulations are given.

IT 577781-05-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of thienopyrimidines as gonadotropic hormone-releasing hormone antagonists)

RN 577781-05-6 HCAPLUS

CN Carbamic acid, [3-[[[4-(aminocarbonyl)phenyl]amino]carbonyl]-5-[4-[[(ethylamino)carbonyl]amino]phenyl]-4-[[(2-methoxyethyl)methylamino]methyl]-2-thienyl][(2,6-difluorophenyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 22 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:117811 HCAPLUS Full-text

DOCUMENT NUMBER: 138:153524

TITLE: Preparation of indaneacetic acid derivatives for treating diabetes, obesity, hyperlipidemia, and atherosclerotic diseases

INVENTOR(S): Lowe, Derek B.; Wickens, Philip L.; Ma, Xin; Zhang, Mingbao; Bullock, William H.; Coish, Philip D. G.; Mugge, Ingo A.; Stolle, Andreas; Wang, Ming; Wang, Yamin; Zhang, Chengzhi; Zhang, Hai-Jun; Zhu, Lei; Tsutsumi, Manami; Livingston, James N.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011842	A1	20030213	WO 2002-US23614	20020725

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

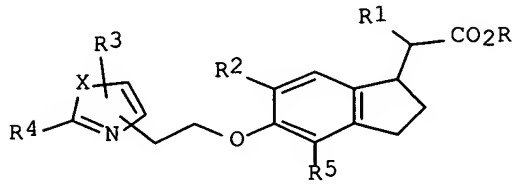
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2455620	A1	20030213	CA 2002-2455620	20020725
US 2003216391	A1	20031120	US 2002-205839	20020725
US 6828335	B2	20041207		
EP 1414809	A1	20040506	EP 2002-750297	20020725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1558905	A	20041229	CN 2002-818676	20020725
JP 2005508308	T	20050331	JP 2003-517034	20020725
BR 2002011502	A	20050920	BR 2002-11502	20020725
NZ 531351	A	20060929	NZ 2002-531351	20020725
CN 1854118	A	20061101	CN 2006-10004609	20020725
NO 2004000356	A	20040319	NO 2004-356	20040126
IN 2004DN00258	A	20050401	IN 2004-DN258	20040205
ZA 2004001517	A	20050310	ZA 2004-1517	20040225
US 2005075338	A1	20050407	US 2004-949119	20040922
US 7112597	B2	20060926		
US 2006205723	A1	20060914	US 2006-429136	20060505

PRIORITY APPLN. INFO.:	US 2001-308500P	P	20010727
	US 2002-373048P	P	20020416
	CN 2002-818676	A3	20020725
	US 2002-205839	A1	20020725
	WO 2002-US23614	W	20020725
	US 2004-949119	A3	20040922

OTHER SOURCE(S): MARPAT 138:153524

GI



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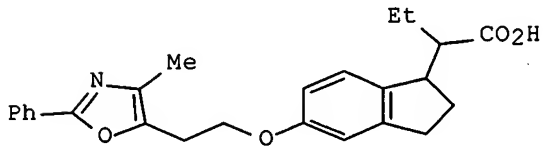


II

AB The title compds. I [R = H, alkyl; R1 = H, CO2R, cycloalkyl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, (un)substituted Ph; X = O, S; R4 = alkyl, cycloalkyl, Ph, etc.; R5 = H, halo, alkyl optionally substituted with oxo], useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated. Thus, reacting 2-(4-methyl-2-phenyl-1,3-oxazol-5-yl)ethanol with Me 5-hydroxy-2,3-dihydroinden-1-yl-2-butanoate (prepns. given) in the presence of DEAD and PPh3 in THF followed by hydrolysis of the ester afforded the acid II.

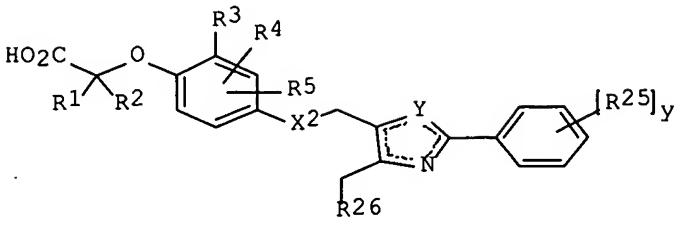
IT 496062-92-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

PT 1349843	T	20050930	PT 2001-994514		20011219
ES 2240558	T3	20051016	ES 2001-1994514		20011219
US 2004072838	A1	20040415	US 2003-451295		20031031
PRIORITY APPLN. INFO.:			GB 2000-31103	A	20001220
			WO 2001-US51056	W	20011219

OTHER SOURCE(S): MARPAT 137:140514

GI



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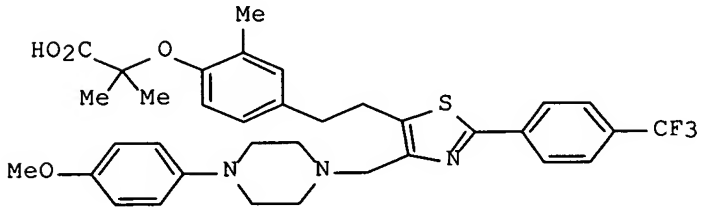


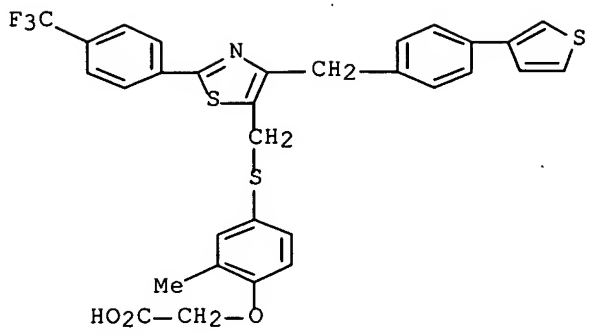
II

AB The title compds. [I; R1, R2 = H, alkyl; X2 = O, S, CH2; R3-R5 = H, alkyl, OMe, CF3, OCF3, CN, allyl, halo; Y = S, O; R25 = Me, OMe, CF3, halo; y = 0-5; R26 = substituted piperazino, piperidino, morpholino, etc.] which activate human peroxisome proliferator activated receptors (hPPARs) and are useful for the treatment of associated disorders such as cardiovascular disease and hypercholesteremia, were prepared Thus, reacting 4-(2-{4-{[4-(4-methoxyphenyl)-1-piperazinyl]methyl}-2-(4- trifluoromethylphenyl)-1,3-thiazol-5-yl}ethyl)-2-methylphenol (preparation given) with 2-trichloromethyl-2-propanol in the presence of NaOH pellets in acetone afforded 40% II. All exemplified compds. I were agonists of at least one hPPAR subtype (no data given).

IT 444612-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazole and oxazole derivs. as activators of human peroxisome proliferator activated receptors)

RN 444612-13-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-[[4-(3-thienyl)phenyl]methyl]-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 25 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:157746 HCAPLUS Full-text
DOCUMENT NUMBER: 136:200176
TITLE: Preparation of 3-[(oxazolylalkoxy)phenyl]-2-phenoxypropionic acid derivatives as PPAR agonists for treatment of diabetes mellitus and related conditions
INVENTOR(S): Ardecky, Robert J.; Brooks, Dawn Alisa; Godfrey, Alexander Glenn; Jones, Sarah Beth; Mantlo, Nathan Bryan; McCarthy, James Ray; Michellys, Pierre-Yves; Rito, Christopher John; Tyhonas, John S.; Winneroski, Leonard Larry; Xu, Yanping
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016332	A1	20020228	WO 2001-US22617	20010823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2418134	A1	20020228	CA 2001-2418134	20010823
AU 200184660	A	20020304	AU 2001-84660	20010823
EP 1313717	A1	20030528	EP 2001-963734	20010823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506722	T	20040304	JP 2002-521433	20010823
US 2004138277	A1	20040715	US 2003-343187	20030729
US 7176224	B2	20070213		

PRIORITY APPLN. INFO.: US 2000-227456P P 20000823
WO 2001-US22617 W 20010823
OTHER SOURCE(S): MARPAT 136:200176

GI

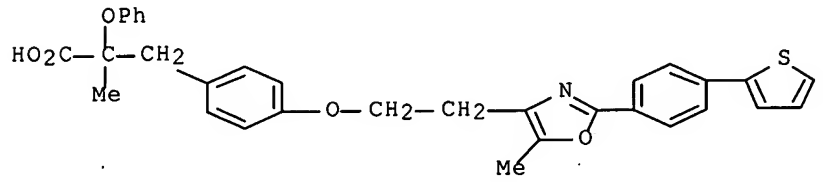
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein n = 2-4; R1 = H, (halo)alkyl, or Ph; R2 and R3 = independently H, alkyl, cycloalkyl(alkyl), alkoxy, or aryl(alkyl); or R2 forms (tetrahydro)naphthyl together with the Ph to which they are bound; R4 = alkyl; R5 = independently H or (un)substituted (hetero)aryl, with provisos; R6 = H or (amino)alkyl; R7 and R8 = independently H, (cyclo)alkyl, (halo)alkoxy, or halo(alkyl); or R8 form benzodioxolyl together with the Ph to which they are bound; and pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as agonists of peroxisome proliferator activated receptors (PPARs). For example, 2-[2-(3-bromophenyl)-5-methyloxazol-4-yl]ethanol was coupled with p-fluorophenyl boronic acid in the presence of PPh3, Pd(OAc)2, and Na2CO3 to give the biphenyl derivative (36%). Esterification with tosyl anhydride in the presence of pyridine and DMAP, followed by reaction with 3-(4-hydroxyphenyl)-2-methyl-2-phenoxypropionic acid Et ester in the presence of polystyrene bound 1,5,7-triazabicyclo[4.4.0]dec-5-ene and hydrolysis with NaOH, afforded II (24%). The latter bound to PPARα and PPARγ with IC50 values of 147 nM and 41 nM, resp., and activated the nuclear transcription factors huPPARα and huPPARγ with cotransfection efficacies of 38% and 93%, resp. In addition, HDLc serum levels increased by 40.4% in male transgenic mice dosed with 30 mg/kg of II, and glucose levels were normalized to 91% in male diabetic (db/db) mice dosed with 30 mg/kg of II. Thus, I are useful in the treatment and prevention of diabetes mellitus and related conditions.

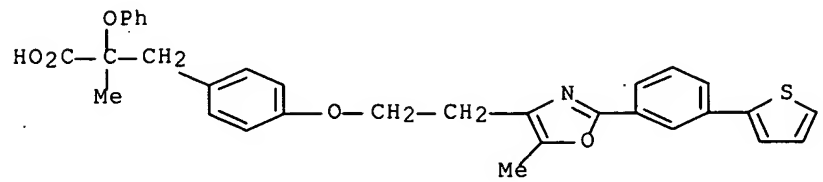
IT 401468-55-1P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-phenoxypropionic acid
401468-60-8P, 2-Methyl-3-[4-[2-[5-methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-phenoxypropionic acid
401468-64-2P, 2-Methyl-3-[4-[2-[5-methyl-2-(3-thiophen-3-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-phenoxypropionic acid
401468-75-5P, 3-[3-Methoxy-4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-methyl-2-phenoxypropionic acid
401468-81-3P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]-3-propylphenyl]-2-phenoxypropionic acid
401468-88-0P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]naphthalen-1-yl]-2-phenoxypropionic acid
401468-94-8P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-(4-tert-butylphenoxy)propionic acid
401468-95-9P, 2-Methyl-3-[4-[2-[5-methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-(4-tert-butylphenoxy)propionic acid
401468-96-0P, 2-Methyl-3-[4-[2-[5-methyl-2-(3-thiophen-3-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-(4-tert-butylphenoxy)propionic acid
401469-00-9P, 2-(3-Fluorophenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid
401469-02-1P, 2-(3-tert-Butylphenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid
401469-04-3P, 2-(2-Fluorophenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid
401469-06-5P, 2-(4-Chlorophenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid
401469-10-1P, 2-(4-Cyclohexylphenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid
401469-14-5P, 2-(3,4-Dimethylphenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid
401469-17-8P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-p-tolyloxypropionic acid

401469-23-6P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-(4-trifluoromethoxyphenoxy)propionic acid 401469-28-1P, 2-[4-[2-[5-Methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]benzyl]-2-phenoxybutyric acid 401469-30-5P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-(4-trifluoromethylphenoxy)propionic acid 401469-35-0P, 2-(3,4-Difluorophenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid 401469-39-4P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-m-tolyloxypropionic acid 401469-43-0P, 2-(4-Fluorophenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid 401469-44-1P, 2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-(3-trifluoromethylphenoxy)propionic acid 401469-49-6P, 2-(3-Methoxyphenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid 401469-53-2P, 2-(Benzo[1,3]dioxol-5-yloxy)-2-methyl-3-[4-[2-[5-methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid 401469-57-6P, 2-[4-[2-[5-Methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]benzyl]-2-phenoxyhexanoic acid 401469-62-3P, 2-(2-Methoxyphenoxy)-2-methyl-3-[4-[2-[5-methyl-2-(3-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid 401469-65-6P, (R)-2-Methyl-3-[4-[2-[5-methyl-2-(4-thiophen-2-ylphenyl)oxazol-4-yl]ethoxy]phenyl]-2-p-tolyloxypropionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR agonist; preparation of oxazolylalkoxyphenylpropionic acid PPAR agonists by reacting toluenesulfonic acid oxazolylalkyl esters with hydroxyphenylpropanoates for treatment of diabetes mellitus and related conditions)

RN 401468-55-1 HCAPLUS
CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)

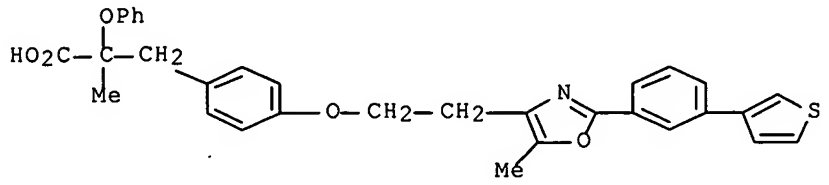


RN 401468-60-8 HCAPLUS
CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)



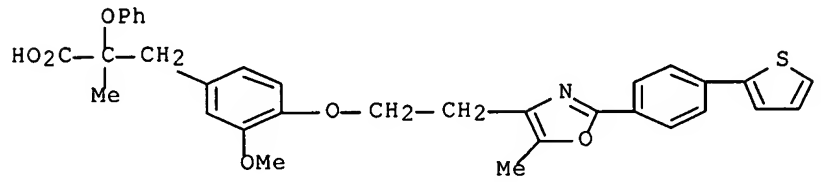
RN 401468-64-2 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[3-(3-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)



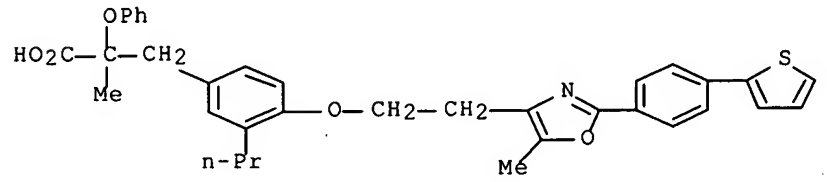
RN 401468-75-5 HCAPLUS

CN Benzenepropanoic acid, 3-methoxy-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)



RN 401468-81-3 HCAPLUS

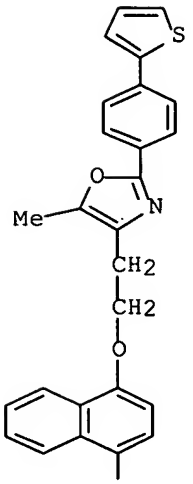
CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy-3-propyl- (9CI) (CA INDEX NAME)



RN 401468-88-0 HCAPLUS

CN 1-Naphthalenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



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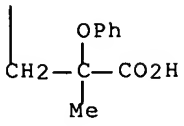
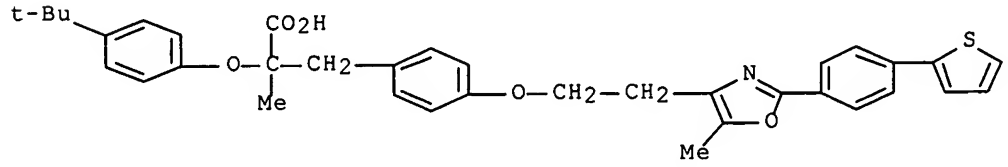


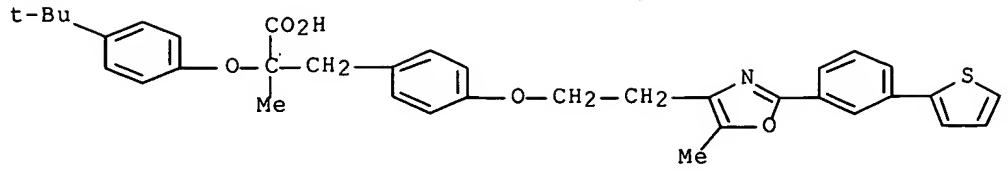
RN 401468-94-8 HCAPLUS

CN Benzenepropanoic acid, α-[4-(1,1-dimethylethyl)phenoxy]-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



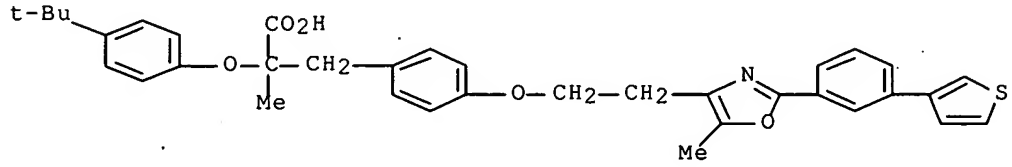
RN 401468-95-9 HCAPLUS

CN Benzenepropanoic acid, α-[4-(1,1-dimethylethyl)phenoxy]-α-methyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



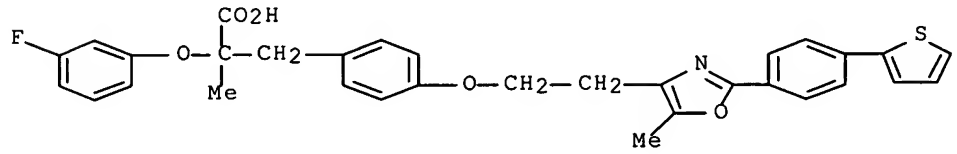
RN 401468-96-0 HCAPLUS

CN Benzenepropanoic acid, α-[4-(1,1-dimethylethyl)phenoxy]-α-methyl-4-[2-[5-methyl-2-[3-(3-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



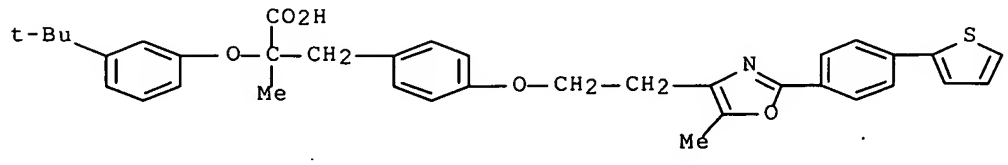
RN 401469-00-9 HCAPLUS

CN Benzenepropanoic acid, α-(3-fluorophenoxy)-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



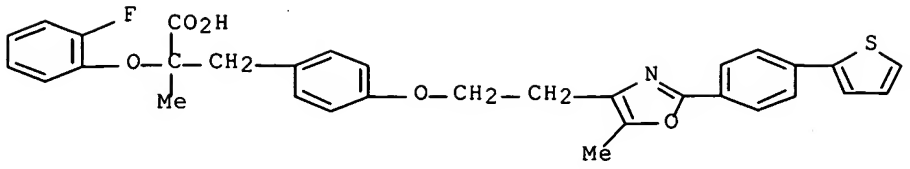
RN 401469-02-1 HCAPLUS

CN Benzenepropanoic acid, α-[3-(1,1-dimethylethyl)phenoxy]-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



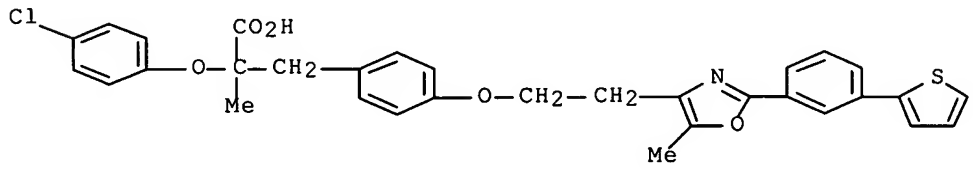
RN 401469-04-3 HCAPLUS.

CN Benzenepropanoic acid, α-(2-fluorophenoxy)-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



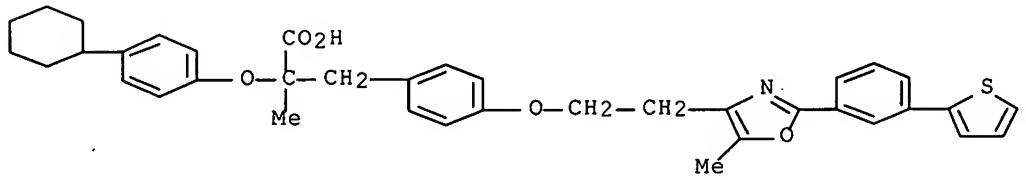
RN 401469-06-5 HCAPLUS

CN Benzenepropanoic acid, α-(4-chlorophenoxy)-α-methyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



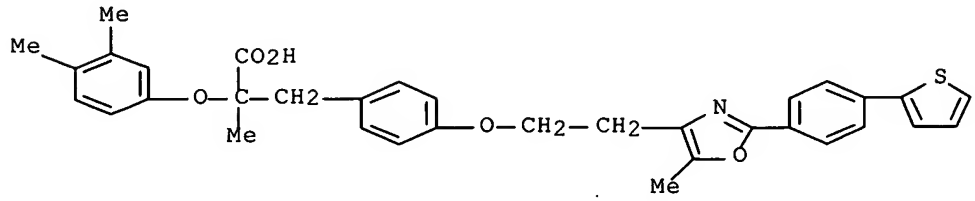
RN 401469-10-1 HCAPLUS

CN Benzenepropanoic acid, α-(4-cyclohexylphenoxy)-α-methyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



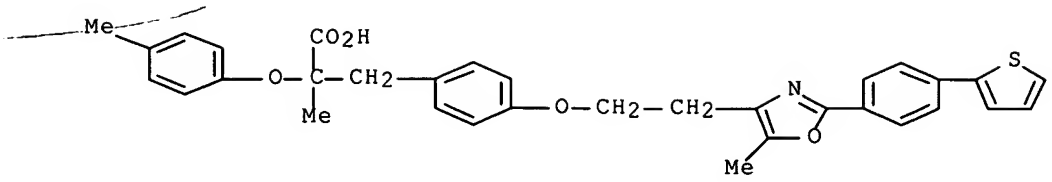
RN 401469-14-5 HCAPLUS

CN Benzenepropanoic acid, α-(3,4-dimethylphenoxy)-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)

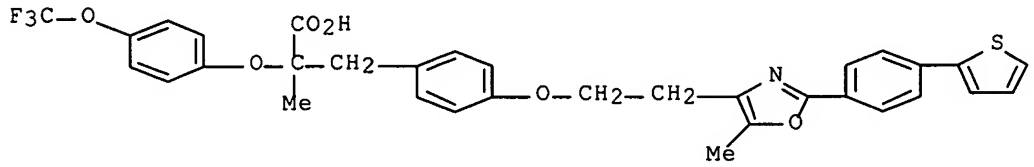


RN 401469-17-8 HCAPLUS

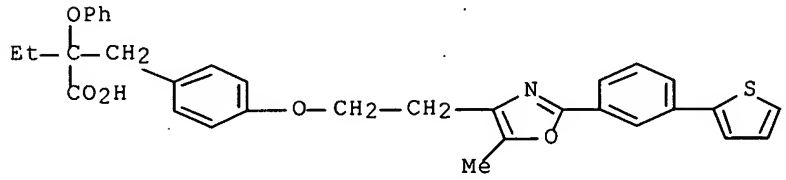
CN Benzenepropanoic acid, α-methyl-α-(4-methylphenoxy)-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



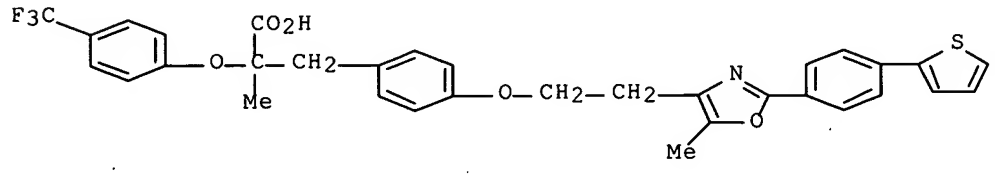
RN 401469-23-6 HCAPLUS
CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 401469-28-1 HCAPLUS
CN Benzenepropanoic acid, α-ethyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)

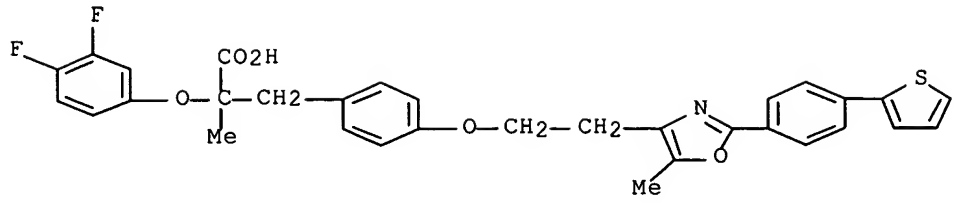


RN 401469-30-5 HCAPLUS
CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



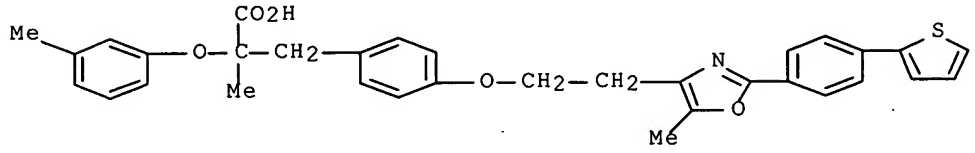
RN 401469-35-0 HCAPLUS
CN Benzenepropanoic acid, α-(3,4-difluorophenoxy)-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX

NAME)



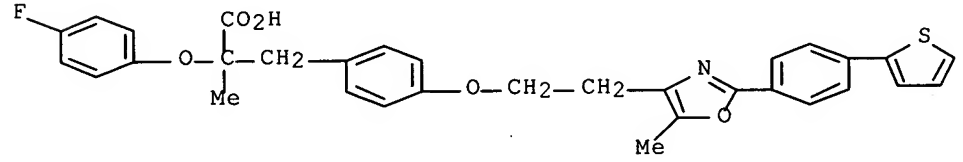
RN 401469-39-4 HCAPLUS

CN Benzenepropanoic acid, α-methyl-α-(3-methylphenoxy)-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



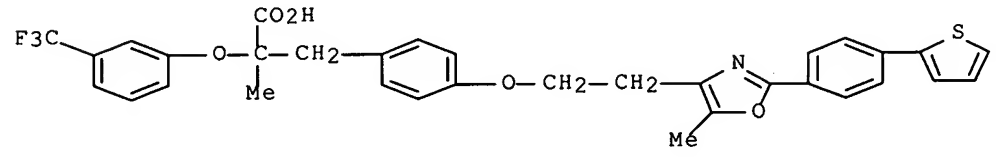
RN 401469-43-0 HCAPLUS

CN Benzenepropanoic acid, α-(4-fluorophenoxy)-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



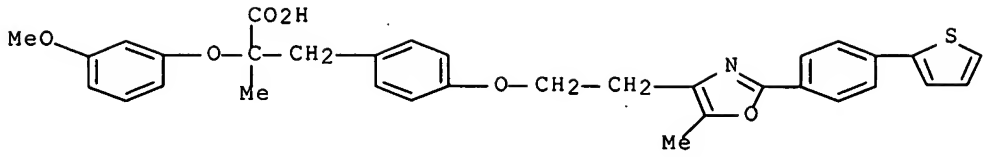
RN 401469-44-1 HCAPLUS

CN Benzenepropanoic acid, α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



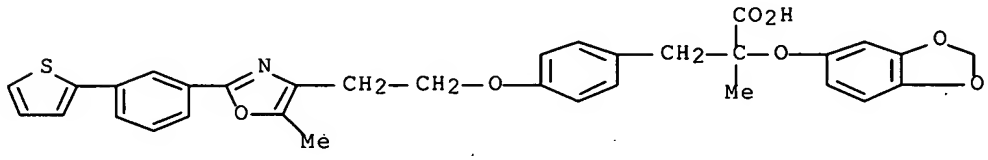
RN 401469-49-6 HCAPLUS

CN Benzenepropanoic acid, α-(3-methoxyphenoxy)-α-methyl-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



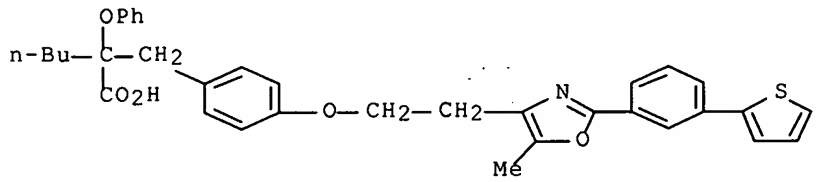
RN 401469-53-2 HCAPLUS

CN Benzenepropanoic acid, α-(1,3-benzodioxol-5-yloxy)-α-methyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



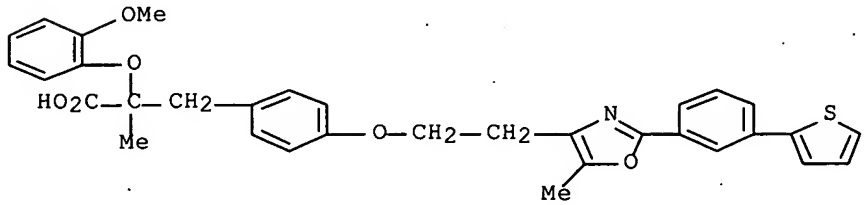
RN 401469-57-6 HCAPLUS

CN Benzenepropanoic acid, α-butyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-α-phenoxy- (9CI) (CA INDEX NAME)



RN 401469-62-3 HCAPLUS

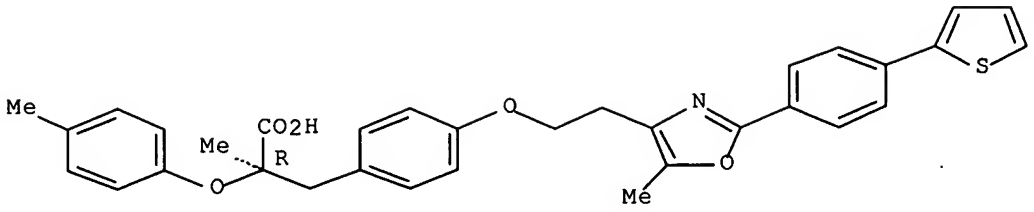
CN Benzenepropanoic acid, α-(2-methoxyphenoxy)-α-methyl-4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (9CI) (CA INDEX NAME)



RN 401469-65-6 HCAPLUS

CN Benzenepropanoic acid, α-methyl-α-(4-methylphenoxy)-4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 26 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:157745 HCAPLUS Full-text
DOCUMENT NUMBER: 136:216740
TITLE: Preparation of oxazolyl-arylpropionic acid derivatives and their use as PPAR agonists
INVENTOR(S): Brooks, Dawn Alisa; Godfrey, Alexander Glenn; Jones, Sarah Beth; McCarthy, James Ray; Rito, Christopher John; Winneroski, Leonard Larry, Jr.; Xu, Yanping
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016331	A1	20020228	WO 2001-US22616	20010823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2418104	A1	20020228	CA 2001-2418104	20010823
AU 200184659	A	20020304	AU 2001-84659	20010823
EP 1313716	A1	20030528	EP 2001-963733	20010823
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BR 2001013409	A	20030701	BR 2001-13409	20010823
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JP 2004506721	T	20040304	JP 2002-521432	20010823
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US 2004097590	A1	20040520	US 2003-343476	20030129
US 6930120	B2	20050816		
IN 2003KN00113	A	20050311	IN 2003-KN113	20030129
NO 2003000729	A	20030402	NO 2003-729	20030214
US 2005245584	A1	20051103	US 2005-54226	20050209
PRIORITY APPLN. INFO.:			US 2000-227234P	P 20000823

WO 2001-US22616 W 20010823
US 2003-343476 A3 20030129

OTHER SOURCE(S): CASREACT 136:216740; MARPAT 136:216740

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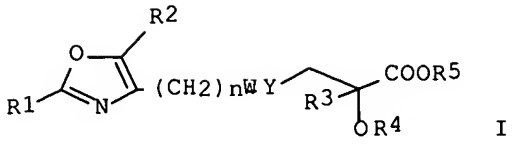

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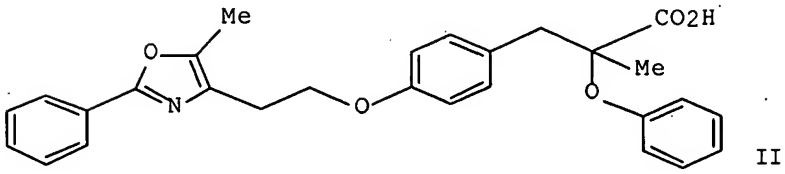


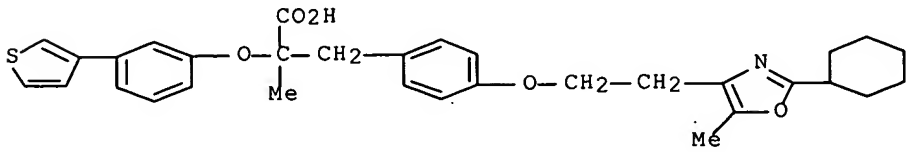
II

AB Title compds. [I; n = 2, 3, 4; W = CH2, CH(OH), CO, O; R1 = aryl, heteroaryl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, cycloalkylalkyl, (CH3)3C; R2 = H, alkyl haloalkyl, C6H5; Y = thiophen-2,5-diyl, phenylene; R3 = alkyl, haloalkyl; R4 = C6H5, naphthyl, 1,2,3,4-tetrahydronaphthyl, quinolyl, pyridyl, benzo[1,3]dioxol-5-yl; R5 = H, alkyl, aminoalkyl], stereoisomers, pharmaceutically acceptable salts, solvates and hydrates thereof are prepared for modulating a peroxisome proliferator-activated receptor (PPAR), particularly in the treatment of diabetes mellitus, cardiovascular disease, and animal syndrome X disease. Thus, the title compound II was prepared and tested for activity of lowering triglyceride serum level in mice, at 41.3%.

IT 401790-85-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolyl-arylpropionic acid derivs. and their use as PPAR agonists)

RN 401790-85-0 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(2-cyclohexyl-5-methyl-4-oxazolyl)ethoxy]-α-methyl-α-[3-(3-thienyl)phenoxy]- (9CI) (CA INDEX NAME)



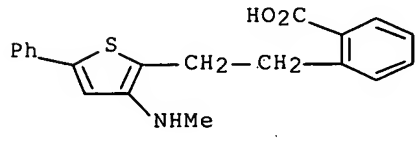
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 27 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:822158 HCAPLUS Full-text

DOCUMENT NUMBER: 136:263035
TITLE: Reactions of thiobenzoylketene S,N-acetals with silyl enol ethers of cyclic ketones in the presence of desilylating reagents: formation and desulfurization of thienolactams
AUTHOR(S): Lee, Jong Seok; Lee, Dong Joon; Kim, Bo Sung; Kim, Kyongtae
CORPORATE SOURCE: School of Chemistry and Molecular Engineering, Seoul National University, 151-742, S. Korea
SOURCE: Journal of the Chemical Society, Perkin Transactions 1 (2001), (21), 2774-2780
CODEN: JCSPCE; ISSN: 1472-7781
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:263035

AB Medium-sized thienolactams can be directly prepared from thiobenzoylketene S,N-acetals, Hg(OAc)2, and silyl enol ethers of cyclic ketones, and either TBAF or TASF. However, by adding either water or alc. to the foregoing mixture, 3-methylamino-5-phenylthiophenes, in which the ω-position of long-chain alkanoic acids and alkanoic esters are bonded to C-2 of the thiophene ring, can be obtained albeit in low yields. Sequential treatment of the thienolactams with Raney nickel and Adam's catalyst results in completely reductive desulfurization of thienolactam mols.

IT 404887-70-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of thiobenzoylketene S,N-acetals with silyl enol ethers of cyclic ketones in the presence of desilylating reagents)
RN 404887-70-3 HCAPLUS
CN Benzoic acid, 2-[2-[3-(methylamino)-5-phenyl-2-thienyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

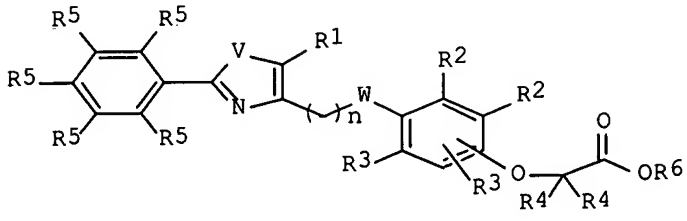
L13 ANSWER 28 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:167982 HCAPLUS Full-text
DOCUMENT NUMBER: 134:207811
TITLE: Preparation of biaryloxa(thia)zole derivatives as PPAR modulators
INVENTOR(S): Brooks, Dawn A.; Rito, Christopher J.; Shuker, Anthony J.; Dominianni, Samuel J.; Warshawsky, Alan M.; Gossett, Lynn S.; Matthews, Donald P.; Hay, David A.; Ardecky, Robert J.; Michellys, Pierre-Yves; Tyhonas, John S.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016120	A1	20010308	WO 2000-US23358	20000823
WO 2001016120	A9	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2382966	A1	20010308	CA 2000-2382966	20000823
EP 1206457	A1	20020522	EP 2000-959401	20000823
EP 1206457	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6417212	B1	20020709	US 2000-644457	20000823
JP 2003508389	T	20030304	JP 2001-519687	20000823
AT 252091	T	20031115	AT 2000-959401	20000823
PT 1206457	T	20040331	PT 2000-959401	20000823
ES 2204684	T3	20040501	ES 2000-959401	20000823
US 2003045558	A1	20030306	US 2002-121373	20020411
US 6610696	B2	20030826		
US 2004019090	A1	20040129	US 2003-434425	20030507
US 6825222	B2	20041130		

PRIORITY APPLN. INFO.:
US 1999-151162P P 19990827
US 2000-644457 A3 20000823
WO 2000-US23358 W 20000823
US 2002-121373 A3 20020411

OTHER SOURCE(S): MARPAT 134:207811
GI



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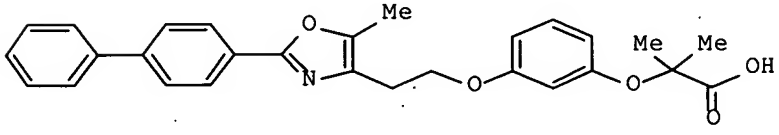


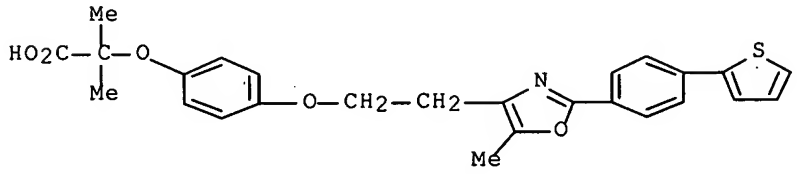
II

AB Title compds. (I) [wherein n = 2-4; V = O or S; W = O, S, or SO2; R1 = H, alkyl, Ph, or CF3; R2 = independently H, (cyclo)alkyl, cycloalkylalkyl,

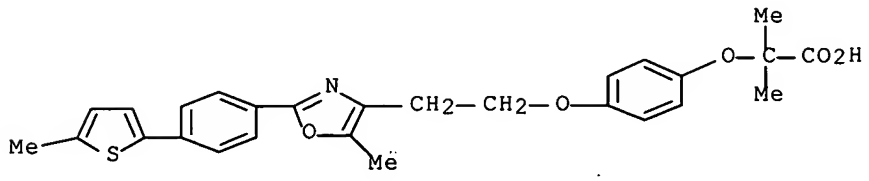
aryl(alkyl), or together with the Ph to which they are bound form naphthyl or 1,2,3,4-tetrahydronaphthyl; R3 = independently H, (cyclo)alkyl, cycloalkylalkyl, or aryl(alkyl); R4 = independently H, alkyl, aryl, or benzyl; R5 = independently H or (un)substituted (hetero)aryl, provided that at least one R5 = (un)substituted (hetero)aryl; and R6 = H or (amino)alkyl] were prepared as are modulators of peroxisome proliferator activated receptors (PPARs) and are useful in the treatment of type II diabetes and cardiovascular diseases. For example, a mixture of the toluene-4-sulfonic acid 2-(2-(biphenyl-4-yl)-5-methyloxazol-4-yl)ethyl ester and 2-(3-hydroxyphenoxy)-2-methylpropanoic acid Et ester was heated at 55°C in DMF for 18 h and the intermediate deesterified using NaOH in EtOH and THF to afford the title compound II. II bound to human PPARα and PPARγ with IC50 values of 97 nM and 532 nM, resp., and activated human PPARα and PPARγ with efficacies of 97% and 70%, resp. In assays evaluating triglyceride and cholesterol levels in mice transgenic for human apoAI, administration of II reduced triglyceride serum levels by 60.5% and increased HDLc serum levels by 204%. Glucose normalization of 95% was attained in male diabetic (db/db) mice dosed with II.

IT 328918-32-7P 328918-74-7P 328920-12-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biaryl oxa(thia)zole PPAR modulators by coupling biaryloxazolylalkyl tosylates with alcs. or thiols)

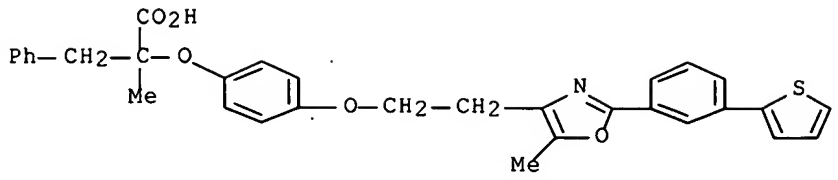
RN 328918-32-7 HCAPLUS
CN Propanoic acid, 2-methyl-2-[4-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 328918-74-7 HCAPLUS
CN Propanoic acid, 2-methyl-2-[4-[2-[5-methyl-2-[4-(5-methyl-2-thienyl)phenyl]-4-oxazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 328920-12-3 HCAPLUS
CN Benzenepropanoic acid, α-methyl-α-[4-[2-[5-methyl-2-[3-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

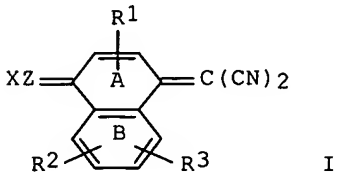


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 29 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:134085 HCAPLUS Full-text
DOCUMENT NUMBER: 124:178874
TITLE: Methine and azomethine dyes based on naphthoquinones and their application to nonlinear optics
INVENTOR(S): Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4422333	A1	19960104	DE 1994-4422333	19940627
WO 9600409	A1	19960104	WO 1995-EP2328	19950616
W: JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 767927	A1	19970416	EP 1995-924250	19950616
EP 767927	B1	19980902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 10502184	T	19980224	JP 1995-502758	19950616
AT 170638	T	19980915	AT 1995-924250	19950616
US 5756753	A	19980526	US 1996-750846	19961224
PRIORITY APPLN. INFO.:			DE 1994-4422333 A	19940627
			WO 1995-EP2328 W	19950616

OTHER SOURCE(S): MARPAT 124:178874
GI



I

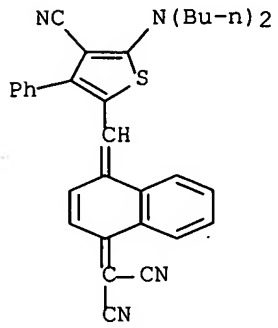
AB The dyes (I; R1, R2, R3 = H, C1-4-alkyl, C5-7-cycloalkyl; X = 5- or 6-membered carbo- or heterocyclic ring; Z = N, CH, CH:CHCH; rings A and B may be benzoannellated) may be incorporated into optical nonlinear materials. I have good hyperpolarizability, thermal stability, and processability. Thus, 4-(dimethylamino)cinnamaldehyde was condensed with 1-(dicyanomethyl)naphthalene

to give a trimethine dye with second-order susceptibility >50 times that of p-nitroaniline.

IT 173982-32-6P
RL: IMF (Industrial manufacture); NUU (Other use, unclassified); PREP (Preparation); USES (Uses)
(preparation of methine and azomethine dyes based on naphthoquinones for nonlinear optics)

RN 173982-32-6 HCAPLUS

CN Propanedinitrile, [4-[[4-cyano-5-(dibutylamino)-3-phenyl-2-thienyl]methylene]-1(4H)-naphthalenylidene]- (9CI) (CA INDEX NAME)



L13 ANSWER 30 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:194074 HCAPLUS Full-text

DOCUMENT NUMBER: 116:194074

TITLE: Furans and thiophenes from etacrynic acid

AUTHOR(S): Goerlitzer, Klaus; Boemeke, Michael

CORPORATE SOURCE: Inst. Pharm. Chem., Tech. Univ. Braunschweig, Braunschweig, 3300, Germany

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1992), 325(1), 9-12
CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 116:194074

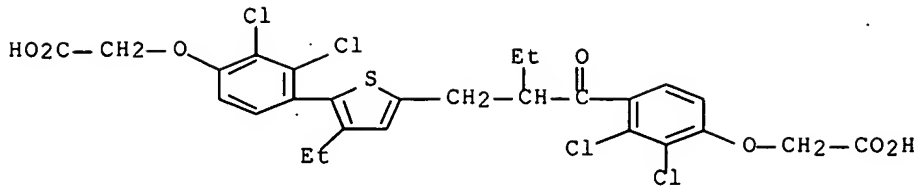
GI For diagram(s), see printed CA Issue.

AB R1COCH2CHEtCOR [I, R = C6H2(OCH2CO2H)Cl2-4,2,3, R1 = H, Me, RCOCHEtCH2] react with polyphosphoric acid (PPA) to yield the furans II (X = O) and with P2S5 to the thiophenes II (X = S). I (R1 = OH) cyclizes with PPA to form the α,β-unsatd. butyrolactone. I (R1 = OH) is reduced by NaBH4 chemo- and diastereoselectively to give the γ-hydroxy carboxylic acid (3RS, 4RS)-HOCHRCHEtCH2CO2H which is cyclized to III by dehydration with PPA. II (X = SO2) are obtained from II (X = S) by oxidation with magnesium monoperoxyphthalate. Under the same conditions II (X = O, R1 = Me) is cleaved to yield (Z)-MeCOCH:CEtCOR, which tautomerizes slowly forming (E)-MeCOCH2C(COR):CHMe.

IT 139519-99-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 139519-99-6 HCAPLUS

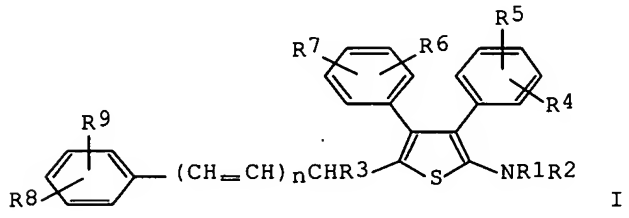
CN Acetic acid, [4-[5-[2-[4-(carboxymethoxy)-2,3-dichlorobenzoyl]butyl]-3-ethyl-2-thienyl]-2,3-dichlorophenoxy]- (9CI) (CA INDEX NAME)



L13 ANSWER 31 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:571992 HCAPLUS Full-text
DOCUMENT NUMBER: 107:171992
TITLE: Use of thiophenes as pH indicators, especially in a nonenzymic glucose test
INVENTOR(S): Heidenreich, Holger; Wolfrum, Gerhard; Wehling, Klaus; Hugl, Herbert
PATENT ASSIGNEE(S): Miles Laboratories, Inc., USA
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3541097	A1	19870527	DE 1985-3541097	19851121
EP 248112	A2	19871209	EP 1986-115597	19861111
EP 248112	A3	19890322		
R: DE, FR, GB, IT				
AU 8665185	A	19870528	AU 1986-65185	19861114
AU 595257	B2	19900329		
JP 62121362	A	19870602	JP 1986-273077	19861118
PRIORITY APPLN. INFO.:			DE 1985-3541097 A	19851121

GI



I

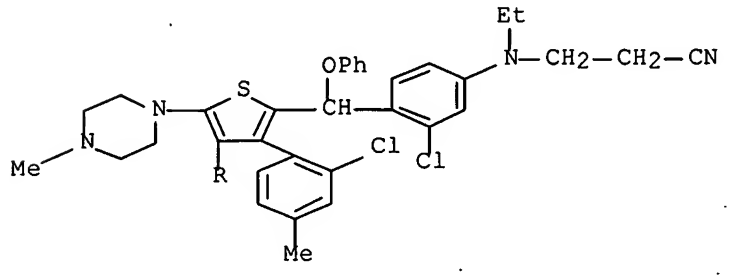
AB Thiophene derivs. I [R1, R2 = H, (substituted) alkyl, cycloalkyl, aralkyl, or R1NR2 = ring; R3 = leaving group; R4-R9 = chromogenic groups; n = 0, 1] are pH indicators which are colorless in alkaline and colored in acid solution, with a transition point near neutrality. They can be used for determination of sugars, which form complexes with borate or alkaline earth hydroxides with release of H+. I [R1R2 = (CH2CH2)2O; R3 = morpholino; R4-R8 = H; R9 = 4-MeO] (II) was prepared by reaction of 2-morpholino-3,4-diphenylthiophene (prepared by reaction of phenylacetic acid thiomorpholide with phenacyl bromide and cyclization) with 4-dimethylaminobenzaldehyde, refluxing in 70% HClO4, and refluxing the product in EtOH-morpholine (1:1). II changed from colorless to

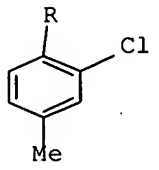
dark blue over the pH range 9.8-7.3. II was dissolved in N-methylpyrrolidone, mixed 1:1 with pH borate buffer (pH 9), and the pH was adjusted to 9.0 with 1N HCl; the final II concentration was 1.25 mM. This reagent was used to determine glucose concentration over the range 0.5-5.0 g/100 mL from the absorbents at 600 nm.

IT 110711-81-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as pH indicator for nonenzymic glucose determination)

RN 110711-81-4 HCAPLUS

CN Propanenitrile, 3-[[4-[[3,4-bis(2-chloro-4-methylphenyl)-5-(4-methyl-1-piperazinyl)-2-thienyl]phenoxymethyl]-3-chlorophenyl]ethylamino]- (9CI) (CA INDEX NAME)





L13 ANSWER 32 OF 32 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1973:85953 HCAPLUS Full-text

DOCUMENT NUMBER: 78:85953

TITLE: Electrophotographic spectral sensitizers

INVENTOR(S): Depoorter, Henri; Moelants, Felix Jan

PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.

SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2215829	A	19721019	DE 1972-2215829	19720330
US 3764317	A	19731009	US 1972-236967	19720322
GB 1379755	A	19750108	GB 1971-9094	19720323
BE 781664	A2	19721005	BE 1972-3916	19720405
PRIORITY APPLN. INFO.:			GB 1971-9094 A	19710408

AB Sensitizers (I) for organic and inorg. photoconductors were prepared, where R2N = Et2N, morpholino, or piperidino and Y = (CH:CH)nCH+Ar, CH:Q, or (CH:CH)mQ1 (Q = N-containing heterocycle or its quaternary salt; Q1 = quaternary heterocycle; n = 0,1,2; m = 1,2; Ar = substituted Ph or thienyl). Thus, a mixture of 2-morpholino-3,4-diphenyl-5-formylthiophene and 1-phenyl-3-carboxy-5-pyrazolone was refluxed in MeOCH2CH2OH to give pyrazolone sensitizer

II [38215-21-3], λmaximum 536 nm (MeOH). In another example, a mixture of 2-morpholino-3,4-diphenylthiophene, 4-HCOC6H4N(CH2CO2H)2, and HClO4 was refluxed in MeOH to give carbonium sensitizer III [38215-22-4], λmaximum 573 nm(CH2Cl2). Electrophotog. compns. containing I are also described.

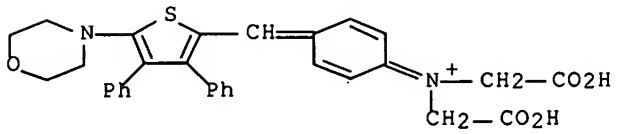
IT 38215-22-4
RL: USES (Uses)
(photog. sensitization maximum of)

RN 38215-22-4 HCAPLUS

CN Methanaminium, 1-carboxy-N-(carboxymethyl)-N-[4-[[5-(4-morpholinyl)-3,4-diphenyl-2-thienyl]methylene]-2,5-cyclohexadien-1-ylidene]-, perchlorate (9CI) (CA INDEX NAME)

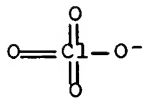
CM 1

CRN 47810-22-0
CMF C31 H29 N2 O5 S



CM 2

CRN 14797-73-0
CMF Cl O4



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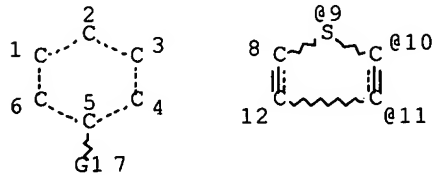
L6 STR



VAR G1=9/10/11
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
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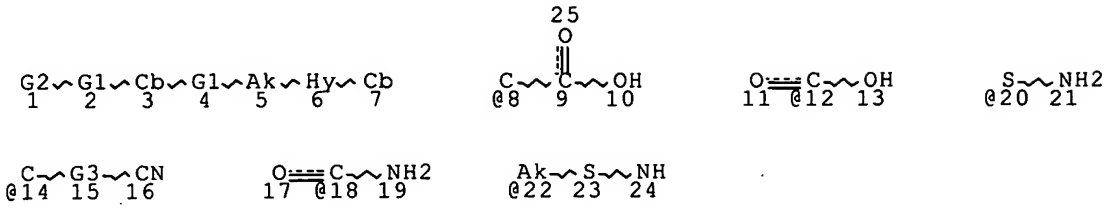
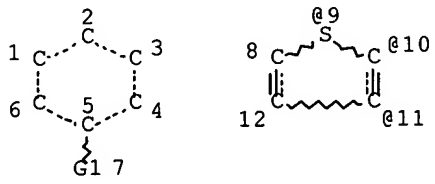
L9 STR



REP G1=(0-1) A
VAR G2=8/12/20/14/18/22
REP G3=(0-5) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L11 STR



VAR G1=9/10/11
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L12 159 SEA FILE=REGISTRY SUB=L8 SSS FUL L9 AND L11
L13 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L12
L14 97 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MANTLO N"/AU OR "MANTLO N B"/AU OR "MANTLO NATHAN"/AU OR "MANTLO NATHAN B"/AU OR "MANTLO NATHAN BRYAN"/AU)
L15 4115 SEA FILE=HCAPLUS ABB=ON PLU=ON ("WANG XIAODONG"/AU OR "WANG XIAODONG J"/AU OR "WANG XIAODONG X"/AU) OR WANG X ?/AU
L16 349 SEA FILE=HCAPLUS ABB=ON PLU=ON ("ZHU GUOXIAN"/AU OR "ZHU GUOXIN"/AU) OR ZHU G ?/AU
L17 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 AND L15 AND L16
L18 21 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 AND (L15 OR L16)

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L19          188 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15 AND L16
L20            5 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19 AND PPAR
L21         8707 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "PEROXISOME PROLIFERATOR-ACTIV
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L22            4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19 AND L21
L23           19 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L17 OR L18 OR L20 OR L22)
                 NOT L13


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=> d ibib abs hitstr l23 1-19

L23 ANSWER 1 OF 19  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2006:861877  HCAPLUS  Full-text
TITLE:                   Tetrahydro naphthyridine as inhibitors of cholesteryl
                         ester transfer protein
AUTHOR(S):               Parthasarathy, Saravanan; Fernandez, Maria-Carmen;
                         Mateo, Ana I.; Escribano, Ana; Martin de la Nava, Eva
                         M.; Wang, Xiaodong; Cockerham, Sandra L.;
                         Beyer, Thomas P.; Schmidt, Robert J.; Cao, Guoging;
                         Stephenson, Gregory; Mantlo, Nathan B.
CORPORATE SOURCE:        Discovery Chemistry Research & Technology, Eli Lilly
                         and Company, Indianapolis, IN, 46285, USA
SOURCE:                  Abstracts of Papers, 232nd ACS National Meeting, San
                         Francisco, CA, United States, Sept. 10-14, 2006 (2006)
                         , MEDI-423. American Chemical Society: Washington, D.
                         C.
                         CODEN: 69IHRD
DOCUMENT TYPE:           Conference; Meeting Abstract; (computer optical disk)
LANGUAGE:                English
AB   Cholesteryl ester transfer protein (CETP) is a plasma glycoprotein that
     mediates the transfer of cholesteryl ester from high-d. lipoprotein (HDL) to
     low-d. lipoprotein (LDL) and very low-d. lipoprotein (VLDL) with a reciprocal
     exchange of triglyceride. Recently, small-mol. CETP inhibitors have been
     shown to raise HDL cholesterol and slow the progression of atherosclerosis in
     animal models and humans. In a continuation of our effort in the
     atherosclerosis arena, we discovered a series of heteroarom. fused piperidines
     as CETP inhibitors. Herein we describe our SAR effort for a novel series 1,5-
     naphthyridines as CETP inhibitors, within this series we examined the
     structure-activity-relationships depicted in I. This effort lead to the
     identification of II with in vitro human plasma CETP inhibitory activity
     (IC50) in the 10-8 M range. The in vitro and in vivo SAR of this series will
     be described.


L23 ANSWER 2 OF 19  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2006:100336  HCAPLUS  Full-text
DOCUMENT NUMBER:         144:170892
TITLE:                   Preparation of tetrahydroquinoline derivatives as
                         cholesterol ester-exchanging protein inhibitors for
                         treating dyslipidemia and atherosclerosis
INVENTOR(S):             Escribano, Ana Maria; Fernandez, Maria Carmen;
                         Mantlo, Nathan Bryan; Mateo-Herranz, Ana
                         Isabel; De La Nava, Eva Maria Martin; Wang,
                         Xiaodong
PATENT ASSIGNEE(S):      Eli Lilly and Company, USA
SOURCE:                  PCT Int. Appl., 110 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
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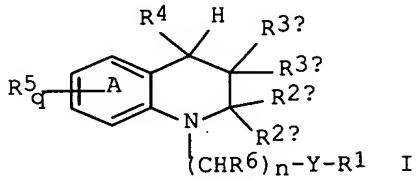
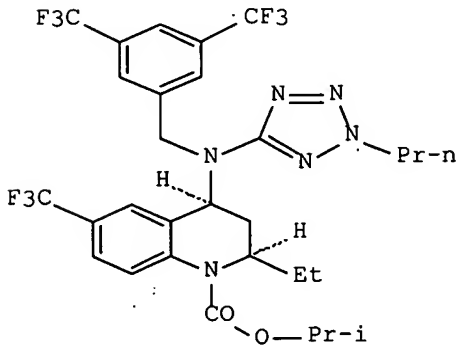
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006012093	A1	20060202	WO 2005-US21789		20050622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2005267436	A1	20060202	AU 2005-267436		20050622
CA 2570688	A1	20060202	CA 2005-2570688		20050622
PRIORITY APPLN. INFO.:			US 2004-582708P	P	20040624
			US 2004-627241P	P	20041112
			US 2005-664862P	P	20050324
			WO 2005-US21789	W	20050622

OTHER SOURCE(S): MARPAT 144:170892
GI



I



I

AB Tetrahydroquinoline derivs. (shown as I; variables defined below; e.g. (2R,4S)-4-[[3,5-bis(trifluoromethyl)benzyl](2-propyl-2H-tetrazol-5- yl)amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester (shown as II)) their pharmaceutical compns. and methods of use are disclosed. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.40 examples of I are included. For example, II was prepared in 11 steps involving the following intermediates:

(R)-3-aminopentanenitrile methanesulfonate (94, 77, 82 % for substeps), (3R)-3-[(4-trifluoromethylphenyl)amino]pentanenitrile (98 %), (3R)-3-[(4-trifluoromethylphenyl)amino]pentanamide (83 %), [(3R)-3-[(4-trifluoromethylphenyl)amino]pentanoyl]carbamic acid benzyl ester (96 %), ((2R,4S)-2-ethyl-6-trifluoromethyl-1,2,3,4- tetrahydroquinolin-4-yl)carbamic acid benzyl ester (98 %), (2R,4S)-4-[(benzyloxycarbonyl)amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro- 2H-quinoline-1-carboxylic acid iso-Pr ester (100 %), (2R,4S)-4-amino-2- ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester (100 %), (2R,4S)-4-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-ethyl-6- trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester (76 %), (2R,4S)-4-[[3,5-bis(trifluoromethyl)benzyl](cyano)amino]-2-ethyl-6- trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester (72 %), and (2R,4S)-4-[[3,5-bis(trifluoromethyl)benzyl](1H-tetrazol-5- yl)amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester (100 %). For I: n = 0-3; q = 0-4; Y is a bond, C:O, or -S(O)t (t = 0-2); R1 = hydroxy, C1-C6 alkyl, aryl, C2-C6 alkenyl, C1-C6 haloalkyl, C1-C6 alkylheterocyclic, C3-C8 cycloalkyl, C1-C6 alkylcycloalkyl, C1-C6 alkylaryl, heterocyclyl, C1-C6 alkyl alc., C1-C6 alkoxy, aryloxy, -OC2-C6 alkenyl, -OC1-C6 haloalkyl, -OC1-C6 alkylheterocyclic, -OC3-C8 cycloalkyl, -OC1-C6 alkylcycloalkyl, -NR7R8 and -OC1-C6 alkylaryl, -O-heterocyclic, -OC1-C6 alkylheterocyclic, C1-C6 alkyl-O-C(O)NR7R8, C1-C6 alkyl-NR7C(O)NR7R8, and C0-C6 alkylCOOR11. R2a and R2b = H, hydroxy, halo, oxo, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C1-C6 alkoxy, C1-6 haloalkyl, CONR11R12, -NR11SO2R12, -NR11COR12, C0-C6 alkylNR11R12, C0-C6 alkylCOR11, C0-C6 alkylCOOR11, cyano, nitro, C0-C6 alkylcycloalkyl, Ph, C0-C6 alkylaryl, heterocyclyl, C3-C8 cycloalkyl, and C1-C6 haloalkyl; R3a and R3b = H, halo, C1-C6 alkyl, C2-C6 alkene, C2-C6 alkynyl, C1-C6 alkoxy, and C1-C6 haloalkyl; R4 = -NR4aR4b; R5 = H, hydroxy, halo, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, et al.; R6 = H, C1-C6 alkyl, C2-C6 alkenyl, hydroxy, COR7, C1-C6 alkoxy, aryloxy, et al.; addnl. details including provisos are given in the claims. 30 Mg/kg doses of 8 examples of I in mice caused 120-226 % increases in HDL-cholesterol.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:11309 HCAPLUS Full-text

DOCUMENT NUMBER: 144:108328

TITLE: Preparation of benzo[b]azepines and related compounds as inhibitors of cholesterol ester transfer protein for treating dyslipidemia

INVENTOR(S): Chen, Xinchao; Cioffi, Christopher Lawrence; Dinn, Sean Richard; Escribano, Ana Maria; Fernandez, Maria Carmen; Fields, Todd; Herr, Robert Jason; Mantlo, Nathan Bryan; De la Nava, Eva Maria Martin; Mateo-Herranz, Ana Isabel; Parthasarathy, Saravanan; Wang, Xiaodong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 298 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006002342	A1	20060105	WO 2005-US22389	20050623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM

AU 2005267436	A1	20060202	AU 2005-267436		20050622
AU 2005258241	A1	20060105	AU 2005-258241		20050623
CA 2570673	A1	20060105	CA 2005-2570673		20050623
PRIORITY APPLN. INFO.:			US 2004-582708P	P	20040624
			US 2004-627241P	P	20041112
			US 2005-664862P	P	20050324
			WO 2005-US22389	W	20050623

OTHER SOURCE(S): MARPAT 144:108328
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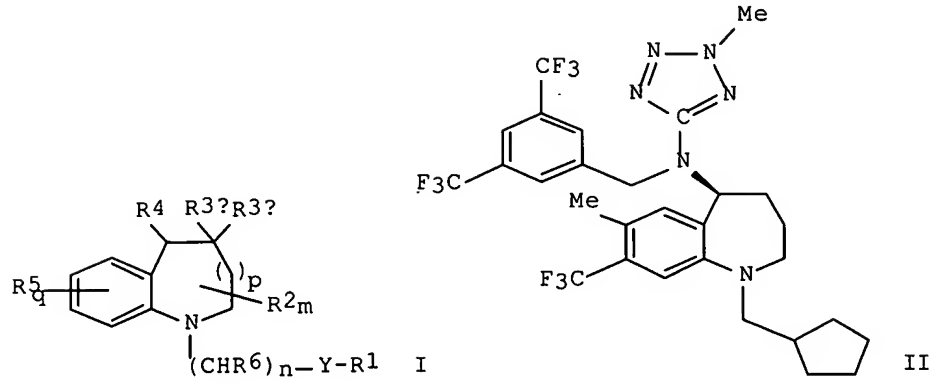


AB Benzo[b]azepines and related compds. (shown as I; variables defined below; e.g. [3,5-bis(trifluoromethyl)benzyl][(S)-1-cyclopentylmethyl-7-methyl-8-trifluoromethyl-2,3,4,5-tetrahydro-1H-benzo[b]azepin-5-yl](2-methyl-2H-tetrazol-5-yl)amine (shown as II)) and their pharmaceutical compns. and methods of use are disclosed. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.200 examples of I are included. For example, II was prepared in 19 steps (>99, 95, 99, 92, >99, 96, 83, 87, 80, 63, 76, 85, >99, 98, >99, >99, 95 and 70% yields, resp.) starting with preparation of Me 2-nitro-4-trifluoromethylbenzoate from the acid. For I: n = 0-3; m = 0-3; p is 1 or 2; q is 0-4; Y is a bond, C:O, or S(O)t; wherein t = 0-2; R1 = hydroxy, C1-C6 alkyl, aryl, C2-C6 alkenyl, C1-C6 haloalkyl, et al.; each R2 is bound only to a C atom and is H, hydroxy, halogen, oxo, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, et al.; R3a and R3b = H, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C1-C6 alkoxy, and C1-C6 haloalkyl; R4 = -NR4aR4b wherein R4a is a heterocyclic, C1-C6 alkylheterocyclic, or C2-C6 alkenylheterocyclic group; and R4b = C1-C6 alkylaryl, C2-C6 alkenylaryl, C2-C6 alkynylaryl, C1-C6 alkylheterocyclic, C2-C6 alkenylheterocyclic, C1-C6 alkylcycloalkyl, et al.; R5 = H, hydroxy, halogen, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C1-C6 alkoxy, aryloxy, et al.; R6 = H, C1-C6 alkyl, C2-C6 alkenyl, hydroxy, COR7, C1-C6 alkoxy, aryloxy,

-OC2-C6 alkenyl, -OC1-C6 haloalkyl, C1-C6 alkylNR7R8, C3-C8 cycloalkyl, heterocyclic, aryl, C1-C6 alkyl-O-C(O)NR7R8, C1-C6 alkyl-NR7C(O)NR7R8 and C1-C6 alkylcycloalkyl; addnl. details including provisos are given in the claims. The ability of 37 examples of I to elevate HDL cholesterol levels was determined

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1130646 HCAPLUS Full-text

DOCUMENT NUMBER: 143:405809

TITLE: Preparation of heterocyclic piperidine derivatives as inhibitor of cholesterol ester transfer protein

INVENTOR(S): Bell, Michael Gregory; Cao, Guoqing; Escribano, Ana Maria; Fernandez, Maria Carmen; Lander, Peter Ambrose; Mantlo, Nathan Bryan; Martin de la Nava, Eva Maria; Mateo Herranz, Ana Isabel; Mayhugh, Daniel Ray; Wang, Xiaodong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005097806	A1	20051020	WO 2005-US9301		20050317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005230915	A1	20051020	AU 2005-230915		20050317
CA 2557010	A1	20051020	CA 2005-2557010		20050317
EP 1735320	A1	20061227	EP 2005-725968		20050317
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
NO 2006004763	A	20061122	NO 2006-4763		20061020
PRIORITY APPLN. INFO.:			US 2004-557134P	P	20040326
			US 2004-621162P	P	20041022
			WO 2005-US9301	W	20050317

OTHER SOURCE(S): MARPAT 143:405809

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 0-3; q = 0-2; W, X, Y and Z independently = CH, C, N, etc.; A = 5-6 membered ring wherein one of W, X, Y or Z may be absent with

provisions; K = bond, CO or S(O)p; p = 0-2; R1 when n = 0 and K is CO or S(O)p = -O-alkyl, -O-aryl, -O-alkenyl, etc. and R1 when n = 1-3 and K is a bond = OH, alkyl, alkenyl, etc.; R2 = H, halo, alkynyl, etc.; R3 = H, aryl, cycloalkyl, etc.; R4 = NR7R8; R5 = H, OH, halo, etc.; R6 = H, alkyl, alkenyl, etc.; R7 = alkyl, alkenyl, cycloalkyl, etc.; R8 = aryl, alkylaryl, alkenylaryl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of cholesterol ester transfer protein (CEPT). Thus, e.g., II was prepared by cyclization of 2-(thiophen-3-ylaminomethylene)-malonic acid di-Et ester followed by acylation/alkylation sequence using iso-Pr chloroformate and Et magnesium bromide and subsequent decarboxylation/amination/acetylation sequence using 3,5-bis(trifluoromethyl)benzylamine and acetic anhydride. The ability of I to inhibit the transfer of radiolabeled cholesterol esters between HDL and LDL was evaluated using an in vitro scintillation proximity assay and it was revealed that compds. of the invention possessed an activity of below 100 μM. I should prove useful in the treatment of dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1130645 HCAPLUS Full-text

DOCUMENT NUMBER: 143:386939

TITLE: Preparation of heterocyclic azepine derivatives as inhibitor of cholesterol ester transfer protein

INVENTOR(S): Bell, Michael Gregory; Cao, Guoqing; Escribano, Ana Maria; Fernandez, Maria Carmen; Mantlo, Nathan Bryan; Martin de la Nava, Eva Maria; Mateo Herranz, Ana Isabel; Mayhugh, Daniel Ray; Wang, Xiaodong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097805	A1	20051020	WO 2005-US9294	20050317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1732933	A1	20061220	EP 2005-732643	20050317
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:

US 2004-557134P	P	20040326
US 2004-621162P	P	20041022
WO 2005-US9294	W	20050317

OTHER SOURCE(S): MARPAT 143:386939

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Q = (CH2)j; n = 0-3; m = 0-6; j = 1-2; q = 0-2; W, X, Y and Z independently = CH, C, N, etc.; A = 5-6 membered ring wherein one of W, X, Y or Z may be absent with provisions; K = bond, CO or S(O)p; p = 0-2; R1 = OH, alkyl, alkenyl, etc.; R2 = H, halo, alkynyl, etc.; R3 = H, aryl, cycloalkyl, etc.; R4 = NR7R8; R5 = H, OH, halo, etc.; R6 = H, alkyl, alkenyl, etc.; R7 = alkyl, alkenyl, cycloalkyl, etc.; R8 = aryl, alkylaryl, alkenylaryl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of cholesterol ester transfer protein (CEPT). Thus, e.g., II was prepared by cyclization of 4-[isopropoxycarbonyl-(3-methoxycarbonyl-propyl)-amino]-thiophene-3- carboxylic acid Me ester (preparation given) using potassium tert-butoxide followed by decarboxylation/amination sequence using 3,5- bis(trifluoromethyl)benzylamine and subsequent acylation using acetic anhydride. The ability of I to inhibit the transfer of radiolabeled cholesterol esters between HDL and LDL was evaluated using an in vitro scintillation proximity assay and it was revealed that compds. of the invention possessed an activity of below 100 μM. I should prove useful in the treatment of dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:638853 HCAPLUS Full-text

DOCUMENT NUMBER: 143:153366

TITLE: Preparation of bicyclic derivatives as PPAR modulators

INVENTOR(S): Conner, Scott Eugene; Mantlo, Nathan Bryan; Zhu, Guoxin; Herr, Robert Jason

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

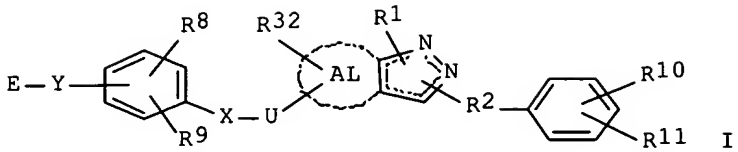
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066136	A1	20050721	WO 2004-US39773	20041216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1706386	A1	20061004	EP 2004-812319	20041216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

PRIORITY APPLN. INFO.:

US 2003-532139P	P	20031222
US 2004-586677P	P	20040709
WO 2004-US39773	W	20041216

OTHER SOURCE(S): MARPAT 143:153366
GI



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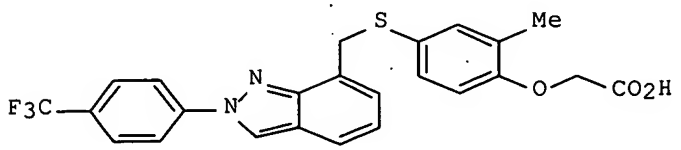

II

AB The title compds. I [R1 = H, alkyl, arylalkyl, etc.; R2 = alkyl, heteroalkyl; X = a single bond, O, S, SO2, N; U = an aliphatic linker wherein one carbon atom of the aliphatic linker is optionally replaced with O, NH or S, and wherein such aliphatic linker is optionally substituted with from 1-4 substituents; Y = C, O, S, NH and a single bond; E = CR3R4A or A (wherein A = carboxy, tetrazole, alkylnitrile, etc.; R3 = H, alkyl, alkoxy; R4 = H, alkyl,, aryloxy, etc.); R8 = H, alkyl, alkenyl, halo; R9 = H, alkyl, halo, etc.; R10, R11 = H, OH, CN, etc.; R32 = H, halo, alkyl, etc.; AL = fused carbocyclic, fused pyridinyl, fused pyrimidinyl, fused Ph], useful for modulating a peroxisome proliferator activated receptor, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 2-bromo-m-xylene, was given. The binding and cotransfection efficacy values for compds. I which are especially useful for modulating a PPAR receptor, are ≤ 100 nM and ≥ 50%, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:371225 HCAPLUS Full-text
DOCUMENT NUMBER: 142:430156
TITLE: Preparation of benzazepines as inhibitors of cholesterol ester transfer protein for treating dyslipidemia
INVENTOR(S): Cao, Guoqing; Escribano, Ana Maria; Fernandez, Maria Carmen; Fields, Todd; Gernert, Douglas Linn; Cioffi, Christopher Lawrence; Herr, Robert Jason; Mantlo, Nathan Bryan; Martin De La Nava, Eva Maria; MateO Herranz, Ana Isabel; Mayhugh, Daniel Ray; Wang, Xiaodong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037796	A1	20050428	WO 2004-US30907	20041007

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004282101	A1	20050428	AU 2004-282101	20041007
CA 2537942	A1	20050428	CA 2004-2537942	20041007
EP 1670768	A1	20060621	EP 2004-793889	20041007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014186	A	20061031	BR 2004-14186	20041007
CN 1863778	A	20061115	CN 2004-80029540	20041007
NO 2006002074	A	20060508	NO 2006-2074	20060508
PRIORITY APPLN. INFO.:			US 2003-509736P P	20031008
			WO 2004-US30907 W	20041007

OTHER SOURCE(S): MARPAT 142:430156
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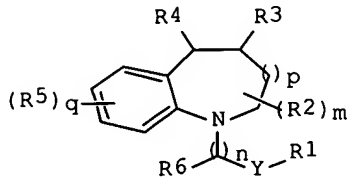


I

AB Title compds. I [n, m, q = 0-3; p = 1-2; R1 = OH, alkyl, aryl, etc.; R2 = H, OH, halo, etc.; R3 = H; R4 = (un)substituted amino; R5 = H, OH, halo, etc.; R6 = allyl alc., alkoxy, etc.] are prepared For instance, 5-[acetyl(3,5-bis(trifluoromethyl)benzyl)amino]-2,3,4,5- tetrahydrobenzo[b]azepine-1-carboxylic acid iso-Pr ester (II) is prepared in 8 steps from 2-aminobenzoic acid Me ester, Et 4-bromobutyrate and 3,5-bis(trifluoromethyl)benzylamine. II has an IC50 of 293 nM for cholesterol ester transfer protein (CETP). I are useful for treating atherosclerosis and its sequelae.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

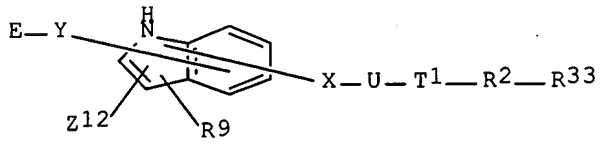
L23 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:902349 HCAPLUS Full-text
DOCUMENT NUMBER: 141:379802
TITLE: Preparation of indole derivatives as PPAR modulators for treatment of diabetes mellitus, syndrome X, and related disorders
INVENTOR(S): Conner, Scott Eugene; Knobelsdorg, James Allen; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Wang, Xiaodong; Zhu, Guoxin; Schkeryantz, Jeffrey Michael; Michellys, Pierre-Yves
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals,

Inc.
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092131	A1	20041028	WO 2003-US41698	20031231
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003300131	A1	20041104	AU 2003-300131	20031231
EP 1581491	A1	20051005	EP 2003-800390	20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006166983	A1	20060727	US 2005-541555	20051223

PRIORITY APPLN. INFO.: US 2003-438541P P 20030106
WO 2003-US41698 W 20031231

OTHER SOURCE(S): MARPAT 141:379802
GI



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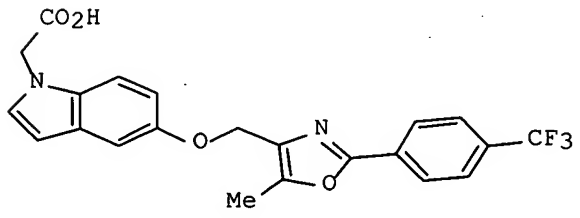

II

AB Title compds. I [wherein T1 = (un)substituted oxazol-4-yl, oxazol-5-yl, thiazol-4-yl, thiazol-5-yl, phenylene; R2 = hetero/alkyl; X = a bond, O, S, SO2, N; U = (un)substituted aliphatic linker wherein 1 C atom of the linker may be replaced with O, NH, or S; Y = C, O, S, NH, and a single bond; E = CR3R4A or A; A = alkylcarboxyl, alkylnitrile, alkylcarboxamide, (un)substituted alkylsulfonamide, alkylacylsulfonamide, alkyltetrazole; R3 =

H, alkyl, alkoxy; R4 = H, aryloxy, (un)substituted alkyl, alkoxy, cycloalkyl, arylalkyl; R3CR4 = (un)substituted cycloalkyl; Z12 = -Z13-alkyl-Z14; Z13 = a single bond, CO, CO2, CONH and derivs., SO2; Z14 = (un)substituted hetero/aryl; R9 = H, alkyl, alkylenyl, halo, allyl, OH and derivs., (un)substituted arylalkyl, heteroaryl; R33 = alkyl, alkoxy, Ph, etc.; R = alkyl, carboxyalkyl, alkylsulfonaminocarbonylmethyl, etc; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators. For example, reacting (5-Hydroxyindol-1- yl)acetic acid Et ester (preparation given) with 4-Chloromethyl-5-methyl-2-(4- trifluoromethylphenyl)oxazole, followed by saponification with NaOH gave II in near quant. yield. The binding and cotransfection efficacy for the compds. of the invention which are especially useful for modulating a PPAR receptor, are < 100 nM and > 50%, resp. I and their pharmaceutical compns. are expected to be effective in treating and preventing Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, atherosclerosis, and other disorders related to Syndrome X and cardiovascular diseases.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:718289 HCAPLUS Full-text

DOCUMENT NUMBER: 141:243332

TITLE: Preparation of sulfonamide derivatives, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR agonists

INVENTOR(S): Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones, Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh, Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong; Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 435 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073606	A2	20040902	WO 2004-US2015	20040210
WO 2004073606	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004212887	A1	20040902	AU 2004-212887	20040210
CA 2512883	A1	20040902	CA 2004-2512883	20040210
EP 1597248	A2	20051123	EP 2004-709806	20040210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007180	A	20060207	BR 2004-7180	20040210
CN 1751037	A	20060322	CN 2004-80004250	20040210

JP 2006520755	T	20060914	JP 2006-502992		20040210
US 2006217433	A1	20060928	US 2005-542579		20050715
PRIORITY APPLN. INFO.:			US 2003-448307P	P	20030214
			WO 2004-US2015	W	20040210

OTHER SOURCE(S): MARPAT 141:243332
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = II, III; D = (CH2)o; B = R1b-[C]q-R1a; E = O, S, NH and derivs.; W = -Y-(CR4R5)-Q, H, cyclo/halo/alkyl, acyl; Q = CO2H and derivs.; CO2NH2, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n =1-2; R1, R2 = independently H, wherein when Z = Ph or naphthyl and R2 = H, R1 is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R1 and R2 form a 5- to 8-membered heterocycle; R1a, R1b = independently H, alkyl, or R1 and R1a, R1and R1b, R2 and R1b, or R1a and R1b form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R1a and or R1b is not H; R2a = H, halo, (un)substituted alkyl and wherein R2 and R2a together being a 3- to 8-membered ringR3 = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R4, R5 = independently H, halo, alkyl, alkoxy, aryloxy, NH2 and derivs., SH and derivs., or R4CR5 = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for sulfonamide IV. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

L23 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:658169 HCAPLUS Full-text
TITLE: Design and synthesis of 3-(2-methyl-4-{2-[3-methyl-5-(4-trifluoromethyl-phenyl)-thiophen-2-yl]-propoxy}-phenyl)-propionic acid as a potent selective PPAR delta agonist
AUTHOR(S): Wang, Xiaodong; Zhu, Guoxin; Barr, Robert; Montrose-Rafizadeh, Chahrzad; Osborne, John J.; Yumibe, Nathan; Jett, Donald R.; Zink, Richard W.; Mantlo, Nathan B.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46032, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), MEDI-306. American Chemical Society: Washington, D. C.
CODEN: 69FTZ8
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB Pre-clin. studies in obese rhesus monkeys and ob/ob mouse indicated that a selective PPAR delta agonist changes the serum lipoprotein composition by increasing high d. lipoprotein cholesterol (HDLc) while decreasing low d. lipoprotein (LDLc) and fasting triglycerides by regulating the reverse cholesterol transporter ATP-binding cassette A1 (ABCA1) and cholesterol efflux

from many tissues. These results suggested that a selective PPAR delta agonist could provide a new treatment for dyslipidemia and arteriosclerosis associated with metabolic syndrome X. In search of potent and selective PPAR delta agonists, a new class of compds. featuring 2,3,5-tri-substituted thiophenes was designed and synthesized. This presentation discloses the chemical and SAR study around 3-(2-methyl-4-{2-[3-methyl-5-(4-trifluoromethyl-phenyl)-thiophen-2-yl]-propoxy}-phenyl)-propionic acid (1).

L23 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:658044 HCAPLUS Full-text
TITLE: Design and synthesis of novel, potent, and selective PPAR delta agonists
AUTHOR(S): Conner, Scott E.; Zhu, Guoxin; Montrose-Rafizadeh, Chahrzad; Barr, Robert J.; Jett, Don; Zink, Richard W.; Yumibe, Nathan; Mantlo, Nathan B.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), MEDI-180. American Chemical Society: Washington, D. C.
CODEN: 69FTZ8
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The peroxisome proliferator-activated receptors (PPARs) play an essential role in the processes of lipid homeostasis. Recent studies have found that the PPAR delta isoform is a regulator of serum lipids, and selective agonists have been shown to dramatically lower serum triglyceride (TG) and low-d. lipoprotein (LDL) levels, while increasing high-d. lipoprotein (HDL) levels. There are currently no drugs in clin. use that selectively activate this receptor, although selective PPAR delta agonists have been shown to affect marked changes in the lipid profile in an obese rhesus monkey model. Dyslipidemia is a major risk factor in the development of atherosclerosis, and may be a suitable indication for this plenipotent modulator of lipid metabolism In this presentation, the design and synthesis of potent and selective PPAR delta agonists featuring 2,4,5-substituted thiazoles will be discussed. This presentation demonstrates the chemical and SAR for the representative compound below.

L23 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:606448 HCAPLUS Full-text
DOCUMENT NUMBER: 141:157111
TITLE: Preparation of pyrazoles and analogs as PPAR modulators for treatment of metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders
INVENTOR(S): Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey Michael; Warshawsky, Alan M.; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063166	A1	20040729	WO 2003-US39119	20031231
WO 2004063166	A8	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296404	A1	20040810	AU 2003-296404	20031231
EP 1585733	A1	20051019	EP 2003-815195	20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, BG, CZ, EE, HU, SK				
US 2006241157	A1	20061026	US 2005-540341	20050621
PRIORITY APPLN. INFO.:			US 2003-438563P P	20030106
			WO 2003-US39119 W	20031231

OTHER SOURCE(S): MARPAT 141:157111

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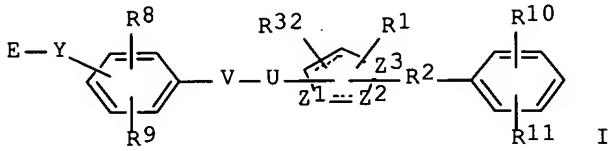

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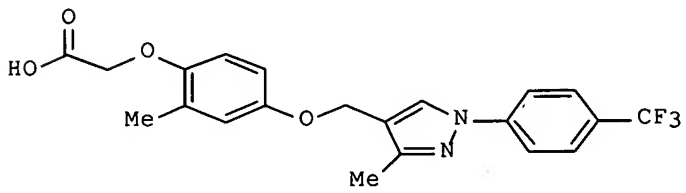

II

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un)substituted alkyl, alkenyl, (hetero)aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un)substituted (halo)alkyl, alkoxy, cycloalkyl, (hetero)aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliphatic linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and saponification with NaOH in MeOH

provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

L23 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:606447 HCAPLUS Full-text
DOCUMENT NUMBER: 141:157110
TITLE: Preparation of a pyrazole as a PPAR modulator for treatment of diabetes mellitus, inflammatory diseases, and other disorders
INVENTOR(S): Conner, Scott Eugene; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063165	A1	20040729	WO 2003-US39117	20031231
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296401	A1	20040810	AU 2003-296401	20031231
EP 1583746	A1	20051012	EP 2003-815193	20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2007043220	A1	20070222	US 2005-537282	20050531
PRIORITY APPLN. INFO.:			US 2003-438563P	P 20030106
			WO 2003-US39117	W 20031231

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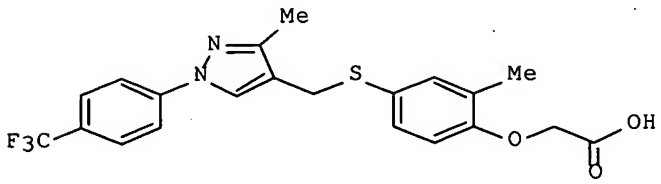


I

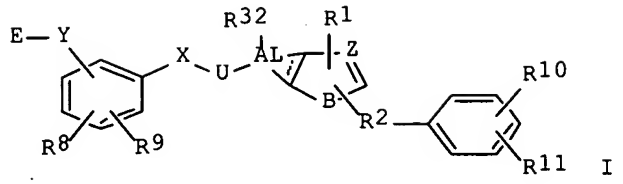
AB The present invention is directed to a compound, [2-methyl-4-[[[3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methyl]sulfanyl]phenoxy]acetic acid (I), and pharmaceutically acceptable salts, solvates, and hydrates thereof for

use as a peroxisome proliferator activated receptor (PPAR) modulator. Examples include three synthetic methods for the preparation of I, as well as protocols and some data for biol. assays. For instance, I was prepared by alkylation of (4-mercapto-2-methylphenoxy)acetic acid Et ester with 4-chloromethyl-3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazole using Cs2CO3 in acetonitrile, followed by saponification with NaOH in MeOH. In binding studies, I activated huPPARδ, PPARα, and PPARγ with EC50 values of 20 nM, 1800 nM, and 2600 nM, resp. Thus, I and its pharmaceutical compns. are expected to be effective in treating and preventing diabetes mellitus, cardiovascular disorders, inflammatory conditions, and other disorders (no data).

L23 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:606439 HCAPLUS Full-text
DOCUMENT NUMBER: 141:157107
TITLE: Preparation of fused heterocyclic derivatives as PPAR modulators for treatment of diabetes mellitus, syndrome X, and related disorders
INVENTOR(S): Conner, Scott Eugene; Mantlo, Nathan Bryan; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004063155	A1	20040729	WO 2003-US39120		20031231
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2509202	A1	20040729	CA 2003-2509202		20031231
AU 2003296405	A1	20040810	AU 2003-296405		20031231
EP 1585726	A1	20051019	EP 2003-815196		20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, BG, CZ, EE, HU, SK					
JP 2006516254	T	20060629	JP 2004-566526		20031231
US 2006205744	A1	20060914	US 2005-539477		20050621
PRIORITY APPLN. INFO.:			US 2003-438540P	P	20030106
			US 2003-438541P	P	20030106
			WO 2003-US39120	W	20031231

OTHER SOURCE(S): MARPAT 141:157107
GI



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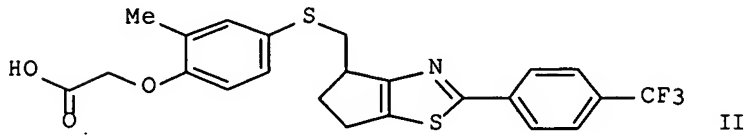


II

AB Title compds. I [wherein R1 = H, (un)substituted alkyl, alkenyl, (hetero)aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un)substituted (halo)alkyl, alkoxy, cycloalkyl, (hetero)aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; AL = fused carbocyclic, pyridinyl, pyrimidinyl, Ph; B = S, O, CH2, NH; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliphatic linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z = N, CH, with the proviso that when B = CH2, then Z = N; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Me ester was coupled with toluene-4-sulfonic acid 2-(4-trifluoromethylphenyl)-5,6-dihydro-4H-cyclopentathiazol-4- ylmethyl ester in the presence of Cs2CO3 in anhydrous acetonitrile to give the [[(cyclopentathiazolylmethyl)sulfanyl]phenoxy]acetate (45%), which was saponified with LiOH in THF to afford II (quant.). I and their pharmaceutical compns. are expected to be effective in treating and preventing Syndrome X, Type II diabetes, cardiovascular disorders, inflammatory conditions, and other disorders (no data).

L23 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:829477 HCAPLUS Full-text

DOCUMENT NUMBER: 139:381431

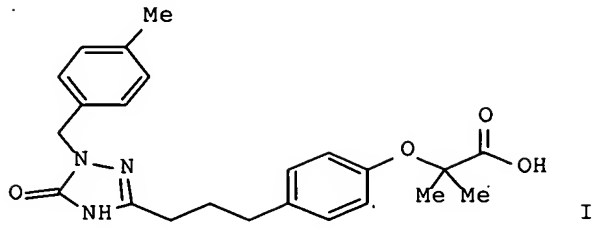
TITLE: Design and Synthesis of a Potent and Selective Triazolone-Based Peroxisome Proliferator-Activated Receptor α Agonist

AUTHOR(S): Xu, Yanping; Mayhugh, Daniel; Saeed, Ashraf; Wang, Xiaodong; Thompson, Richard C.; Dominianni, Samuel J.; Kauffman, Raymond F.; Singh, Jaipal; Bean, James S.; Bensch, William R.; Barr, Robert J.; Osborne, John; Montrose-Rafizadeh, Chahrzad; Zink, Richard W.; Yumibe, Nathan P.; Huang, Naijia; Luffer-Atlas, Debra; Rungta, Deepa; Maise, Dale E.; Mantlo, Nathan B.

CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly Company, Indianapolis, IN, 46285, USA

SOURCE: Journal of Medicinal Chemistry (2003), 46(24), 5121-5124
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:381431
GI



I

AB A new series of hPPARα agonists containing a 2,4-dihydro-3H-1,2,4- triazol-3-one (triazolone) core is described leading to the discovery of I (LY518674), a highly potent and selective PPARα agonist.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:696736 HCAPLUS Full-text
DOCUMENT NUMBER: 139:230769
TITLE: Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus and atherosclerosis
INVENTOR(S): Conner, Scott Eugene; Mantlo, Nathan Bryan; Zhu, Guoxin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072102	A1	20030904	WO 2003-US2680	20030213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003214932	A1	20030909	AU 2003-214932	20030213
EP 1480642	A1	20041201	EP 2003-710780	20030213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005528346	T	20050922	JP 2003-570848		20030213
US 2006084663	A1	20060420	US 2004-505103		20040817
PRIORITY APPLN. INFO.:			US 2002-359807P	P	20020225
			WO 2003-US2680	W	20030213

OTHER SOURCE(S): MARPAT 139:230769

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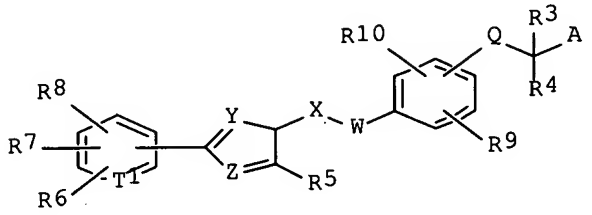

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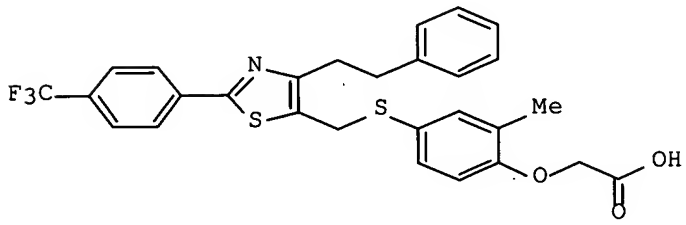

II

AB Title compds. I [wherein R3 = H or alkoxy; R4 = H or alkyl; R5 = alkyl, alkenyl, or (un)substituted aryl(oxy)alkyl or arylthioalkyl; R6 = CF3, OCF3, (hydroxy)alkyl, alkylcarbamoyl, carboxyalkoxy, or (un)substituted aryloxy, arylthio, pyridinyl, pyrimidinyl, pyrazinyl, or arylalkyl; R7 and R8 = independently H, CF3, or alkyl; R9 and R10 = independently H, alkyl, alkenyl, or alkoxy; T1 = C or N; Q = bond, O, O(CH2)q, or C; q = 1-2; W = O, S, SO2, NHSO2, etc.; X = CmH2m; m = 0-2; Y and Z = independently O, N, or S wherein at least 1 of Y and Z = O or S; A = CO2H, alkylnitrile, CONH2, or (CH2)nCO2R19; n = 0-3; R19 = H or (un)substituted alkyl or arylmethyl; and pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator activated receptor (PPAR) agonists (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Et ester was coupled with 5-chloromethyl-4-phenethyl-2-(4-trifluoromethylphenyl)thiazole in the presence of Cs2CO3 in MeCN to give the (phenylthiomethyl)thiazole (83.5%), which was saponified with LiOH in THF to provide II. I and their pharmaceutical compns. are useful for the prevention and or treatment of diabetes mellitus and atherosclerosis (no data).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:696734 HCAPLUS Full-text

DOCUMENT NUMBER: 139:230768

TITLE: Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease

INVENTOR(S): Conner, Scott Eugene; Knobelsdorf, James Allen; Mantlo, Nathan Bryan; Schkeryantz, Jeffrey

Michael; Shen, Quanrong; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072100	A1	20030904	WO 2003-US2679	20030213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003217274	A1	20030909	AU 2003-217274	20030213
EP 1480640	A1	20041201	EP 2003-713316	20030213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529077	T	20050929	JP 2003-570846	20030213
US 2005107449	A1	20050519	US 2004-505089	20040817
US 7153878	B2	20061226		

PRIORITY APPLN. INFO.: US 2002-359808P P 20020225
WO 2003-US2679 W 20030213

OTHER SOURCE(S): MARPAT 139:230768

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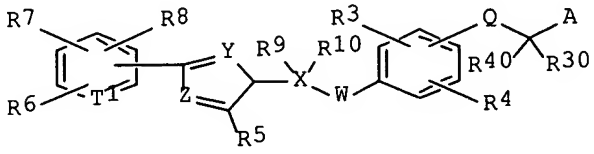

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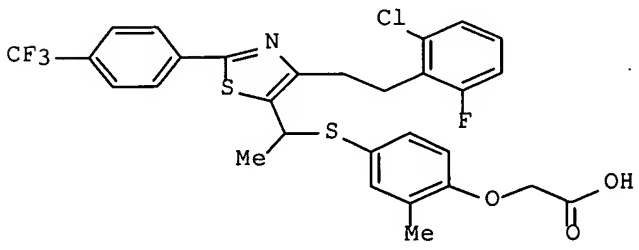


II

AB Title compds. I [wherein R3, R4, R30, and R40= independently H, alkyl, halo, or alkoxy; R5 = (un)substituted alkyl, alkenyl, aryl(oxy)alkyl, or arylthioalkyl; or when R5 = alkyl, R5 may be combined with W to form a

heterocycloalkyl fused to the oxazole or thiazole ring; R6 = trihalomethyl, trihalomethoxy, (hydroxy)alkyl, alkylcarbamoyl, tetramethyldioxaborolanyl, halo, alkanoyl, carboxyalkoxy, (cyclo)alkoxy, tetrahydropyranyloxy, morpholinyl, or (un)substituted aryloxy, arylthio, heterocyclyloxy, pyridinyl, pyrimidinyl, pyrazinyl, or arylalkyl; R7 and R8 = independently H, CF3, or alkyl; R9 = (un)substituted (aryl)alkyl or alkenyl; R10 = H or alkyl; Q = a bond, O, or CH2; T1 = C or N; W = CH2, O, OCH2, S, SO2, or (un)substituted CONH, NH, or NHCH2; X = C, CH2C, or CCH2; Y and Z = independently O, N, or S wherein at least 1 of Y and Z = O or S; A = CO2H, alkylnitrile, CONH2, or (CH2)nCO2R19; n = 0-3; R19 = H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator activated receptor δ (PPARδ) modulators (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Et ester was condensed with 1-[4-[2-(2-chloro-6-fluorophenyl)ethyl]-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethanol in the presence of PBu3 and 1,1'-(azodicarbonyl)bipiperidine in toluene. Deesterification with LiOH in THF produced II. I and their pharmaceutical compns. are useful for the prevention and or treatment of diabetes mellitus, syndrome X, and cardiovascular disease (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

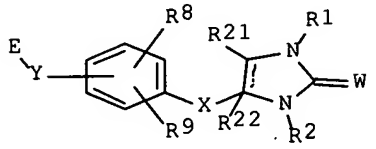
L23 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:454296 HCAPLUS Full-text
DOCUMENT NUMBER: 139:36527
TITLE: Preparation of imidazolidinone derivatives as peroxisome proliferator activated receptor agonists
INVENTOR(S): Gibson, Tracey Ann; Johnston, Richard Duane; Mantlo, Nathan Bryan; Thompson, Richard Craig; Wang, Xiaodong; Winneroski, Leonard Larry, Jr.; Xu, Yanping
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 408 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048130	A2	20030612	WO 2002-US36128	20021126
WO 2003048130	A3	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468846	A1	20030612	CA 2002-2468846	20021126
AU 2002356927	A1	20030617	AU 2002-356927	20021126
EP 1453811	A2	20040908	EP 2002-804416	20021126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014437	A	20041013	BR 2002-14437	20021126
CN 1582279	A	20050216	CN 2002-823800	20021126
HU 200402486	A2	20050329	HU 2004-2486	20021126

JP 2005517643	T	20050616	JP 2003-549322		20021126
NZ 532909	A	20060831	NZ 2002-532909		20021126
US 2005020652	A1	20050127	US 2004-496770		20040525
ZA 2004004173	A	20050823	ZA 2004-4173		20040527
IN 2004KN00716	A	20061110	IN 2004-KN716		20040527
NO 2004002737	A	20040817	NO 2004-2737		20040629
PRIORITY APPLN. INFO.:			US 2001-334453P	P	20011130
			WO 2002-US36128	W	20021126

OTHER SOURCE(S): MARPAT 139:36527

GI



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AB The present invention is directed to compds. represented by the following structural Formula (I) [wherein R1 = H, each (un)substituted C1-C8 alkyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, C3-6 cycloalkylaryl-C0-2-alkyl, or CH2-C(O)-R17-R18 (wherein R17 = O, NH; R18 = optionally substituted benzyl); R2 = C1-6 alkyl, C1-6 alkenyl, aryl-C0-4-alkyl, heteroaryl-C0-4-alkyl, C1-4 alkylsulfonamide, C1-4 alkylamide, OH, C1-4 alkoxy, C3-6 cycloalkyl; W = O, S; X = an optionally substituted C1-5 alkylene linker wherein one carbon atom of the linker may optionally be replaced with O, NH, S, and optionally two carbons together may form a double bond; Y = C, O, S, NH, a single bond; E = C(R3)(R4)A, A, (CH2)nCO2R19; wherein A = CO2H, C1-3 alkylnitrile, carboxamide, each (un)substituted sulfonamide, acylsulfonamide, tetrazole, or isoxazole; R3 = H, C1-5 alkyl, C1-5 alkoxy; R4 = H, halo, each (un)substituted C1-5 alkyl, C1-5 alkoxy, C3-6 cycloalkyl, aryl-C0-4-alkyl, aryl-C0-2 alkoxy, or Ph; or R3 and R4 are combined to form a C3-8 cycloalkyl; R19 = H, each (un)substituted arylmethyl or C1-4 alkyl; n = 0-3; R21 = H, oxo, each (un)substituted C1-6 alkyl, aryl, C1-4 alkylaryl, or heteroaryl; R22 = H, each (un)substituted C1-6 alkyl, aryl, C1-4 alkyl-aryl, or heteroaryl]. These compds. are useful for preventing or treating diabetes mellitus or treating syndrome X or cardiovascular disease (no data). Thus, To a solution of 2-methyl-2-[2-methyl-4-[2-(3-methyl-2-oxoimidazolidin-4- yl)ethoxy]phenoxy]propionic acid Et ester (0.040 g) in DMF (2.0 mL), was added NaH (60% in mineral oil, 0.0066 g) in one portion and the mixture was stirred for 15 min at room temperature, treated with 4-tert-butylbenzyl bromide (0.030 mL), and stirred for 4 h at room temperature to give, after workup, an Et ester intermediate, which was treated with a mixture of MeOH (2 mL)/5.0 N NaOH (1 mL) at room temperature overnight, concentrated, diluted with water (2 mL), cooled down to 0°, and acidified to pH 2 by adding concentrated HCl dropwise to give, after purification on a Chem elut 1005 tube, 2-[4-[2-[1-(4-tert-Butylbenzyl)-3-methyl-2-oxoimidazolidin-4-yl]ethoxy]-2- methylphenoxy]-2-methylpropionic acid as an colorless oil (0.022 g, 42%).

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TITLE: Synthesis and SAR studies toward a selective PPAR α-Agonist

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AB Peroxisome Proliferator Activated Receptors (PPARs) are members of the nuclear hormone receptor super family. The PPAR alpha receptor subtype is reported to be activated by medium and long-chain fatty acids. Synthetic agonists include the fibrates, which elevate HDL-cholesterol and induce the expression of apoAI, a protein integral to the HDL-cholesterol particle. Activation of the PPAR alpha receptor is also involved in stimulating fatty acid beta-oxidation and produces a substantial reduction in plasma triglycerides. Herein we describe the discovery and synthesis of LY518674, a selective PPAR alpha agonist possessing activity in the 10-9 M range.

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